

09/04/2006 10776657.trn

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

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NEWS 3 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 4 MAY 10 CA/CAPLUS enhanced with 1900-1906 U.S. patent records
NEWS 5 MAY 11 KOREAPAT updates resume
NEWS 6 MAY 19 Derwent World Patents Index to be reloaded and enhanced
NEWS 7 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAPLUS and
USPATFULL/USPAT2
NEWS 8 MAY 30 The F-Term thesaurus is now available in CA/CAPLUS
NEWS 9 JUN 02 The first reclassification of IPC codes now complete in
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NEWS 16 AUG 28 ADISCTI Reloaded and Enhanced
NEWS 17 AUG 30 CA(SM)/CAPLUS(SM) Austrian patent law changes

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 13:21:36 ON 04 SEP 2006

=>

Uploading

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Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:21:55 ON 04 SEP 2006

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STRUCTURE FILE UPDATES: 3 SEP 2006 HIGHEST RN 905815-43-2
DICTIONARY FILE UPDATES: 3 SEP 2006 HIGHEST RN 905815-43-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

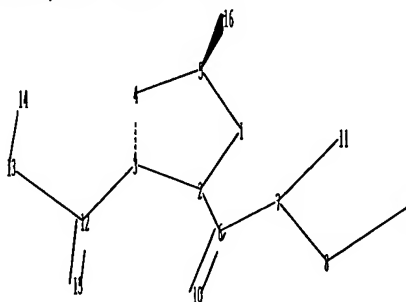
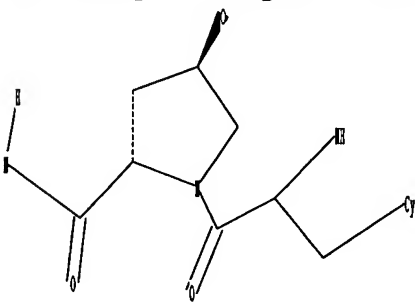
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10776657.str



chain nodes :

6 7 8 9 10 11 12 13 14 15 16

ring nodes :

09/04/2006 10776657.trn

1 2 3 4 5
chain bonds :
2-6 3-12 5-16 6-7 6-10 7-8 7-11 8-9 12-13 12-15 13-14
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 2-3 2-6 3-4 5-16 6-10 7-11 8-9 12-13 12-15
exact bonds :
1-5 3-12 4-5 6-7 7-8 13-14
isolated ring systems :
containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS

Stereo Bonds:

16-5 (Single Wedge).

Stereo Chiral Centers:

5 (Parity=Don't Care)

Stereo RSS Sets:

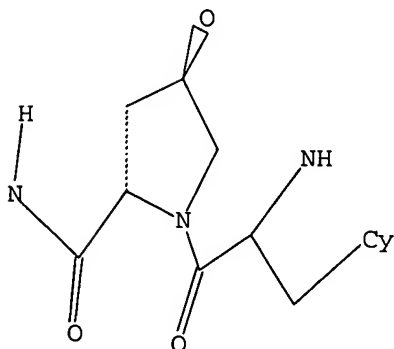
Type=Relative (Default). 1 Nodes= 5

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 13:22:09 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 405 TO ITERATE

100.0% PROCESSED 405 ITERATIONS

SEARCH TIME: 00.00.01

10776657.trn

Page 3

13:37

23 ANSWERS

09/04/2006 10776657.trn

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 6893 TO 9307
PROJECTED ANSWERS: 173 TO 747

L2 23 SEA SSS SAM L1

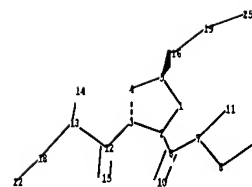
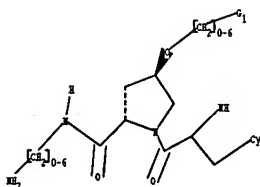
=> s l1 sss full
FULL SEARCH INITIATED 13:22:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 7881 TO ITERATE

100.0% PROCESSED 7881 ITERATIONS
SEARCH TIME: 00.00.01

424 ANSWERS

L3 424 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10776657a.str



chain nodes :

6 7 8 9 10 11 12 13 14 15 16 18 19 22 25

ring nodes :

1 2 3 4 5

chain bonds :

2-6 3-12 5-16 6-7 6-10 7-8 7-11 8-9 12-13 12-15 13-14 13-18 16-19
18-22 19-25

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 2-3 2-6 3-4 5-16 6-10 7-11 8-9 12-13 12-15 19-25

exact bonds :

1-5 3-12 4-5 6-7 7-8 13-14 13-18 16-19 18-22

isolated ring systems :

09/04/2006 10776657.trn

containing 1 :

G1:Cb,Cy,Hy

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS 19:CLASS
22:CLASS 25:CLASS

Stereo Bonds:

16-5 (Single Wedge).

Stereo Chiral Centers:

5 (Parity=Don't Care)

Stereo RSS Sets:

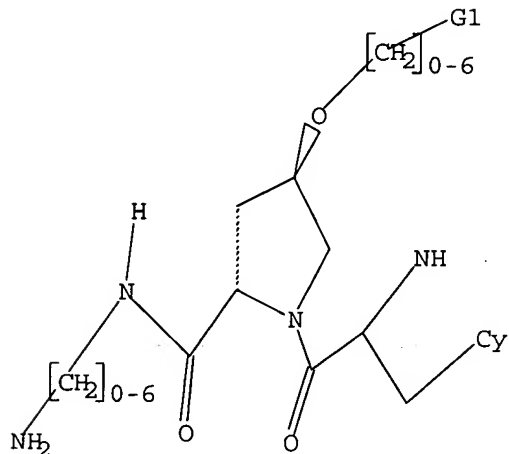
Type=Relative (Default). 1 Nodes= 5

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4 STR



G1 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 13:30:56 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 341 TO ITERATE

100.0% PROCESSED 341 ITERATIONS

SEARCH TIME: 00.00.01

2 ANSWERS

09/04/2006 10776657.trn

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5713 TO 7927
PROJECTED ANSWERS: 2 TO 124

L5 2 SEA SSS SAM L4

=> s l4 sss full
FULL SEARCH INITIATED 13:31:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 6658 TO ITERATE

100.0% PROCESSED 6658 ITERATIONS
SEARCH TIME: 00.00.01

44 ANSWERS

L6 44 SEA SSS FUL L4

=> FIL HCAPLUS
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
340.04	340.25

FILE 'HCAPLUS' ENTERED AT 13:31:23 ON 04 SEP 2006
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FILE COVERS 1907 - 4 Sep 2006 VOL 145 ISS 11
FILE LAST UPDATED: 3 Sep 2006 (20060903/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l6
L7

2 L6

=> s l3
L8 198 L3

=> d l7 ibib abs hitstr tot

L7 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:703130 HCAPLUS
DOCUMENT NUMBER: 141:207526
TITLE: Preparation of pyrrolidine melanocortin-specific compounds
INVENTOR(S): Sharma, Shubh D., Shi, Yi-qun; Wu, Zhijun; Rajpurohit,

10776657.trn

Page 6

13:37

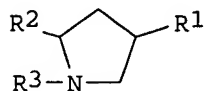
Ramesh
 PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 30 pp., Cont.-in-part of Appl.
 No PCT/US02/25574.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 8
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004167201	A1	20040826	US 2004-776657	20040210
WO 2003013571	A1	20030220	WO 2002-US25574	20020812

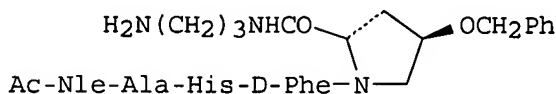
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
 RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
 UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
 PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
 NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-311404P P 20010810
 WO 2002-US25574 A2 20020812

OTHER SOURCE(S): MARPAT 141:207526
 GI



I



II

AB The invention relates to melanocortin receptor (MC-R)-specific pyrrolidine compds. I [R1 is -L1-J, where L1 is a linker (CH2)0-6, O, NH, etc. and J is a ring structure; R2 is CO-W or CONH(CH2)0-6-W, where W is a heteroatom unit with at least one nitrogen atom and at least one cationic center, hydrogen bond donor or acceptor; R3 is -L2-Q, where L2 is a linker COCH(NH2)CH2, COCH2O, 5-carbonyl-substituted 3-pyrrolidinyl, etc.; preferably R3 is a D-amino acid with at least one (un)substituted Ph or naphthyl ring or 1-3 addnl. amino acid residues, optionally with an amine capping group] and their pharmaceutically-acceptable salts, which are agonists/antagonists at one or more melanocortin receptors and having utility in the treatment of melanocortin receptor-related disorders and conditions. Thus, peptide II was prepared by the solid-phase method and shown to be an agonist of MC1-R (Ki = 10 nM).

IT 497936-02-4P 497936-03-5P 497936-04-6P
 497936-05-7P 497936-06-8P 497936-08-0P
 497936-09-1P 497936-10-4P 497936-11-5P
 497936-12-6P 497936-13-7P 497936-14-8P
 497936-15-9P 497936-16-0P 497936-17-1P
 497936-18-2P 497936-19-3P 497936-20-6P
 497936-24-0P 497936-27-3P 497936-28-4P

497936-29-5P 497936-31-9P 497936-33-1P
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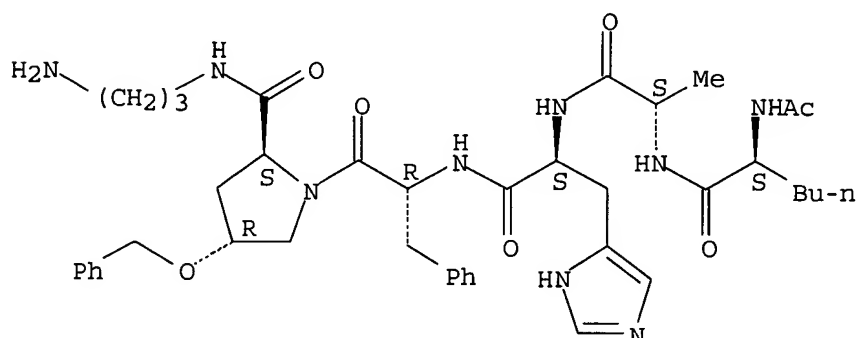
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolidine melanocortin-specific compds.)

RN 497936-02-4 HCAPLUS

CN L-Prolinamide, N-acetyl-L-norleucyl-L-alanyl-L-histidyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

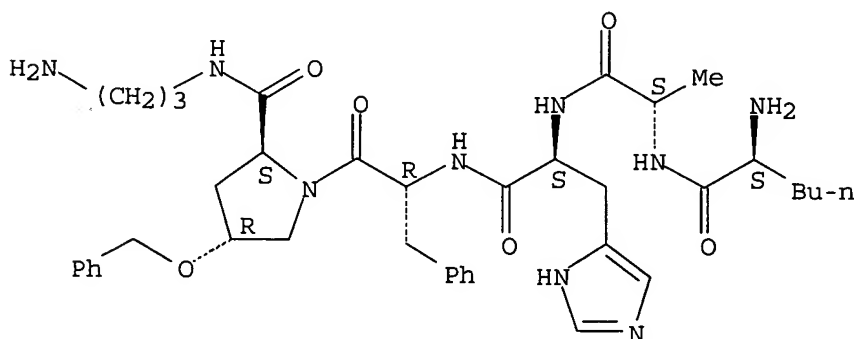
Absolute stereochemistry.



RN 497936-03-5 HCAPLUS

CN L-Prolinamide, L-norleucyl-L-alanyl-L-histidyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

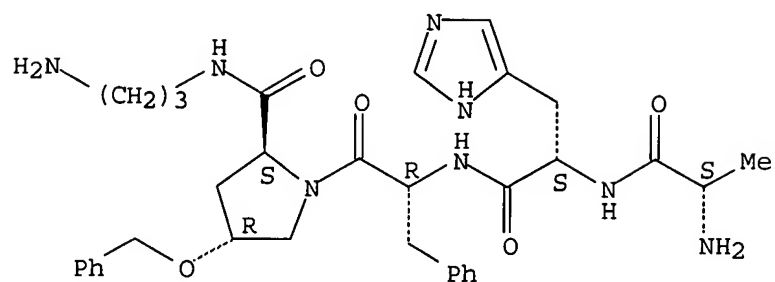
Absolute stereochemistry.



RN 497936-04-6 HCAPLUS

CN L-Prolinamide, L-alanyl-L-histidyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

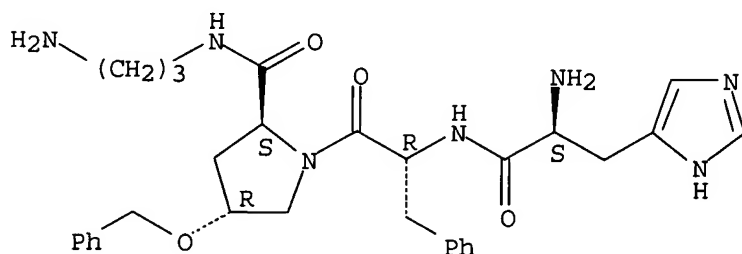
Absolute stereochemistry.



RN 497936-05-7 HCAPLUS

CN L-Prolinamide, L-histidyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

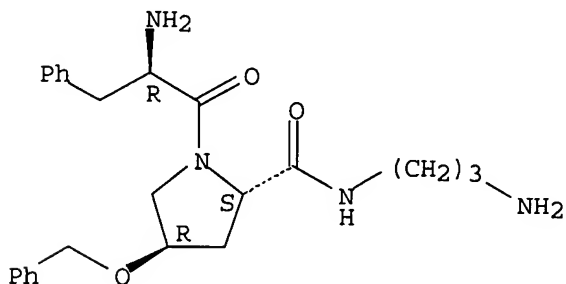
Absolute stereochemistry.



RN 497936-06-8 HCAPLUS

CN L-Prolinamide, D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

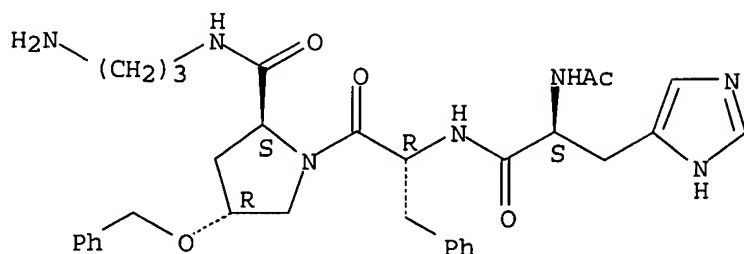
Absolute stereochemistry.



RN 497936-08-0 HCAPLUS

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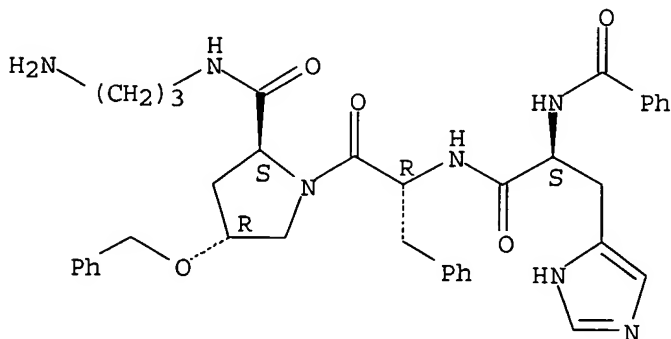
Absolute stereochemistry.



RN 497936-09-1 HCAPLUS

CN L-Prolinamide, N-benzoyl-L-histidyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

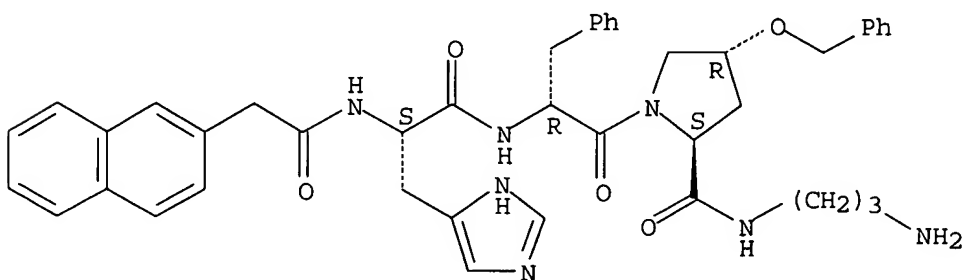
Absolute stereochemistry.



RN 497936-10-4 HCAPLUS

CN L-Prolinamide, N-(2-naphthalenylacetyl)-L-histidyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

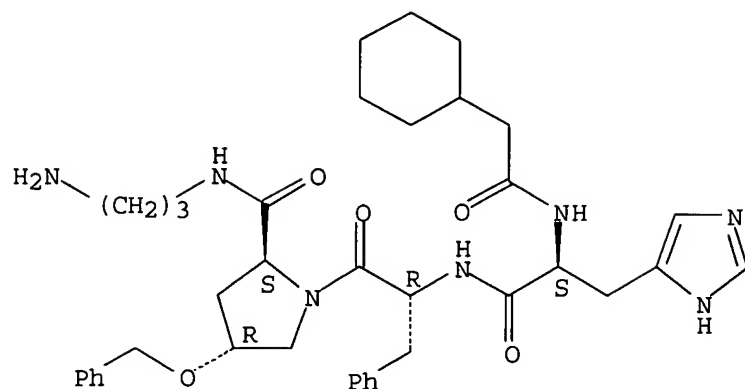
Absolute stereochemistry.



RN 497936-11-5 HCAPLUS

CN L-Prolinamide, N-(cyclohexylacetyl)-L-histidyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

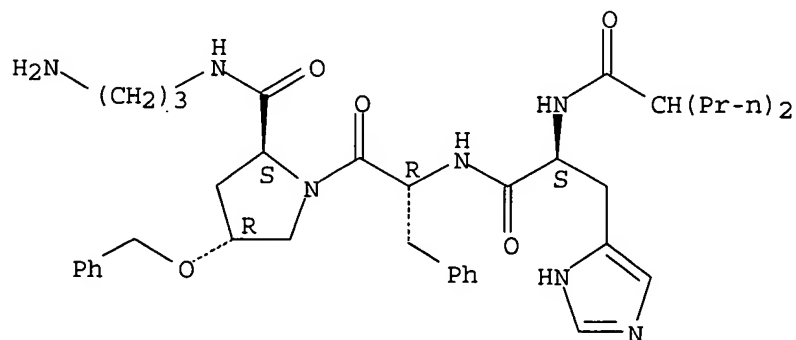
Absolute stereochemistry.



RN 497936-12-6 HCAPLUS

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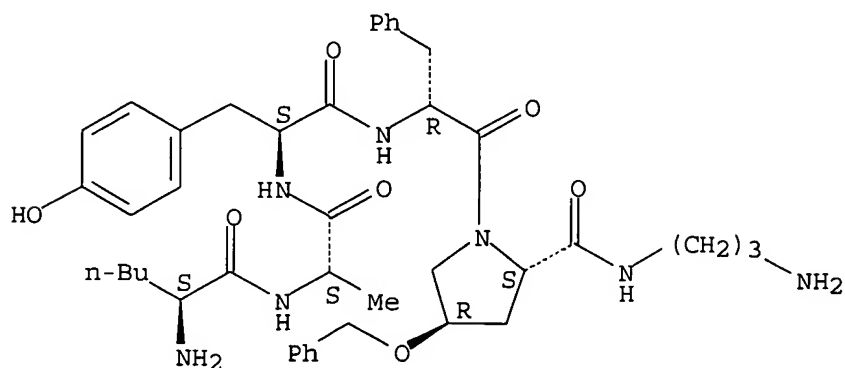
Absolute stereochemistry.



RN 497936-13-7 HCAPLUS

CN L-Prolinamide, L-norleucyl-L-alanyl-L-tyrosyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

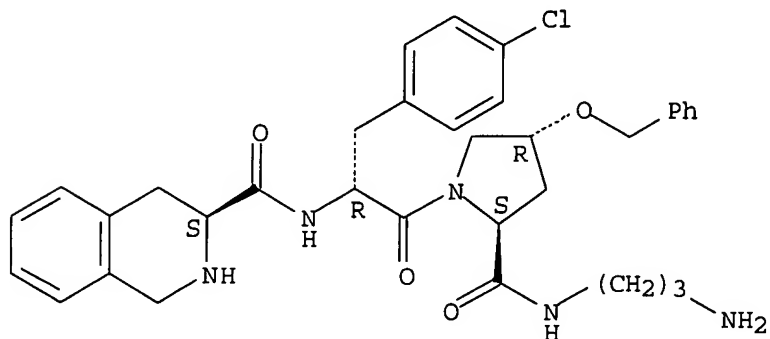


RN 497936-14-8 HCAPLUS

09/04/2006 10776657.trn

CN L-Prolinamide, (3S)-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-4-chloro-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

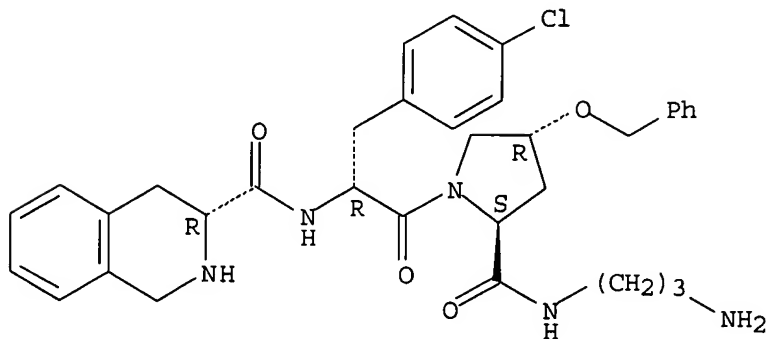
Absolute stereochemistry.



RN 497936-15-9 HCAPLUS

CN L-Prolinamide, (3R)-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-4-chloro-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

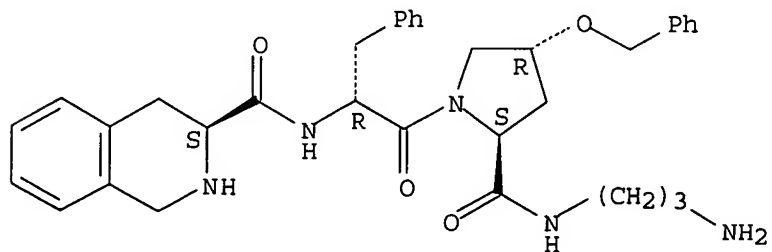
Absolute stereochemistry.



RN 497936-16-0 HCAPLUS

CN L-Prolinamide, (3S)-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

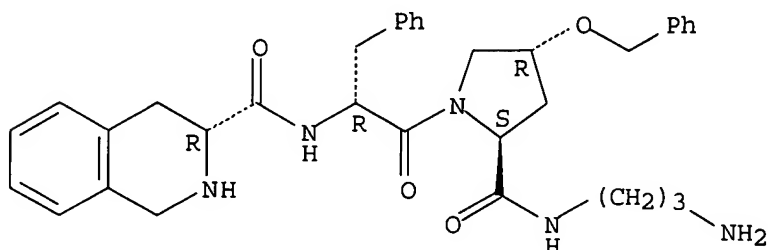
Absolute stereochemistry.



RN 497936-17-1 HCAPLUS

CN L-Prolinamide, (3R)-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

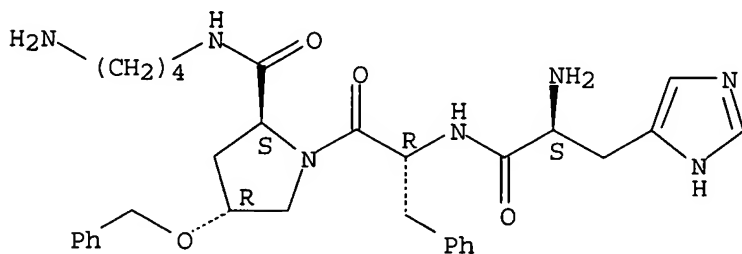
Absolute stereochemistry.



RN 497936-18-2 HCAPLUS

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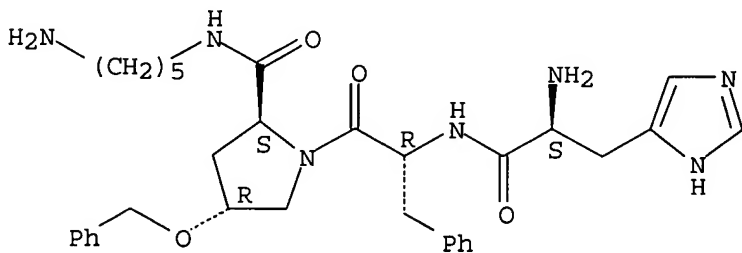
Absolute stereochemistry.



RN 497936-19-3 HCAPLUS

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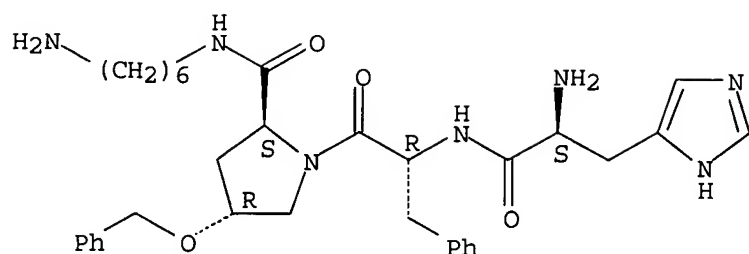
Absolute stereochemistry.



RN 497936-20-6 HCAPLUS

CN L-Prolinamide, L-histidyl-D-phenylalanyl-N-(6-aminohexyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

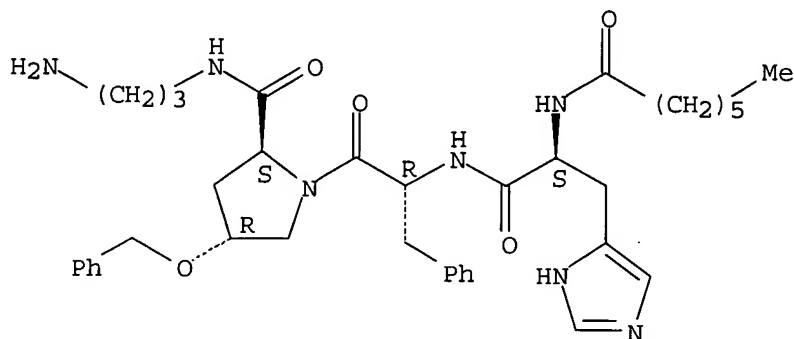
Absolute stereochemistry.



RN 497936-24-0 HCAPLUS

CN L-Prolinamide, N-(1-oxoheptyl)-L-histidyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

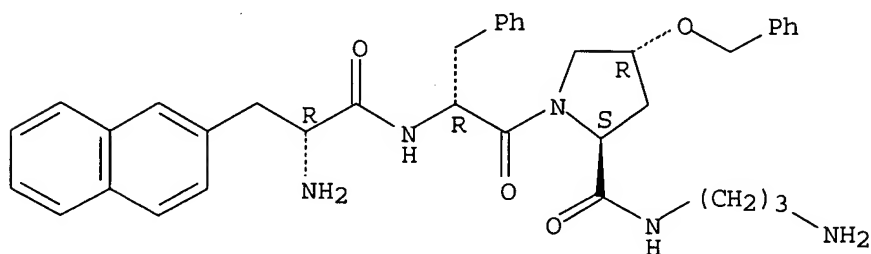
Absolute stereochemistry.



RN 497936-27-3 HCAPLUS

CN L-Prolinamide, 3-(2-naphthalenyl)-D-alanyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

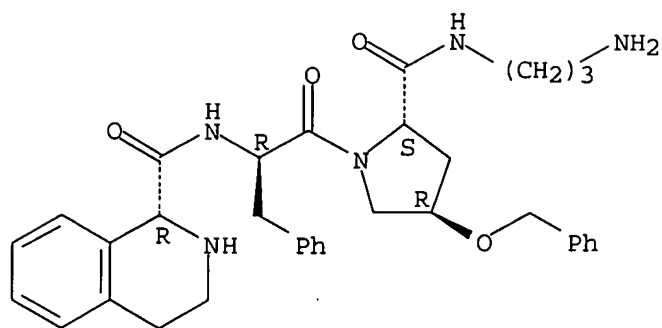
Absolute stereochemistry.



RN 497936-28-4 HCAPLUS

CN L-Prolinamide, (1R)-1,2,3,4-tetrahydro-1-isoquinolinecarbonyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

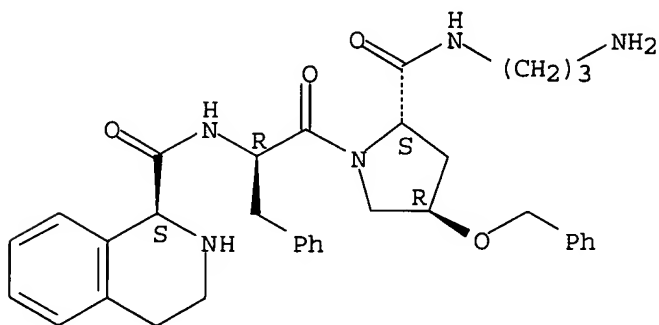
Absolute stereochemistry.



RN 497936-29-5 HCAPLUS

CN L-Prolinamide, (1S)-1,2,3,4-tetrahydro-1-isoquinolinecarbonyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

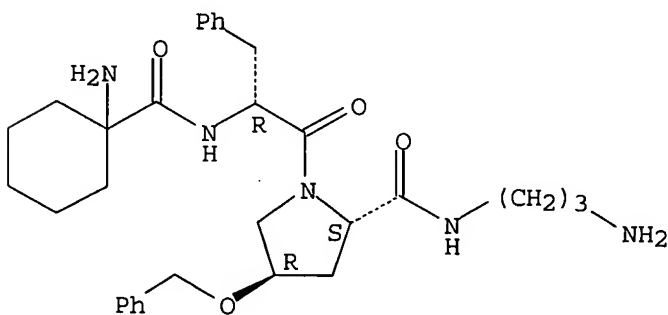
Absolute stereochemistry.



RN 497936-31-9 HCAPLUS

CN L-Prolinamide, 1-aminocyclohexanecarbonyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

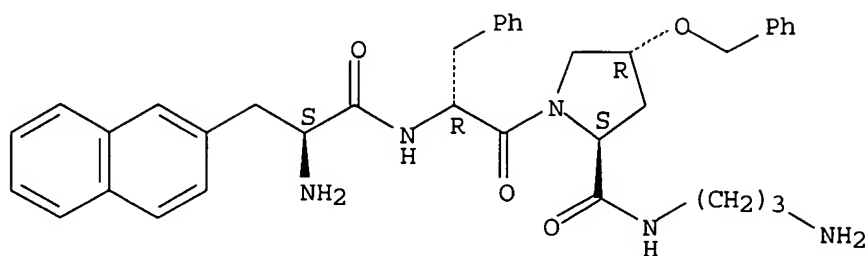
Absolute stereochemistry.



RN 497936-33-1 HCAPLUS

CN L-Prolinamide, 3-(2-naphthalenyl)-L-alanyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

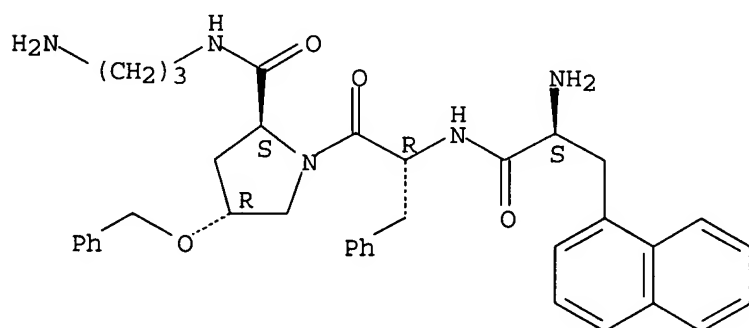
Absolute stereochemistry.



RN 497936-34-2 HCAPLUS

CN L-Prolinamide, 3-(1-naphthalenyl)-L-alanyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

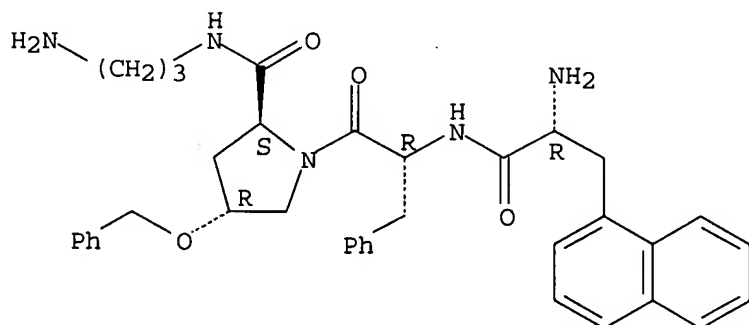
Absolute stereochemistry.



RN 497936-35-3 HCAPLUS

CN L-Prolinamide, 3-(1-naphthalenyl)-D-alanyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

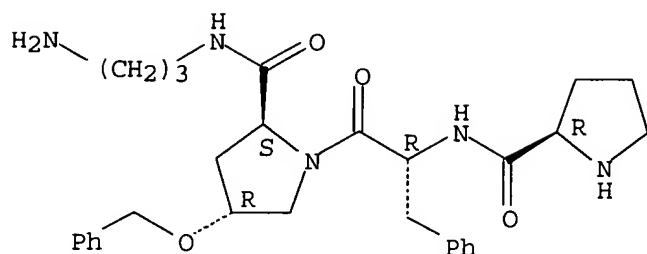
Absolute stereochemistry.



RN 497936-36-4 HCAPLUS

CN L-Prolinamide, D-prolyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

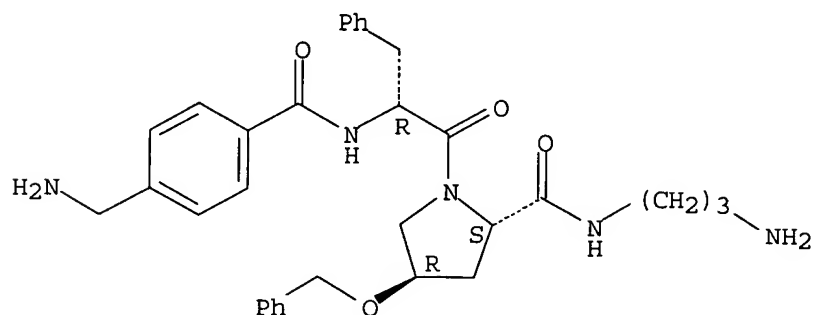
Absolute stereochemistry.



RN 497936-37-5 HCAPLUS

CN L-Prolinamide, N-[4-(aminomethyl)benzoyl]-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

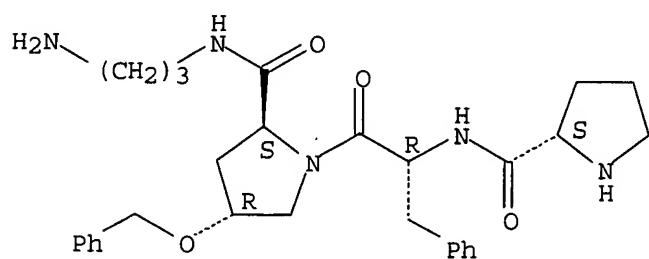
Absolute stereochemistry.



RN 497936-38-6 HCAPLUS

CN L-Prolinamide, L-prolyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

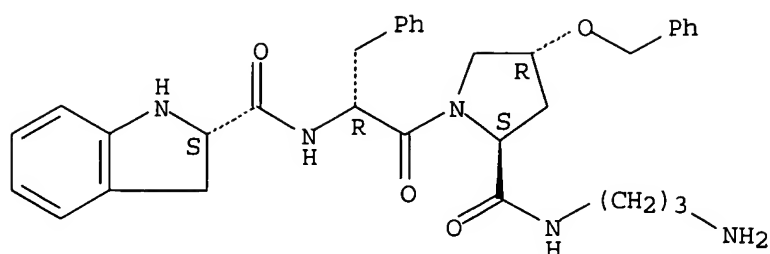
Absolute stereochemistry.



RN 497936-40-0 HCAPLUS

CN L-Prolinamide, (2S)-2,3-dihydro-1H-indole-2-carbonyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

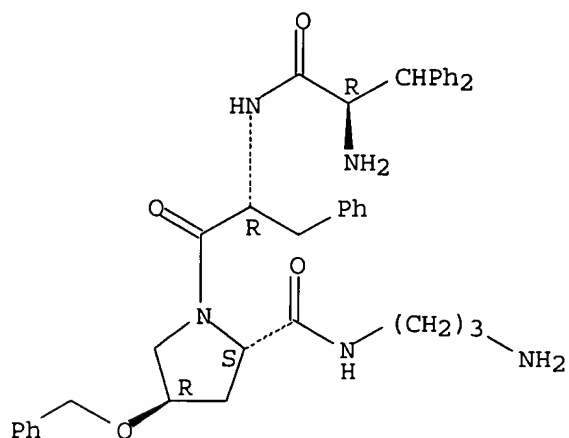
Absolute stereochemistry.



RN 497936-41-1 HCAPLUS

CN L-Prolinamide, β -phenyl-D-phenylalanyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

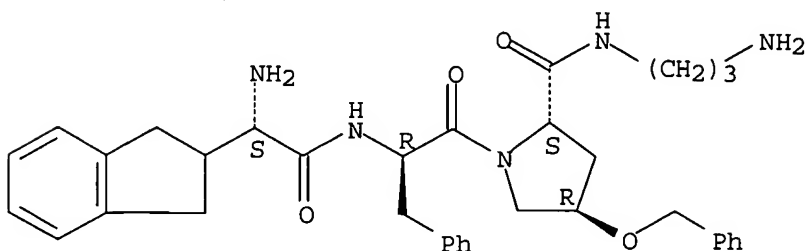
Absolute stereochemistry.



RN 497936-42-2 HCAPLUS

CN L-Prolinamide, (2S)-2-(2,3-dihydro-1H-inden-2-yl)glycyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

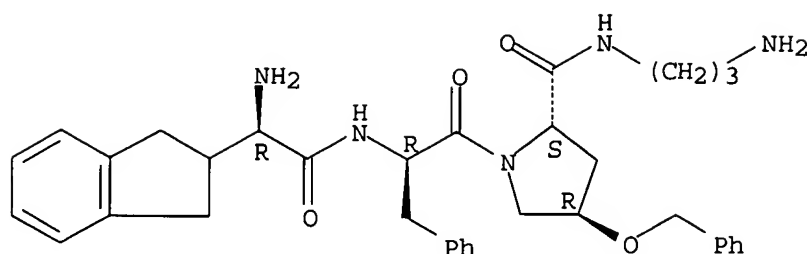
Absolute stereochemistry.



RN 497936-43-3 HCAPLUS

CN L-Prolinamide, (2R)-2-(2,3-dihydro-1H-inden-2-yl)glycyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

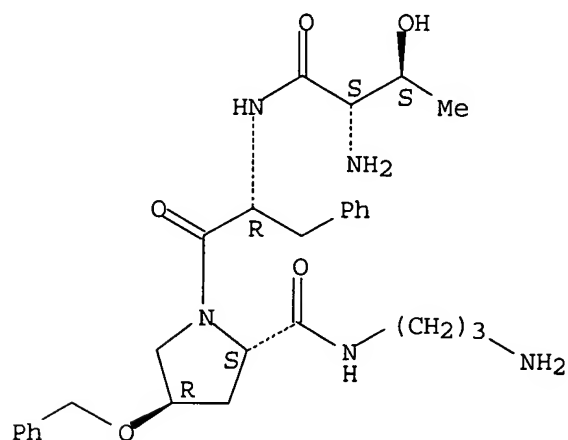
Absolute stereochemistry.



RN 497936-44-4 HCAPLUS

CN L-Prolinamide, L-allothreonyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

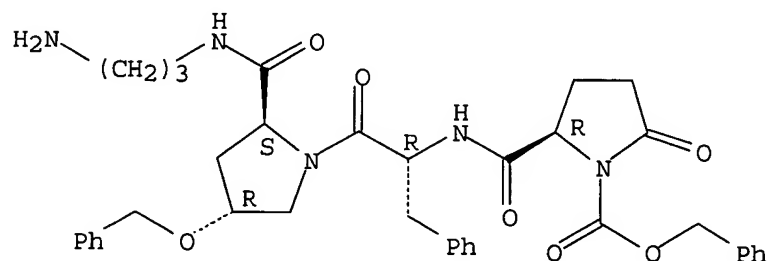
Absolute stereochemistry.



RN 497936-45-5 HCAPLUS

CN L-Prolinamide, 5-oxo-1-[(phenylmethoxy)carbonyl]-D-prolyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

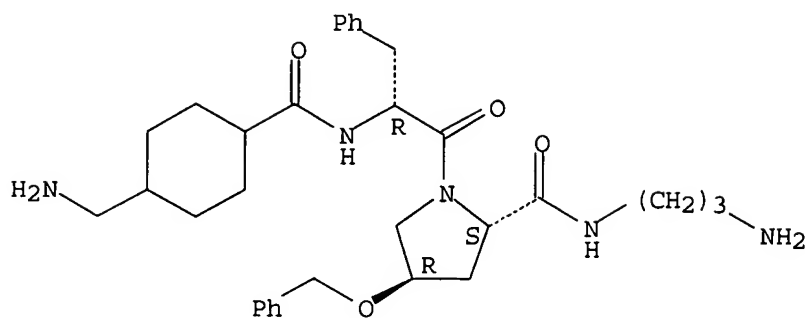
Absolute stereochemistry.



RN 497959-88-3 HCAPLUS

CN L-Prolinamide, N-[[4-(aminomethyl)cyclohexyl]carbonyl]-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

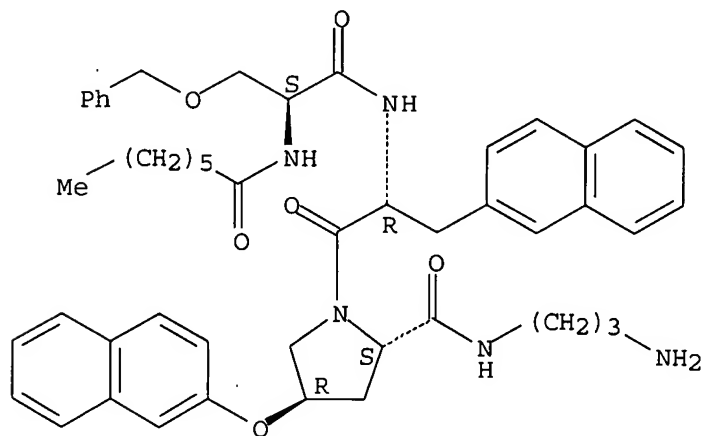
Absolute stereochemistry.



RN 743466-80-0 HCAPLUS

CN L-Prolinamide, N-(1-oxoheptyl)-O-(phenylmethyl)-L-seryl-3-(2-naphthalenyl)-D-alanyl-N-(3-aminopropyl)-4-(2-naphthalenyloxy)-, (4R)-(9CI) (CA INDEX NAME)

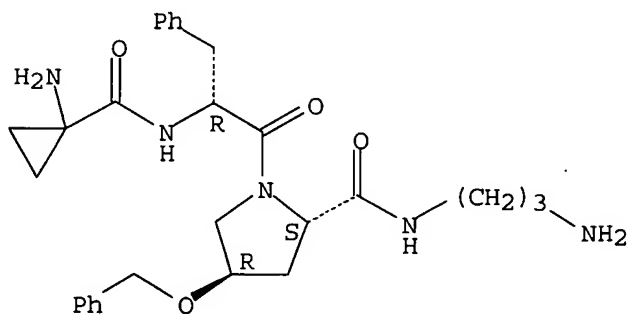
Absolute stereochemistry.



RN 743466-84-4 HCAPLUS

CN L-Prolinamide, 1-aminocyclopropanecarbonyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

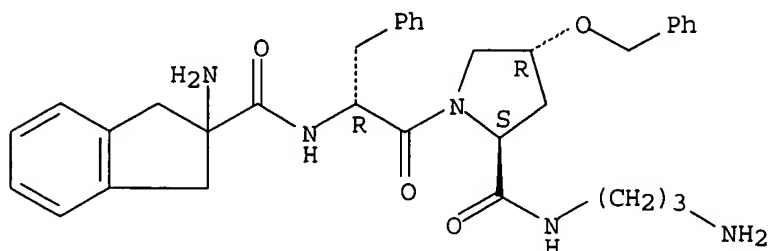


RN 743466-86-6 HCAPLUS

CN L-Prolinamide, 2-amino-2,3-dihydro-1H-indene-2-carbonyl-D-phenylalanyl-N-

(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

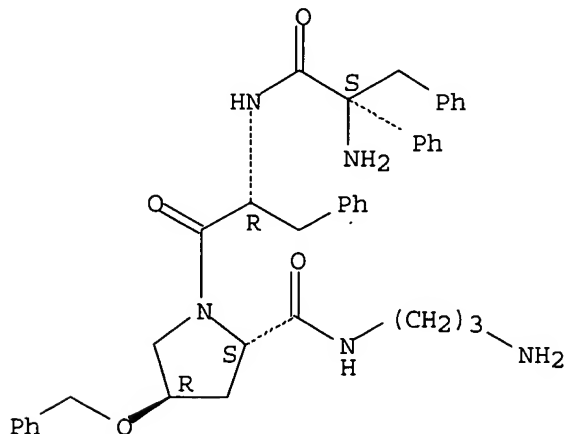
Absolute stereochemistry.



RN 743466-87-7 HCAPLUS

CN L-Prolinamide, α -phenyl-D-phenylalanyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L7 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS OR STN

ACCESSION NUMBER: 2003:133079 HCAPLUS

DOCUMENT NUMBER: 138:188071

TITLE: Peptidomimetics of biologically active metalloproteins
INVENTOR(S): Sharma, Shubh D.; Shi, Yiqun; Rajpurohit, Ramesh; Wu, Zhi-Jun

PATENT ASSIGNEE(S): Relatin Technologies, Inc., USA

SOURCE: PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013571	A1	20030220	WO 2002-US25574	20020812
W: AE, AG, AL, AM, AT, AU, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				

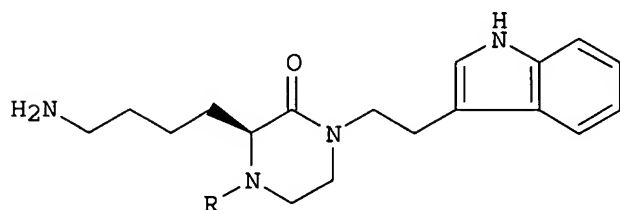
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 UZ, VN, YU, ZA, ZW
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 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
 PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
 NE, SN, TD, TG

CA 2462200	AA	20030220	CA 2002-2462200	20020812
EP 1425029	A1	20040609	EP 2002-768507	20020812
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JP 2005504043	T2	20050210	JP 2003-518577	20020812
US 2004152134	A1	20040805	US 2004-761889	20040121
US 2004157264	A1	20040812	US 2004-762079	20040121
US 2004167201	A1	20040826	US 2004-776657	20040210
US 2004171520	A1	20040902	US 2004-776419	20040210
US 2005130988	A1	20050616	US 2005-36282	20050114
US 2005124636	A1	20050609	US 2005-40838	20050121
US 2005176728	A1	20050811	US 2005-99814	20050405

PRIORITY APPLN. INFO.:

US 2001-311404P	P	20010810
WO 2002-US25574	W	20020812
US 2003-467442P	P	20030501
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US 2004-536606P	P	20040114
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US 2004-559741P	P	20040405
US 2004-563739P	P	20040419
US 2004-837519	A2	20040430

OTHER SOURCE(S): MARPAT 138:188071
 GI



I

AB The invention relates to a method of deriving a peptidomimetic of a biol. active metalloprotein. The peptidomimetic contains at least one non-peptide ring structure and at least two amino acid-related elements. The invention further relates to peptidomimetics with a template space heterocyclic ring structure, including 5-, 6- and 8-membered and 5-5 and 6-5 bicyclic fused ring structure melanocortin receptor-specific peptidomimetics. The examples describe the synthesis of pyrrolidines, 2-piperazinones [e.g., I [R = BuCH₂CH₂CO-Ser(Bzl)-D-Phe(2-Cl)]]], hexahydropyrrolo[1,2-a]pyrazin-4-ones, hexahydropyrrolo[1,2-a]imidazol-3-ones, 1,4-benzodiazepines, and piperazines. Competitive inhibition testing of compound I against α -MSH yielded the following results at 1 μ M: melanocortin-1 receptor (MC1-R) 96%, MC3-R 51%, MC4-R 99%, and MC5-R 82%.

IT 497936-02-4P 497936-03-5P 497936-04-6P

497936-05-7P 497936-06-8P 497936-07-9P
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 497959-88-3P

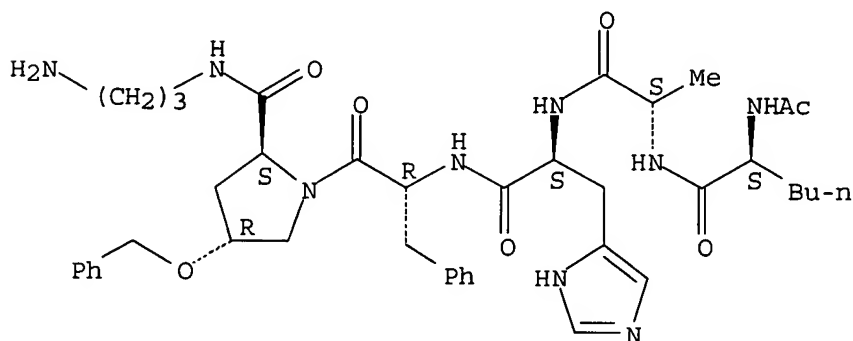
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(peptidomimetics of biol. active metalloptides)

RN 497936-02-4 HCAPLUS

CN L-Prolinamide, N-acetyl-L-norleucyl-L-alanyl-L-histidyl-D-phenylalanyl-N-
 (3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

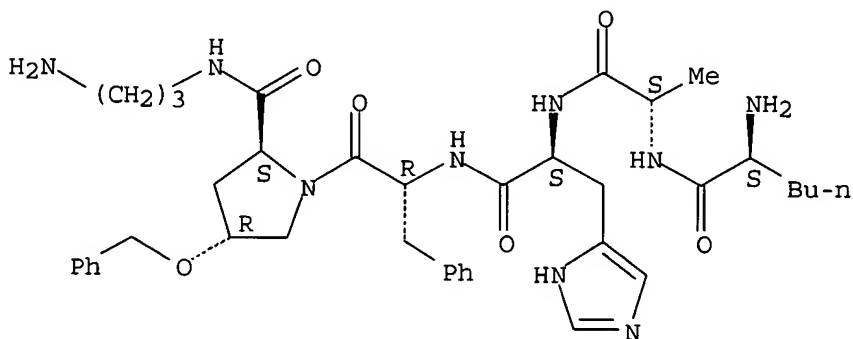
Absolute stereochemistry.



RN 497936-03-5 HCAPLUS

CN L-Prolinamide, L-norleucyl-L-alanyl-L-histidyl-D-phenylalanyl-N-(3-
 aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

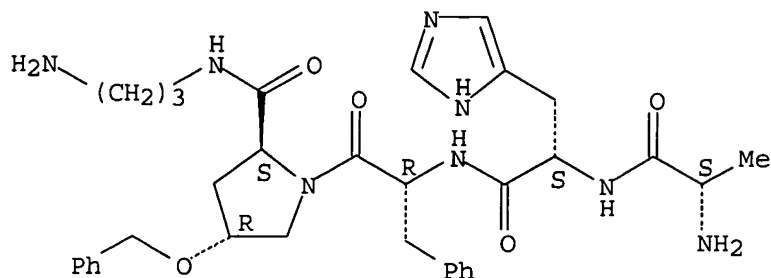


RN 497936-04-6 HCAPLUS

CN L-Prolinamide, L-alanyl-L-histidyl-D-phenylalanyl-N-(3-aminopropyl)-4-

(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

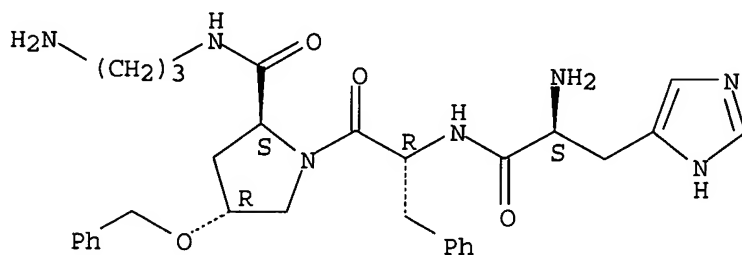
Absolute stereochemistry.



RN 497936-05-7 HCAPLUS

CN L-Prolinamide, L-histidyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

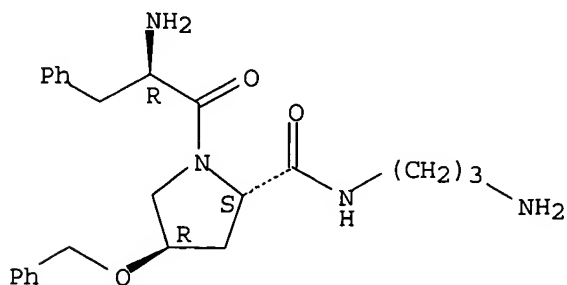
Absolute stereochemistry.



RN 497936-06-8 HCAPLUS

CN L-Prolinamide, D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

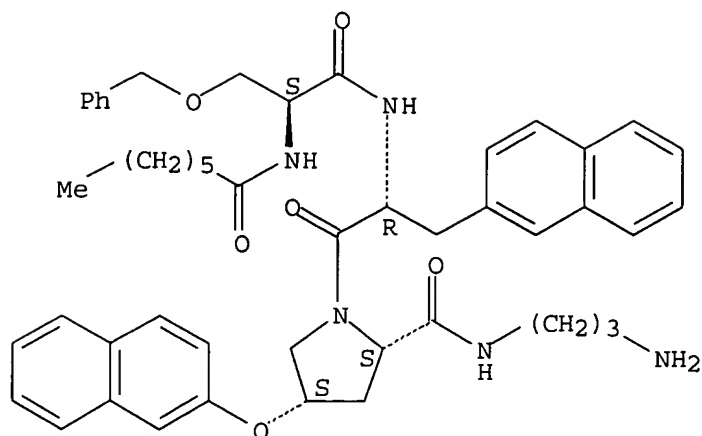
Absolute stereochemistry.



RN 497936-07-9 HCAPLUS

CN L-Prolinamide, N-(1-oxoheptyl)-O-(phenylmethyl)-L-seryl-3-(2-naphthalenyl)-D-alanyl-N-(3-aminopropyl)-4-(2-naphthalenyloxy)-, (4S)- (9CI) (CA INDEX NAME)

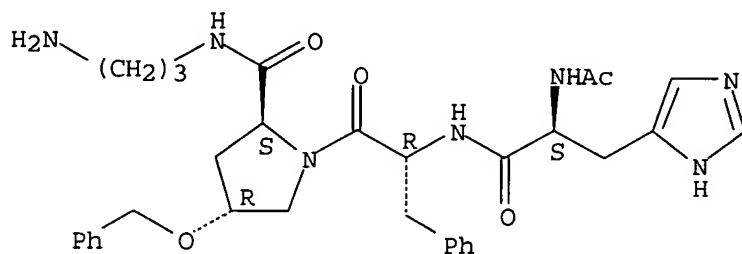
Absolute stereochemistry.



RN 497936-08-0 HCAPLUS

CN L-Prolinamide, N-acetyl-L-histidyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

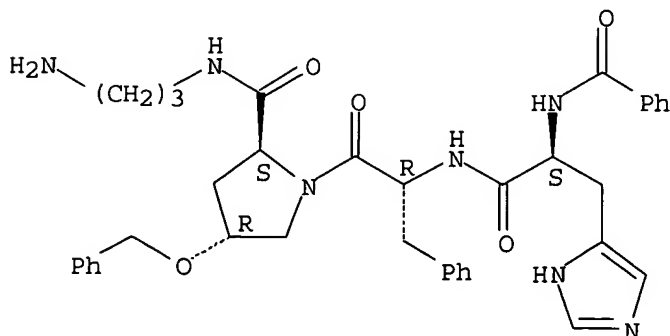
Absolute stereochemistry.



RN 497936-09-1 HCAPLUS

CN L-Prolinamide, N-benzoyl-L-histidyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

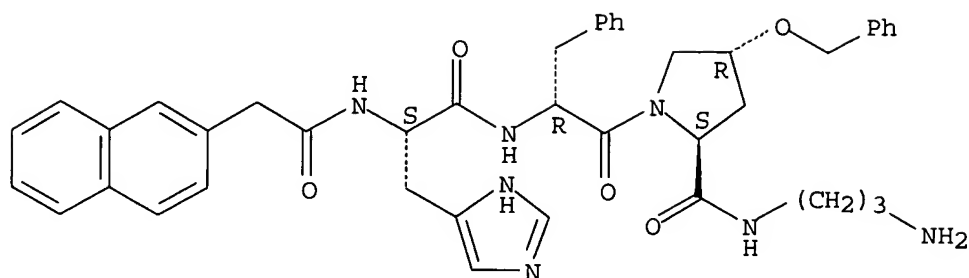
Absolute stereochemistry.



RN 497936-10-4 HCAPLUS

CN L-Prolinamide, N-(2-naphthalenylacetyl)-L-histidyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

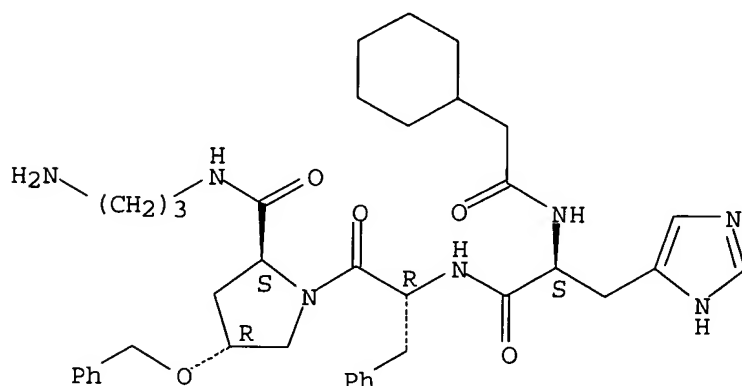
Absolute stereochemistry.



RN 497936-11-5 HCAPLUS

CN L-Prolinamide, N-(cyclohexylacetyl)-L-histidyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

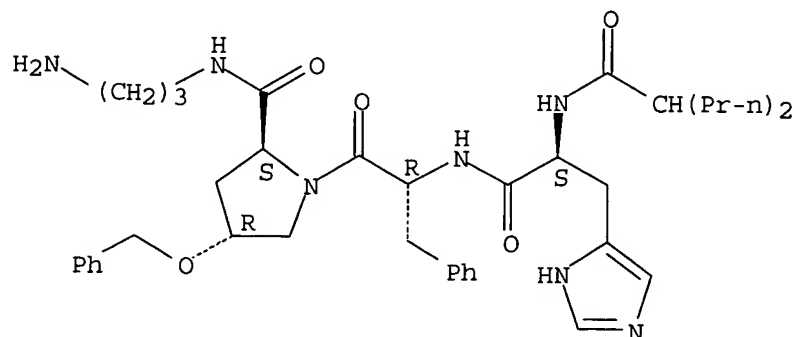
Absolute stereochemistry.



RN 497936-12-6 HCAPLUS

CN L-Prolinamide, N-(1-oxo-2-propylpentyl)-L-histidyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

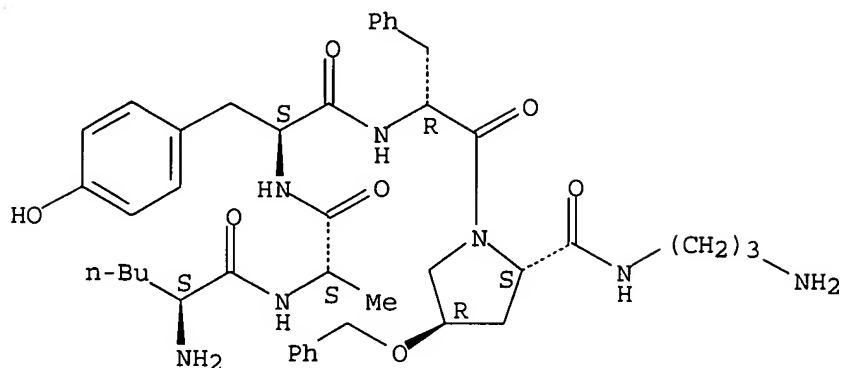
Absolute stereochemistry.



RN 497936-13-7 HCAPLUS

CN L-Prolinamide, L-norleucyl-L-alanyl-L-tyrosyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

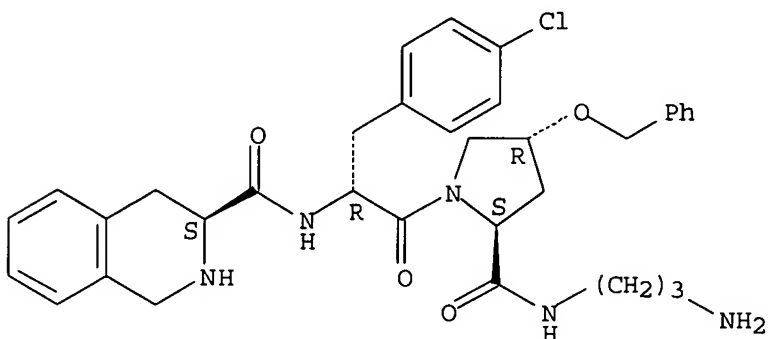
Absolute stereochemistry.



RN 497936-14-8 HCAPLUS

CN L-Prolinamide, (3S)-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-4-chloro-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

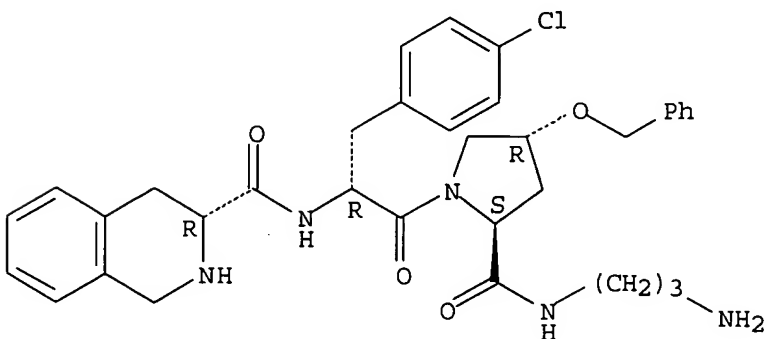
Absolute stereochemistry.



RN 497936-15-9 HCAPLUS

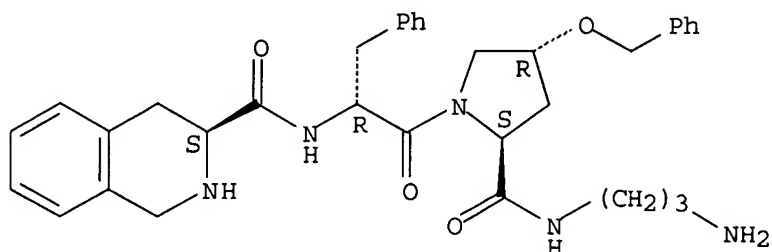
CN L-Prolinamide, (3R)-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-4-chloro-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



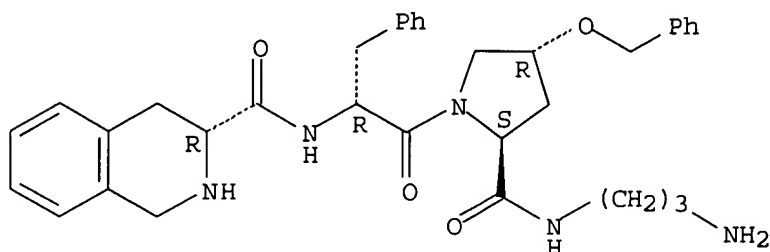
RN 497936-16-0 HCAPLUS
 CN L-Prolinamide, (3S)-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



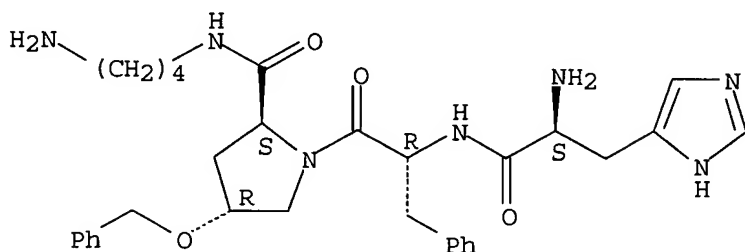
RN 497936-17-1 HCAPLUS
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Absolute stereochemistry.



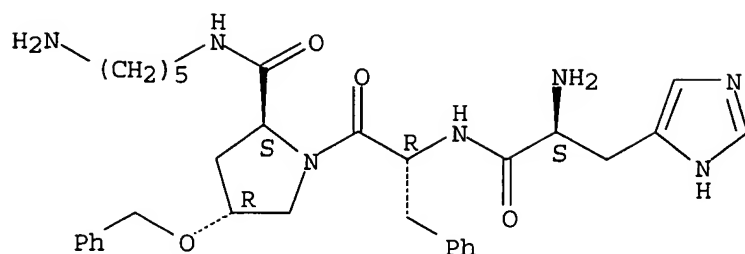
RN 497936-18-2 HCAPLUS
 CN L-Prolinamide, L-histidyl-D-phenylalanyl-N-(4-aminobutyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 497936-19-3 HCAPLUS
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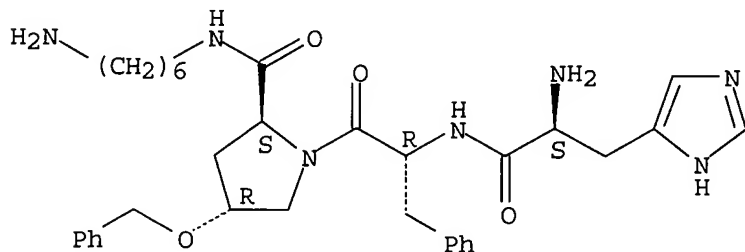
Absolute stereochemistry.



RN 497936-20-6 HCAPLUS

CN L-Prolinamide, L-histidyl-D-phenylalanyl-N-(6-aminohexyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

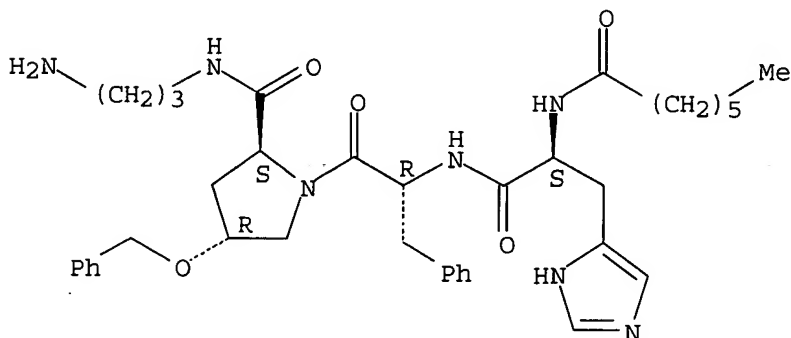
Absolute stereochemistry.



RN 497936-24-0 HCAPLUS

CN L-Prolinamide, N-(1-oxoheptyl)-L-histidyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

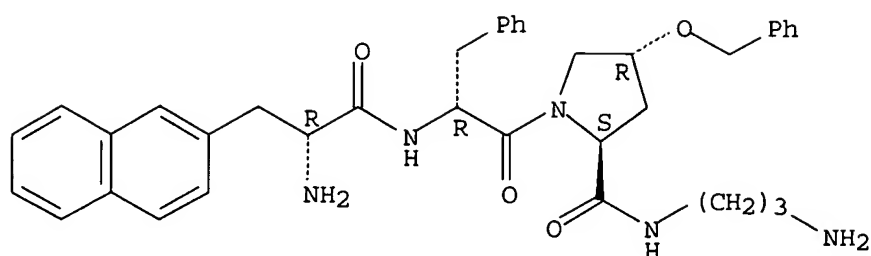
Absolute stereochemistry.



RN 497936-27-3 HCAPLUS

CN L-Prolinamide, 3-(2-naphthalenyl)-D-alanyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

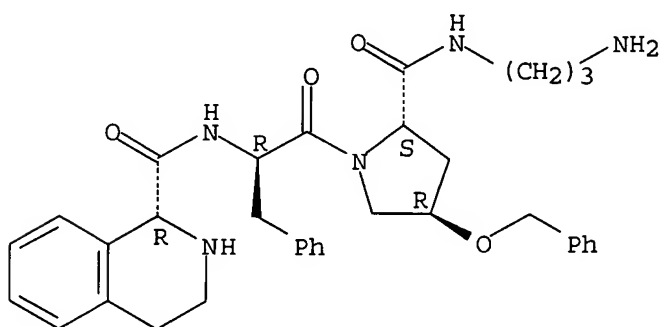
Absolute stereochemistry.



RN 497936-28-4 HCAPLUS

CN L-Prolinamide, (1R)-1,2,3,4-tetrahydro-1-isoquinolinecarbonyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

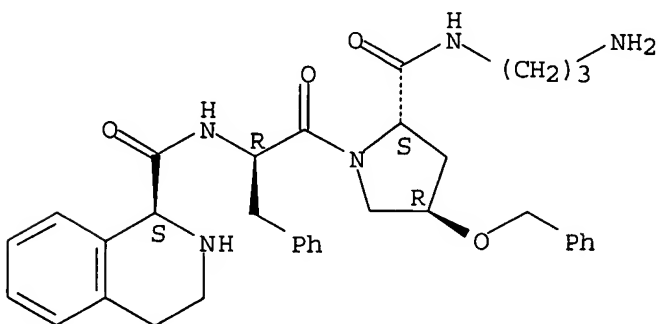
Absolute stereochemistry.



RN 497936-29-5 HCAPLUS

CN L-Prolinamide, (1S)-1,2,3,4-tetrahydro-1-isoquinolinecarbonyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

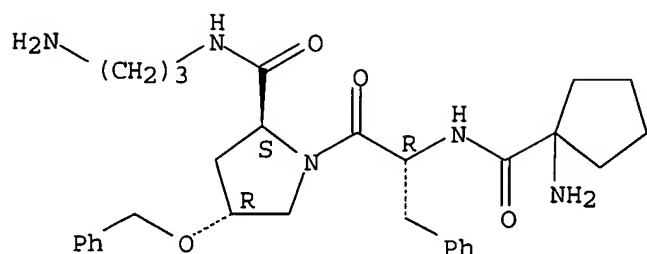
Absolute stereochemistry.



RN 497936-30-8 HCAPLUS

CN L-Prolinamide, 1-aminocyclopentanecarbonyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

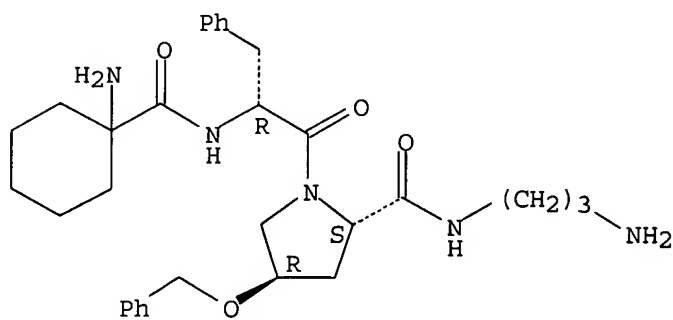
Absolute stereochemistry.



RN 497936-31-9 HCAPLUS

CN L-Prolinamide, 1-aminocyclohexanecarbonyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

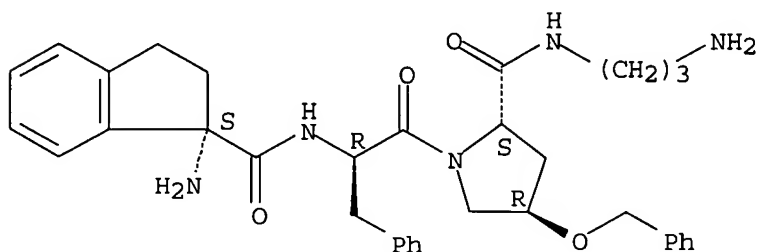
Absolute stereochemistry.



RN 497936-32-0 HCAPLUS

CN L-Prolinamide, (1S)-1-amino-2,3-dihydro-1H-indene-1-carbonyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

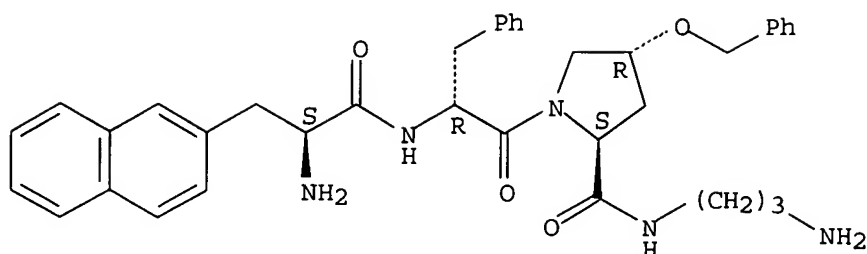
Absolute stereochemistry.



RN 497936-33-1 HCAPLUS

CN L-Prolinamide, 3-(2-naphthalenyl)-L-alanyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

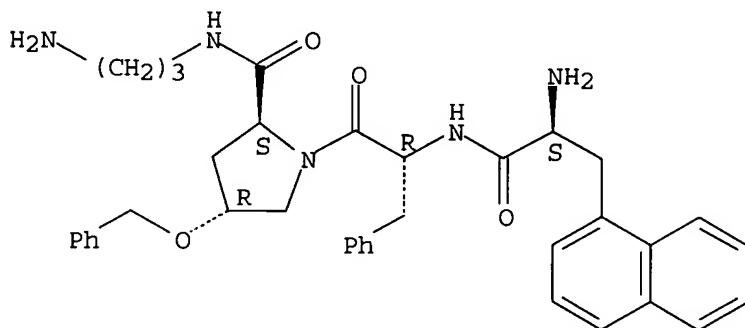
Absolute stereochemistry.



RN 497936-34-2 HCAPLUS

CN L-Prolinamide, 3-(1-naphthalenyl)-L-alanyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

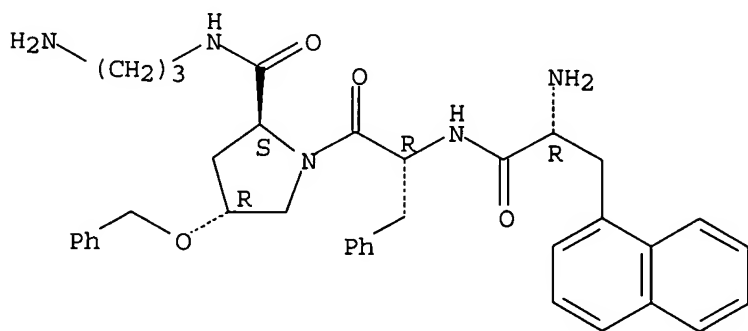
Absolute stereochemistry.



RN 497936-35-3 HCAPLUS

CN L-Prolinamide, 3-(1-naphthalenyl)-D-alanyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

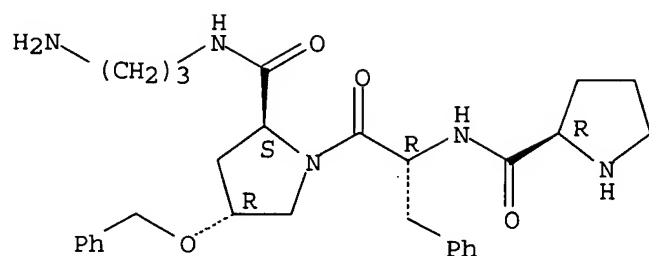
Absolute stereochemistry.



RN 497936-36-4 HCAPLUS

CN L-Prolinamide, D-prolyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

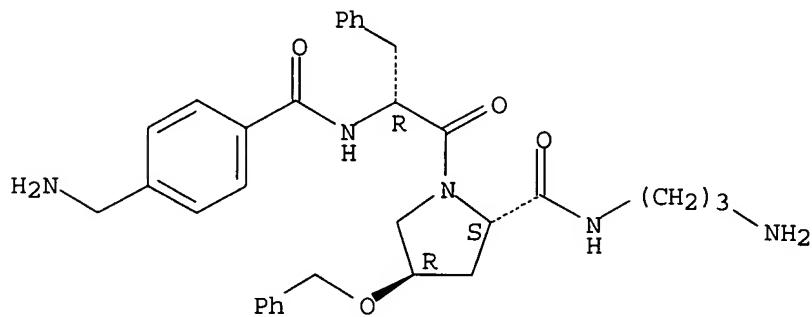
Absolute stereochemistry.



RN 497936-37-5 HCAPLUS

CN L-Prolinamide, N-[4-(aminomethyl)benzoyl]-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

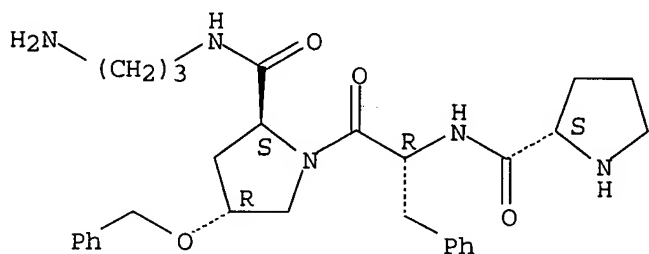
Absolute stereochemistry.



RN 497936-38-6 HCAPLUS

CN L-Prolinamide, L-prolyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

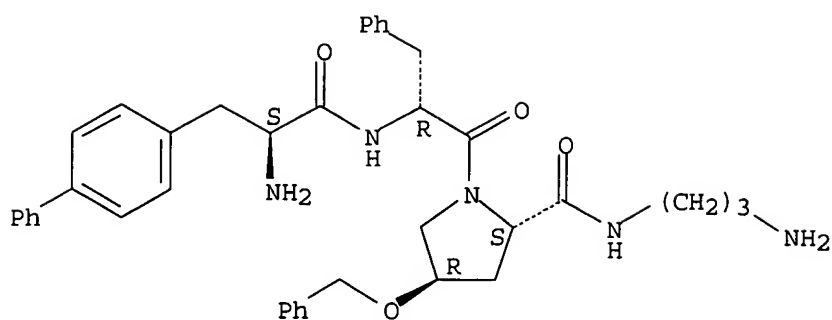
Absolute stereochemistry.



RN 497936-39-7 HCAPLUS

CN L-Prolinamide, 3-[1,1'-biphenyl]-4-yl-L-alanyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

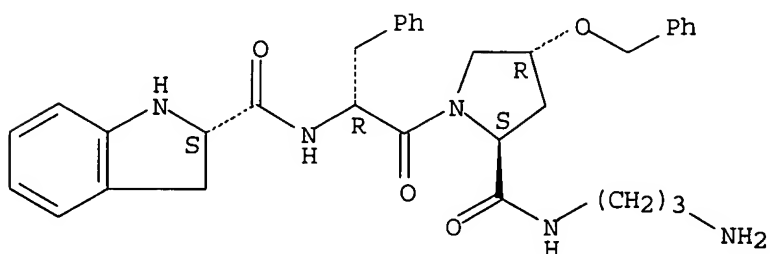
Absolute stereochemistry.



RN 497936-40-0 HCAPLUS

CN L-Prolinamide, (2S)-2,3-dihydro-1H-indole-2-carbonyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

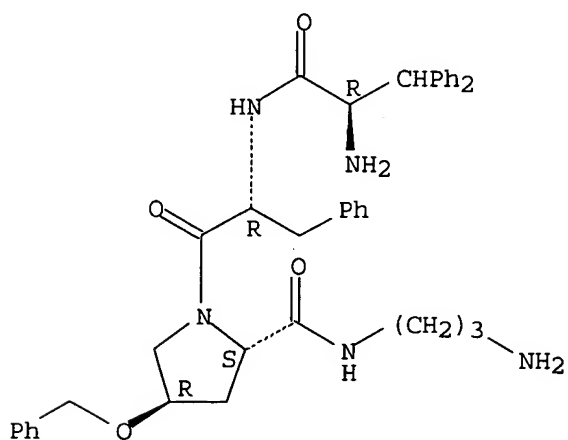
Absolute stereochemistry.



RN 497936-41-1 HCAPLUS

CN L-Prolinamide, β-phenyl-D-phenylalanyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

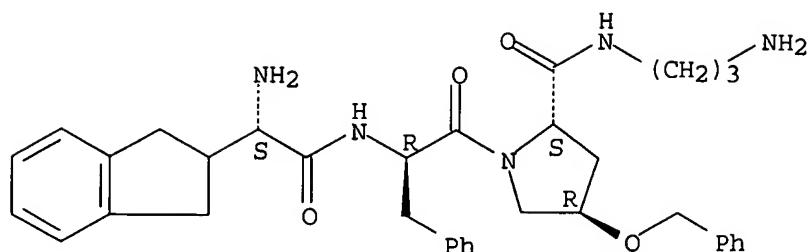
Absolute stereochemistry.



RN 497936-42-2 HCAPLUS

CN L-Prolinamide, (2S)-2-(2,3-dihydro-1H-inden-2-yl)glycyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

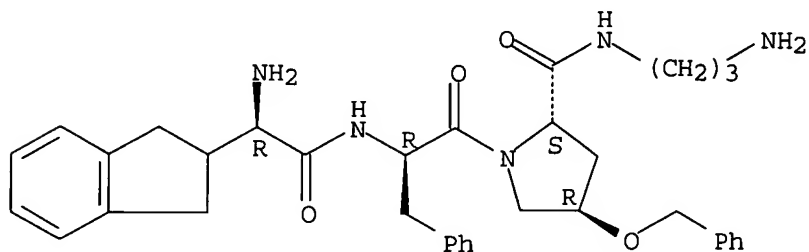
Absolute stereochemistry.



RN 497936-43-3 HCAPLUS

CN L-Prolinamide, (2R)-2-(2,3-dihydro-1H-inden-2-yl)glycyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

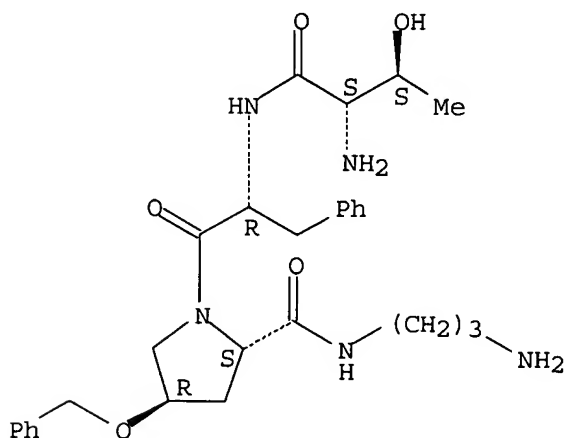
Absolute stereochemistry.



RN 497936-44-4 HCAPLUS

CN L-Prolinamide, L-allothreonyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

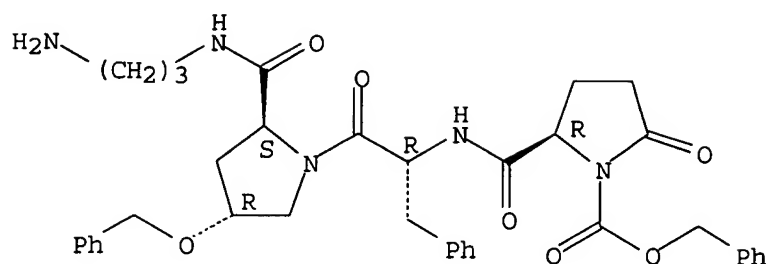
Absolute stereochemistry.



RN 497936-45-5 HCAPLUS

CN L-Prolinamide, 5-oxo-1-[(phenylmethoxy)carbonyl]-D-prolyl-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

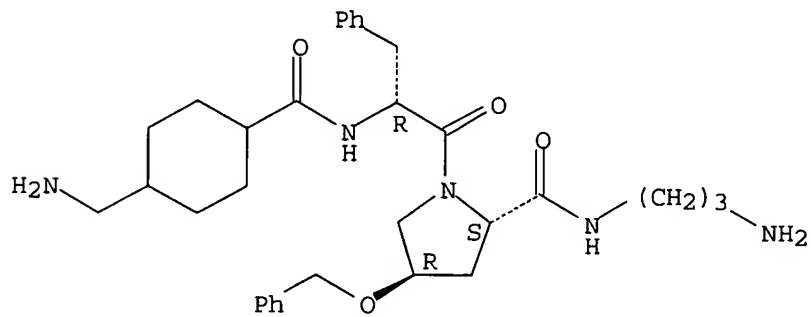
Absolute stereochemistry.



RN 497959-88-3 HCAPLUS

CN L-Prolinamide, N-[[4-(aminomethyl)cyclohexyl]carbonyl]-D-phenylalanyl-N-(3-aminopropyl)-4-(phenylmethoxy)-, (4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 13:21:36 ON 04 SEP 2006)

FILE 'REGISTRY' ENTERED AT 13:21:55 ON 04 SEP 2006

L1 STRUCTURE UPLOADED

L2 23 S L1

L3 424 S L1 SSS FULL

L4 STRUCTURE UPLOADED

L5 2 S L4

L6 44 S L4 SSS FULL

FILE 'HCAPLUS' ENTERED AT 13:31:23 ON 04 SEP 2006

L7 2 S L6

L8 198 S L3

=> s 18 and p/dt

5377035 P/DT

L9 70 L8 AND P/DT

=> s 19 and py<=2001

21830030 PY<=2001

L10 46 L9 AND PY<=2001

=> s 110 and us/pc
1578150 US/PC

L11 33 L10 AND US/PC

=> s 111 and melanocortin
2542 MELANOCORTIN
342 MELANOCORTINS
2592 MELANOCORTIN
(MELANOCORTIN OR MELANOCORTINS)

L12 0 L11 AND MELANOCORTIN

=> d 111 ibib abs 1-15

L11 ANSWER 1 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1219937 HCAPLUS

DOCUMENT NUMBER: 143:478209

TITLE: Cyclized conotoxin peptides

INVENTOR(S): Craik, David James; Daly, Norelle Lee; Nielsen, Katherine Justine; Armishaw, Christopher A.; Clark, Richard J.; Alewood, Paul Francis

PATENT ASSIGNEE(S): The University of Queensland, Australia

SOURCE: U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S. Ser. No. 787,082.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005256301	A1	20051117	US 2005-52168	20050207 <--
WO 2000015654	A1	20000323	WO 1999-AU769	19990914 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 7001883	B1	20060221	US 2001-787082	20010614 <--
PRIORITY APPLN. INFO.:			AU 1998-5895	A 19980914
			WO 1999-AU769	W 19990914
			US 2001-787082	A2 20010614

AB Cyclized conotoxin peptides having an amide cyclized backbone such that the peptide has no free N- or C-terminus, and containing at least two cysteine residues to form disulfide bond were prepared for the therapeutic treatment of mammals. The linear conotoxin peptides were synthesized on solid phase with linker moiety attached to at list one end of sequences, following by cleavage from support, cyclization and oxidation to form disulfide bonds, or forming disulfide bonds at first, and then cyclization of folded peptides. Thus, cyclized conotoxin peptide containing selenocysteine cyclo[NGV-cyclo[C-cyclo(Sec-GYKL-Sec)-H-Hyp-C]AG] (Sec = selenocysteine, Hyp = hydroxyproline) was prepared by solid phase peptide synthesis and cyclization and tested in radioligand binding assays.

L11 ANSWER 2 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:737357 HCAPLUS

DOCUMENT NUMBER: 139:255385
 TITLE: Therapeutic uses of tri-, tetra-, penta-peptides, and polypeptides in treating neurological and psychiatric disorders
 INVENTOR(S): Abajian, Henry B.; Hlavka, Joseph J.; Feighner, John P.
 PATENT ASSIGNEE(S): Innapharma, Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 80 pp., Cont.-in-part of U. S. Ser. No. 625,103, abandoned.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003176354	A1	20030918	US 2002-122246	20020411 <--
US 6767897	B2	20040727		
US 5589460	A	19961231	US 1994-238089	19940504 <--
US 5767083	A	19980616	US 1995-432651	19950502 <--
US 6093797	A	20000725	US 1997-962962	19971104 <--
WO 2003087137	A2	20031023	WO 2003-US11403	20030410
WO 2003087137	A3	20031231		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003230907	A1	20031027	AU 2003-230907	20030410
EP 1545580	A2	20050629	EP 2003-724013	20030410
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:				
			US 1994-238089	A2 19940504
			US 1995-432651	A2 19950502
			US 1997-962962	A2 19971104
			US 2000-625103	B2 20000725
			US 2002-122246	A 20020411
			WO 2003-US11403	W 20030410

OTHER SOURCE(S): MARPAT 139:255385

AB Novel uses of certain peptides to treat patients suffering from neurol. or psychiatric disorders are disclosed. The peptides include the tripeptide hormone MIF and compds. made by modifications of MIF, such as modification of amino terminus residues, carboxyl terminus residues and internal residues, including addition and substitution of amino acid residues and modification of the peptide bonds and functional side groups of resp. amino acid residues. The tri-, tetra-, penta-peptides and polypeptides may be utilized alone or in combination with other agents, to treat patients suffering from physiolo., psychosomatic, neurol. or psychiatric disorders.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:409249 HCAPLUS
 DOCUMENT NUMBER: 137:965
 TITLE: Non-mammalian GnRH analogs that do not degrade in
 extrapituitary tissues and uses thereof in regulation
 of fertility and pregnancy and in treatment of
 diseases of the reproductive tract
 INVENTOR(S): Siler-Khodr, Theresa
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 57 pp., Cont.-in-part of U. S.
 Ser. No. 419,161.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002065226	A1	20020530	US 2001-941094	20010828 <--
US 6635739	B2	20031021		
US 6323179	B1	20011127	US 1999-419161	19991015 <--
WO 2003020205	A2	20030313	WO 2002-US26332	20020819
WO 2003020205	A3	20040108		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1421109	A2	20040526	EP 2002-766023	20020819
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
US 2004152639	A1	20040805	US 2003-639405	20030812 <--
US 2005282745	A1	20051222	US 2003-639748	20030812 <--
PRIORITY APPLN. INFO.:			US 1999-419161	A2 19991015
			US 2001-941094	A 20010828
			WO 2002-US26332	W 20020819

AB Specially designed non-mammalian GnRH analog decapeptides resistant to degradation by the placental enzyme, C-ase-1, or a post-proline peptidase, are disclosed. The GnRH analogs are further defined as analogs of chicken II GnRH or salmon GnRH. These non-mammalian analogs incorporate D-arginine, D-leucine, D-tBu-Serine, D-Trp or other active D amino acids at position 6 and ethylamide, aza-Gly-amide or other Gly amide at position 10. The D-Arg(6)-chicken II GnRH-ethylamide, D-Arg(6)-chicken II GnRH-aza-Gly(10)-amide, the D-Arg(6)-salmon GnRH ethylamide, and D-Arg(6)-salmon GnRH-aza-Gly(10)-amide analogs are also provided, and demonstrate preferential binding to chorionic GnRH, ovarian, endometrial, tubal, uterine, prostate and testicular receptors. Biopotency is greater at the ovary and endometrium than at the pituitary. These non-mammalian GnRH analogs may be used in pharmaceutical preparation, and specifically in various treatment methods as a contraceptive or post-coital contraceptive agent. The non-mammalian GnRH analogs are also provided in pharmaceutical prepsns. that may be used clin. for maintaining pregnancy when used in very low doses and administered in pulsatile fashion, as well as in prepsns. for the treatment of endometriosis, ovarian cysts, and leiomyomas. In another

aspect, the non-mammalian GnRH analogs may be used as luteolytic agents. The aza-Gly (10) amide non-mammalian analogs are yet other embodiments of the non-mammalian GnRH analogs provided as a part of the invention. A method of regulating transcription and translation of the DNA for the receptor of the chicken GnRH analogs of the invention is also presented. A method of determining whether a biol. sample contains the mRNA or DNA, or the resp. complements thereof, that codes for the receptor to the Chicken II GnRH polypeptide is also claimed.

L11 ANSWER 4 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:772157 HCAPLUS
DOCUMENT NUMBER: 135:328320
TITLE: Conopeptides of Conus textile
INVENTOR(S): Furie, Bruce; Furie, Barbara C.; Stenflo, Johan;
Rigby, Alan C.; Roepstorff, Peter
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 19 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6307014	B1	20011023	US 1998-136769	19980819 <--
PRIORITY APPLN. INFO.:			US 1998-136769	19980819

AB Substantially pure conopeptides containing γ -carboxyglutamic acid (Gla) are disclosed. Thus, 11 novel Gla-containing peptides were isolated from Conus textile and partially characterized. Peptide P11.1 had the sequence H-Gla-Cys-Cys-Gla-Asp-Gly-(6-bromo-Trp)-Cys-Cys-Thr-Ala-Ala-HyPro-OH. Cys-2 and Cys-8 were disulfide bonded as were Cys-3 and Cys-9. GalNac-Gal was attached to Thr-10. The activity of P11.1 on a cholinergic synapse of a buccal ganglion was studied. The presynaptic Ca²⁺ current was decreased which resulted in a decreased ACh release. P11.1 had no effect on postsynaptic ACh receptors.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:449910 HCAPLUS
DOCUMENT NUMBER: 135:19919
TITLE: Preparation of peptides for use as pharmaceuticals
INVENTOR(S): Deigin, Vladislav I.; Yarova, Elena P.
PATENT ASSIGNEE(S): Immunotech Developments Inc., Can.
SOURCE: U.S., 21 pp., 6184208 Cont.-in-part of U.S. 6,184,208.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6248716	B1	20010619	US 1999-320667	19990527 <--
WO 9602267	A1	19960201	WO 1995-RU138	19950627 <--
W: AU, CA, CN, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6184208	B1	20010206	US 1996-681248	19960722 <--

PRIORITY APPLN. INFO.: WO 1995-RU138 A2 19950627
US 1996-594995 B2 19960131
US 1996-681248 A2 19960722
RU 1994-24278 A 19940629
RU 1995-108559 A 19950607

OTHER SOURCE(S): MARPAT 135:19919

AB Peptides X-Tyr-Y-Phe-Z-A [X = H, L- or D-Arg, -Orn, -Lys, -homoarginine, or -citrulline; Y = D-Ala, -Val, -Leu, -Ile, -Phe, -Asn, -Trp, -Pro, -Ser, -Thr, -Tyr, -hydroxyproline, -Cys, -cysteinylyl-cysteine, -Met, -Lys, -homoarginine, -Arg, -His, -Asp, -Glu, β -alanine, or -Orn; Z = L- or D-Ala, -Val, -Leu, -Ile, -Phe, -Asn, -Gln, -Trp, -Pro, -Ser, -Thr, -Tyr, -hydroxyproline, -Cys, -Met, -Lys, -Arg, -His, -Asp, -Glu, or -Orn, Gly, cysteinylyl-cysteine, cysteinylyl-D-cysteine, D-cysteinylyl-cysteine, D-cysteinylyl-D-cysteine, or β -alanine; A = OH or NH₂ which may be substituted (with provisos)] were prepared for use in modulating physiol. processes such as weight gain, hair growth, and wound healing. Thus, H-Arg-Tyr-D-Ala-Phe-Gly-OH was prepared by sequential peptide coupling in solution. Peptides of the invention were examined for opioid and analgesic effects, morphol. changes in skin and hair integument, and weight gain in animals.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:94046 HCAPLUS

DOCUMENT NUMBER: 134:116244

TITLE: Preparation of peptides for use as pharmaceuticals

INVENTOR(S): Deigin, Vladislav I.; Yarova, Yelena

PATENT ASSIGNEE(S): Immunotech Developments Inc., Can.

SOURCE: U.S., 18 pp., Cont.-in-part of U.S. Ser. No. 594,995, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6184208	B1	20010206	US 1996-681248	19960722 <--
RU 2067000	C1	19960927	RU 1994-24278	19940629 <--
RU 2107692	C1	19980327	RU 1995-108559	19950607 <--
WO 9602267	A1	19960201	WO 1995-RU138	19950627 <--
W: AU, CA, CN, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6248716	B1	20010619	US 1999-320667	19990527 <--

PRIORITY APPLN. INFO.: RU 1994-24278 A 19940629
RU 1995-108559 A 19950607
WO 1995-RU138 A2 19950627
US 1996-594995 B2 19960131
US 1996-681248 A2 19960722

OTHER SOURCE(S): MARPAT 134:116244

AB Peptides X-Tyr-Y-Phe-Z-A [X = Arg, D-Arg, D-Orn, homoarginine, D-homoarginine, or citrulline; Y = D-Orn, D-Ala, or D-Arg; Z = D-Ala, Gly, Pro, D-Pro, or β -Ala; A = OH or NH₂ (with provisos)] were prepared for use in modulating physiol. processes such as weight gain, hair growth, and wound healing. Thus, H-Arg-Tyr-D-Ala-Phe-Gly-OH was prepared by sequential peptide coupling in solution. Peptides of the invention were examined for opioid and analgesic effects, morphol. changes in skin and hair

integument, and weight gain in animals.

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:535161 HCAPLUS
DOCUMENT NUMBER: 133:131094
TITLE: Conotoxin peptides and their use as analgesics
INVENTOR(S): McIntosh, J. Michael; Olivera, Baldomero M.; Cruz,
Lourdes J.
PATENT ASSIGNEE(S): University of Utah Research Foundation, USA
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044769	A1	20000803	WO 2000-US1978	20000128 <--
W:				
				AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
				CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
				IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
				MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
				SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ,
				BY, KG, KZ, MD, RU, TJ, TM
RW:				GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
				DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
				CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2005032705	A1	20050210	US 2004-895372	20040721 <--
PRIORITY APPLN. INFO.:			US 1999-118381P	P 19990129
			US 1999-173343P	P 19991228
			US 2000-493795	A3 20000128

AB The invention relates to relatively short peptides (termed ap-conotoxins herein), about 10-20 residues in length, which are naturally available in minute amts. in the venom of cone snails or analogous to the naturally available peptides, and which preferably include two disulfide bonds. These conotoxin peptides have analgesic activity and are thus useful for treating or preventing pain. Thus, the cDNA encoding the Conus marmoreus Marl propeptide was cloned and the analgesic activity of Marl and Mar2 was demonstrated in mice.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:508204 HCAPLUS
DOCUMENT NUMBER: 133:144924
TITLE: Tri-, tetra-, penta-, and polypeptides and their
therapeutic use as antidepressant agents
INVENTOR(S): Abajian, Henry B.; Noble, John F.; Hlavka, Joseph J.
PATENT ASSIGNEE(S): Innapharma, Inc., USA
SOURCE: U.S., 82 pp., Cont.-in-part of U. S. 5,767,083.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6093797	A	20000725	US 1997-962962	19971104 <--
US 5589460	A	19961231	US 1994-238089	19940504 <--
US 5767083	A	19980616	US 1995-432651	19950502 <--
WO 9922758	A1	19990514	WO 1998-US23478	19981104 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9913058	A1	19990524	AU 1999-13058	19981104 <--
US 2003176354	A1	20030918	US 2002-122246	20020411 <--
US 6767897	B2	20040727		

PRIORITY APPLN. INFO.: US 1994-238089 A2 19940504
 US 1995-432651 A2 19950502
 US 1997-962962 A 19971104
 WO 1998-US23478 W 19981104
 US 2000-625103 B2 20000725

OTHER SOURCE(S): MARPAT 133:144924

AB Peptides are disclosed to treat patients suffering from depression. The peptides are modifications of the tripeptide hormone MIF, including modification of amino terminus residues, carboxyl terminus residues and internal residues, including addition and substitution of amino acid residues and modification of the peptide bonds and functional side groups of resp. amino acid residues. The tri-, tetra-, penta-, peptides and polypeptides of the present invention may be utilized alone or in combination to treat patients suffering from depression.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:241270 HCAPLUS

DOCUMENT NUMBER: 132:288779

TITLE: Recombinant α -conotoxin peptides for inhibiting neuronal amine transporters

INVENTOR(S): Lewis, Richard James; Alewood, Paul Francis; Sharpe, Iain Andrew

PATENT ASSIGNEE(S): The University of Queensland, Australia

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020444	A1	20000413	WO 1999-AU844	19991001 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,				

CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2344765	AA	20000413	CA 1999-2344765	19991001 <--
AU 9964530	A1	20000426	AU 1999-64530	19991001 <--
AU 757011	B2	20030130		
EP 1117682	A1	20010725	EP 1999-952156	19991001 <--
EP 1117682	B1	20060816		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, CY

JP 2002526098	T2	20020820	JP 2000-574555	19991001
NZ 510813	A	20030829	NZ 1999-510813	19991001
US 6794361	B1	20040921	US 2001-787986	20010627 <--
US 2005054827	A1	20050310	US 2004-918096	20040813 <--

PRIORITY APPLN. INFO.: AU 1998-6274 A 19981002
WO 1999-AU844 W 19991001
US 2001-787986 A1 20010627

AB The invention relates to an isolated, synthetic or recombinant
<<chi-conotoxin peptide having the ability to inhibit a neuronal amine
transporter, nucleic acid mols. encoding all or part of such peptides,
antibodies to such peptides and uses and methods of treatment involving
them.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:144900 HCAPLUS

DOCUMENT NUMBER: 132:194661

TITLE: Preparation of ring modified cyclic peptide analogs as
antifungal agents

INVENTOR(S): Borromeo, Peter Stanley; Cohen, Jeffrey Daniel;
Gregory, George Stuart; Henle, Stacy Kay; Hitchcock,
Stephen Andrew; Jungheim, Louis Nickolaus; Mayhugh,
Daniel Ray; Shepherd, Timothy Alan; Turner, William
Wilson, Jr.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 108 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2000011023	A2	20000302	WO 1999-US18908	19990818 <--
WO 2000011023	A3	20000615		
W:				
AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				
DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,				
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,				
NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,				
UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,				
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,				
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2340676	AA	20000302	CA 1999-2340676	19990818 <--
AU 9955726	A1	20000314	AU 1999-55726	19990818 <--
AU 765660	B2	20030925		
EP 1107981	A2	20010620	EP 1999-942321	19990818 <--
EP 1107981	B1	20050126		
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO

JP 2002528388	T2	20020903	JP 2000-566295	19990818
AT 287899	E	20050215	AT 1999-942321	19990818
PT 1107981	T	20050531	PT 1999-942321	19990818
ES 2233070	T3	20050601	ES 1999-942321	19990818
US 6653281	B1	20031125	US 2001-763114	20010524 <--
US 2004068094	A1	20040408	US 2003-676575	20030930 <--
US 6939946	B2	20050906		

PRIORITY APPLN. INFO.: US 1998-97228P P 19980820
 WO 1999-US18908 W 19990818
 US 2001-763114 A1 20010524

OTHER SOURCE(S): MARPAT 132:194661
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A method is provided for modifying the cyclic peptide ring system of echinocandin-type compds. to produce new analogs, e.g., I (R = alkyl, alkenyl, alkynyl, aryl, heteroaryl; R1, R4 = H, OH; R2 = H, Me; R3 = H, Me, CH2CONH2, CH2, CH2NH2; R5 = OH, OPO3H2, OSO3H; R6 = H, OSO3H), having antifungal activity. The process comprises opening the cyclic peptide ring, cleaving the terminal ornithine unit, inserting at least one new amino acid or other synthetic unit and closing the ring to produce a new cyclic peptide ring structure. Thus, cyclic peptide II [R = p-(pentyloxy)-p-terphenyl] was prepared and showed min. inhibitory concns. 0.005-0.156 µg/mL against four fungi.

L11 ANSWER 11 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:133714 HCAPLUS

DOCUMENT NUMBER: 132:180871

TITLE: Preparation of hepatitis C inhibitory tripeptides

INVENTOR(S): Llinas-Brunet, Montse; Bailey, Murray D.; Cameron, Dale; Faucher, Anne-Marie; Ghiro, Elise; Goudreau, Nathalie; Halmos, Teddy; Poupart, Marc-Andre; Rancourt, Jean; Tsantrizos, Youla S.; Wernic, Dominik M.; Simoneau, Bruno

PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.

SOURCE: PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2000009543	A2	20000224	WO 1999-CA736	19990809 <--
WO 2000009543	A3	20000525		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

US 6323180	B1	20011127	US 1999-368866	19990805 <--
CA 2338946	AA	20000224	CA 1999-2338946	19990809 <--
CA 2445938	AA	20000224	CA 1999-2445938	19990809 <--
AU 9952731	A1	20000306	AU 1999-52731	19990809 <--
AU 769738	B2	20040205		
BR 9913646	A	20010605	BR 1999-13646	19990809 <--
EP 1105413	A2	20010613	EP 1999-938084	19990809 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200100432	T2	20010921	TR 2001-200100432	19990809 <--
TR 200200129	T2	20020621	TR 2002-200200129	19990809
JP 2002522554	T2	20020723	JP 2000-564993	19990809
EE 200100081	A	20020815	EE 2001-81	19990809
NZ 510396	A	20040227	NZ 1999-510396	19990809
US 6268207	B1	20010731	US 2000-660030	20000912 <--
US 6329379	B1	20011211	US 2000-675398	20000929 <--
US 6329417	B1	20011211	US 2000-703751	20001101 <--
BG 105232	A	20011130	BG 2001-105232	20010208 <--
HR 2001000102	A1	20020228	HR 2001-102	20010208
NO 2001000683	A	20010402	NO 2001-683	20010209 <--
US 2002016442	A1	20020207	US 2001-827976	20010406 <--
US 6420380	B2	20020716		
US 2002037998	A1	20020328	US 2001-849057	20010504 <--
US 6410531	B2	20020625		
US 6534523	B1	20030318	US 2002-91293	20020305 <--
PRIORITY APPLN. INFO.:				
			US 1998-95931P	P 19980810
			US 1999-132386P	P 19990504
			US 1999-368866	A3 19990805
			CA 1999-2338946	A 19990809
			WO 1999-CA736	W 19990809
			US 2001-849057	A1 20010504
OTHER SOURCE(S): MARPAT 132:180871				
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Peptides I [B = H, (un)substituted aryl, aralkyl, heterocyclyl, or alkylheterocyclyl, acyl R4CO, carboxylate R4O2C, amide R4NR5CO, thioamide R4NR5C(S), or sulfonyl group R4SO2, where R4 = (un)substituted alkyl, cycloalkyl, cycloalkoxy, amino, aralkyl, or heterocyclyl, with proviso that R4 ≠ cycloalkoxy for amides or thioamides; R5, Y = H, alkyl; R3 = (un)substituted alkyl, cycloalkyl, or alkylcycloalkyl; R2 = (un)substituted cycloalkyl-, aryl-, aralkyl-, or heterocyclylmethyl, -amino, -oxy, or -thio; R1 = H; alkyl, cycloalkyl, alkenyl, or alkynyl, all optionally substituted with halogen] or their racemates, diastereoisomers, and optical isomers were prepared as hepatitis C virus (HCV) inhibitory tripeptides. Thus, compound II was prepared via peptide coupling reactions in solution and showed IC50 < 0.5 μM in the recombinant HCV NS3 protease/NS4A cofactor peptide radiometric assay.

L11 ANSWER 12 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:317193 HCAPLUS

DOCUMENT NUMBER: 130:333360

TITLE: Melanocyte stimulating inhibitory factor tri-, tetra-, penta-, and polypeptides and their therapeutic use as an antidepressant agent

INVENTOR(S): Abajian, Henry B.; Noble, John F.; Hlavka, Joseph J.
 PATENT ASSIGNEE(S): Innapharma, Inc., USA
 SOURCE: PCT Int. Appl., 145 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9922758	A1	19990514	WO 1998-US23478	19981104 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6093797	A	20000725	US 1997-962962	19971104 <--
AU 9913058	A1	19990524	AU 1999-13058	19981104 <--
PRIORITY APPLN. INFO.:				
			US 1997-962962	A 19971104
			US 1994-238089	A2 19940504
			US 1995-432651	A2 19950502
			WO 1998-US23478	W 19981104

OTHER SOURCE(S): MARPAT 130:333360

AB The present invention discloses novel peptides utilized to treat patients suffering from depression. These novel peptides are modifications of the tripeptide hormone MIF, including modification of amino terminus residues, carboxyl terminus residues and internal residues, including addition and substitution of amino acid residues and modification of the peptide bonds and functional side groups of resp. amino acid residues. The tri-, tetra-, penta-, peptides and polypeptides of the present invention may be utilized alone or in combination to treat patients suffering from depression.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:139868 HCAPLUS

DOCUMENT NUMBER: 130:196958

TITLE: Preparation of 3-tert-butyl-L-tyrosinamide-containing peptides and related compounds exhibiting a motilin receptor antagonism

INVENTOR(S): Kotake, Ken-ichiro; Kozono, Toshiro; Sato, Tsutomu; Takanashi, Hisanori

PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 144 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9909053	A1	19990225	WO 1998-JP3627	19980814 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				

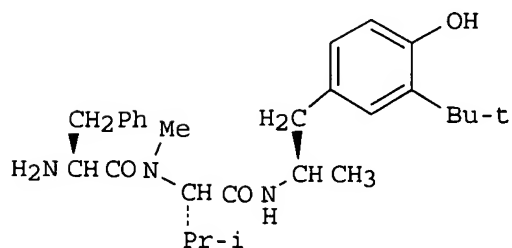
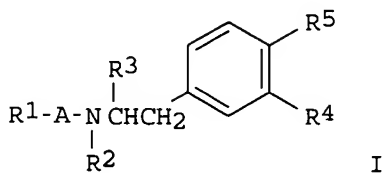
DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, KE, KG, KR,
 KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,
 US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
 CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

TW 460478	B	20011021	TW 1998-87113211	19980811 <--
CA 2301687	AA	19990225	CA 1998-2301687	19980814 <--
AU 9886490	A1	19990308	AU 1998-86490	19980814 <--
AU 741216	B2	20011129		
JP 2000044595	A2	20000215	JP 1998-229586	19980814 <--
JP 3583928	B2	20041104		
EP 1006122	A1	20000607	EP 1998-937826	19980814 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI

US 6255285	B1	20010703	US 2000-485620	20000215 <--
PRIORITY APPLN. INFO.:			JP 1997-255879	A 19970815
			JP 1998-186802	A 19980528
			WO 1998-JP3627	W 19980814

OTHER SOURCE(S): MARPAT 130:196958
 GI



AB Phenethylamine derivs. represented by general formula [I; wherein A represents an amino acid or α -substituted amino acid residue; R1 represents R6CO, (un)substituted C2-7 linear or branched alkyl, C3-8 alkenyl, or C3-8 alkynyl; R2 represents hydrogen, C1-3 linear or branched alkyl; R3 represents COR7, (un)substituted C1-5 linear or branched alkyl, C2-5 alkenyl, or C2-5 alkynyl; R4 represents H, C1-6 linear or branched alkyl, C2-6 alkenyl, C2-6 alkynyl, etc.; R5 represents hydroxy or C1-4 n-alkoxy; R6 represents (un)substituted C1-6 linear or branched alkyl,

C2-7 alkenyl, or C2-7 alkynyl, optionally benzene- or heterocyclic ring-condensed C3-7 cycloalkyl, (un)substituted C6-12 aromatic ring, (un)substituted C3-12 (un)saturated heterocyclic ring, (un)substituted NH₂, (un)substituted linear or branched C1-5 alkoxy, C2-6 alkenyloxy, C2-6 alkynyloxy, etc.; and R₇ represents H, (un)substituted C1-5 linear or branched alkyl, C3-7 cycloalkyl, (un)substituted NH₂, OH, linear or branched alkyl C1-6 alkoxy, or C3-7 cycloalkyloxy] are prepared Also claimed are a motilin receptor antagonist, an inhibitor of digestive tract motility, and a remedy for high blood motilin. They are also useful for the treatment of irritable bowel syndrome. Thus, N α -methyl-N-[2-(3-tert-butyl-4-hydroxyphenyl)-1-methylethyl]-L-valinamide was condensed with Boc-Phe-OH using HOBt and DIC in DMF at room temperature for 2.5 days followed by deprotection with CF₃CO₂H in CH₂Cl₂ to give the title compound (II). II in vitro showed IC₅₀ of 1.9 nM for inhibiting the binding of [¹²⁵I]motilin motilin receptor preparation from rabbit ileum mucus membrane.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 14 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1998:457251 HCAPLUS
 DOCUMENT NUMBER: 129:118264
 TITLE: Polypeptide analogs having growth hormone releasing activity
 INVENTOR(S): Bowers, Cyril Y.; Coy, David
 PATENT ASSIGNEE(S): Administrators of the Tulane Educational Fund, USA
 SOURCE: U.S., 19 pp., Cont.-in-part of U. S. Ser. No. 748,350.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5776901	A	19980707	US 1992-932494	19920820 <--
US 5663146	A	19970902	US 1991-748350	19910822 <--
IL 102848	A1	19980405	IL 1992-102848	19920818 <--
JP 07507039	T2	19950803	JP 1993-504585	19920820 <--
JP 3179489	B2	20010625		
HU 69178	A2	19950828	HU 1994-495	19920820 <--
AT 172742	E	19981115	AT 1992-919262	19920820 <--
ES 2124263	T3	19990201	ES 1992-919262	19920820 <--
CZ 293281	B6	20040317	CZ 1994-400	19920820
ZA 9206337	A	19930422	ZA 1992-6337	19920821 <--
CN 1073684	A	19930630	CN 1992-110868	19920822 <--
CN 1035256	B	19970625		

PRIORITY APPLN. INFO.: US 1991-748350 A2 19910822
 US 1992-932494 A 19920820

OTHER SOURCE(S): MARPAT 129:118264

AB Novel peptides of the formula A₁-A₂-C₁-C₂-C₃-A₅ are disclosed which promote the release of growth hormone when administered to animals. These peptides can be used therapeutically. H-A₁-A₂-C₁-C₂-C₃-A₅ [A₁ = Gly, D-Ala, β -Ala, His, Ser, Met, Pro, Sar, Ava, Aib, etc.; A₂ = D-Trp, D- β -Nal, etc.; A₅ = A₃A₄A₅', A₃A₅', A₄A₅', A₅'; A₃ = Ala, Gly, D-Ala, Pro, desAla; A₄ = A₃, alkylaminocarboxylate residue; A₅' = Lys(ϵ -R₂,R₂)-Z, Orn(δ -R₁,R₂)-Z, etc.; R₁, R₂ = alkyl, H; Z = NH₂, OH, (di)alkylamino, alkoxy; C₁ = Ala; C₂ = Trp, Phe, ChxAla; C₃ = D-Phe, D-Pal, D-ChxAla; Ava = aminovaleric acid residue; Aib = aminoisobutyric acid residue; D- β -Nal = β -naphthyl-D-alanyl;

ChxAla = cyclohexylalananyl], were prepared Thus, D-Ala-D- β -Nal-Ala-Trp-D-Phe-Lys-NH₂ (solution phase preparation given) at 30 mg/kg intragastrally in rats increased serum growth hormone from 247 ng/mL to 2038 ng/mL. The peptides of the invention can be used therapeutically for any use for which growth hormone can be used. The peptides can be coadministered with a synergistic amount of a β -adrenergic blocking agent, an α 2-adrenergic blocking agent, an acetylcholine esterase inhibitor, or other small peptides. Pharmaceutical compns. containing these peptides are also claimed.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:414724 HCAPLUS

DOCUMENT NUMBER: 129:62986

TITLE: Melanocyte stimulating inhibitory factor analog tri-, tetra-, penta-, and polypeptides and their therapeutic use as an antidepressant agent

INVENTOR(S): Abajian, Henry B.; Noble, John F.; Hlavka, Joseph J.

PATENT ASSIGNEE(S): Innapharma, Inc., USA

SOURCE: U.S., 56 pp., Cont.-in-part of U.S. 5,589,460.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5767083	A	19980616	US 1995-432651	19950502 <--
US 5589460	A	19961231	US 1994-238089	19940504 <--
CA 2189145	AA	19951116	CA 1995-2189145	19950502 <--
CN 1151700	A	19970611	CN 1995-193885	19950502 <--
PT 759772	T	20040630	PT 1995-923659	19950502
ES 2215175	T3	20041001	ES 1995-923659	19950502
IN 186890	A	20011201	IN 1996-CA786	19960501 <--
US 6093797	A	20000725	US 1997-962962	19971104 <--
US 2003176354	A1	20030918	US 2002-122246	20020411 <--
US 6767897	B2	20040727		

PRIORITY APPLN. INFO.:
 US 1994-238089 A2 19940504
 US 1995-432651 A 19950502
 US 1997-962962 A2 19971104
 US 2000-625103 B2 20000725

OTHER SOURCE(S): MARPAT 129:62986

AB The present invention discloses novel peptides utilized to treat patients suffering from depression. These novel peptides are modifications of the tripeptide hormone MIF (melanocyte stimulating inhibitory factor), including modification of amino terminus residues, carboxyl terminus residues and internal residues, including addition and substitution of amino acid residues and modification of the peptide bonds and functional side groups of resp. amino acid residues. The tri-, tetra-, penta-, and polypeptides of the present invention may be utilized alone or in combination to treat patients suffering from depression. In a modified Porsolt swim test, an average of 11 out of twelve rats responded to 4-F-Phe-3,4-dehydro-Pro-Arg-Gly-Trp-NH₂.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l11 ibib abs hitstr 11-15

L11 ANSWER 11 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:133714 HCAPLUS

DOCUMENT NUMBER: 132:180871

TITLE: Preparation of hepatitis C inhibitory tripeptides

INVENTOR(S): Llinas-Brunet, Montse; Bailey, Murray D.; Cameron, Dale; Faucher, Anne-Marie; Ghiro, Elise; Goudreau, Nathalie; Halmos, Teddy; Poupert, Marc-Andre; Rancourt, Jean; Tsantrizos, Youla S.; Wernic, Dominik M.; Simoneau, Bruno

PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.

SOURCE: PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009543	A2	20000224	WO 1999-CA736	19990809 <--
WO 2000009543	A3	20000525		
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6323180	B1	20011127	US 1999-368866	19990805 <--
CA 2338946	AA	20000224	CA 1999-2338946	19990809 <--
CA 2445938	AA	20000224	CA 1999-2445938	19990809 <--
AU 9952731	A1	20000306	AU 1999-52731	19990809 <--
AU 769738	B2	20040205		
BR 9913646	A	20010605	BR 1999-13646	19990809 <--
EP 1105413	A2	20010613	EP 1999-938084	19990809 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
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TR 200200129	T2	20020621	TR 2002-200200129	19990809
JP 2002522554	T2	20020723	JP 2000-564993	19990809
EE 200100081	A	20020815	EE 2001-81	19990809
NZ 510396	A	20040227	NZ 1999-510396	19990809
US 6268207	B1	20010731	US 2000-660030	20000912 <--
US 6329379	B1	20011211	US 2000-675398	20000929 <--
US 6329417	B1	20011211	US 2000-703751	20001101 <--
BG 105232	A	20011130	BG 2001-105232	20010208 <--
HR 2001000102	A1	20020228	HR 2001-102	20010208
NO 2001000683	A	20010402	NO 2001-683	20010209 <--
US 2002016442	A1	20020207	US 2001-827976	20010406 <--
US 6420380	B2	20020716		
US 2002037998	A1	20020328	US 2001-849057	20010504 <--
US 6410531	B2	20020625		
US 6534523	B1	20030318	US 2002-91293	20020305 <--
PRIORITY APPLN. INFO.:				
			US 1998-95931P	P 19980810
			US 1999-132386P	P 19990504
			US 1999-368866	A3 19990805

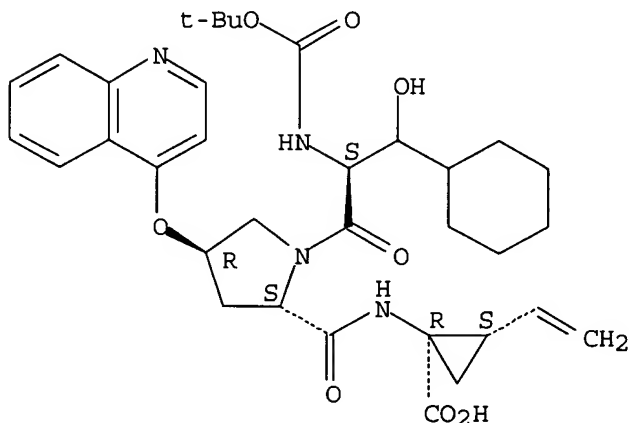
CA 1999-2338946 A 19990809
 WO 1999-CA736 W 19990809
 US 2001-849057 A1 20010504

OTHER SOURCE(S): MARPAT 132:180871
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

- AB Peptides I [B = H, (un)substituted aryl, aralkyl, heterocyclyl, or alkylheterocyclyl, acyl R4CO, carboxylate R4O2C, amide R4NR5CO, thioamide R4NR5C(S), or sulfonyl group R4SO2, where R4 = (un)substituted alkyl, cycloalkyl, cycloalkoxy, amino, aralkyl, or heterocyclyl, with proviso that R4 ≠ cycloalkoxy for amides or thioamides; R5, Y = H, alkyl; R3 = (un)substituted alkyl, cycloalkyl, or alkylcycloalkyl; R2 = (un)substituted cycloalkyl-, aryl-, aralkyl-, or heterocyclylmethyl, -amino, -oxy, or -thio; R1 = H; alkyl, cycloalkyl, alkenyl, or alkynyl, all optionally substituted with halogen] or their racemates, diastereoisomers, and optical isomers were prepared as hepatitis C virus (HCV) inhibitory tripeptides. Thus, compound II was prepared via peptide coupling reactions in solution and showed IC50 < 0.5 μM in the recombinant HCV NS3 protease/NS4A cofactor peptide radiometric assay.
- IT 259215-48-0P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of hepatitis C inhibitory tripeptides)
- RN 259215-48-0 HCAPLUS
- CN Cyclopropanecarboxylic acid, 3-cyclohexyl-N-[(1,1-dimethylethoxy)carbonyl]-L-seryl-(4R)-4-(4-quinolinylloxy)-L-prolyl-1-amino-2-ethenyl-, (1R,2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 12 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:317193 HCAPLUS

DOCUMENT NUMBER: 130:333360

TITLE: Melanocyte stimulating inhibitory factor tri-, tetra-, penta-, and polypeptides and their therapeutic use as

an antidepressant agent
 INVENTOR(S): Abajian, Henry B.; Noble, John F.; Hlavka, Joseph J.
 PATENT ASSIGNEE(S): Innapharma, Inc., USA
 SOURCE: PCT Int. Appl., 145 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9922758	A1	19990514	WO 1998-US23478	19981104 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6093797	A	20000725	US 1997-962962	19971104 <--
AU 9913058	A1	19990524	AU 1999-13058	19981104 <--
PRIORITY APPLN. INFO.:			US 1997-962962	A 19971104
			US 1994-238089	A2 19940504
			US 1995-432651	A2 19950502
			WO 1998-US23478	W 19981104

OTHER SOURCE(S): MARPAT 130:333360

AB The present invention discloses novel peptides utilized to treat patients suffering from depression. These novel peptides are modifications of the tripeptide hormone MIF, including modification of amino terminus residues, carboxyl terminus residues and internal residues, including addition and substitution of amino acid residues and modification of the peptide bonds and functional side groups of resp. amino acid residues. The tri-, tetra-, penta-, peptides and polypeptides of the present invention may be utilized alone or in combination to treat patients suffering from depression.

IT 173072-12-3P 173240-11-4P 173240-12-5P
 173240-13-6P 173240-14-7P 173240-15-8P
 173240-16-9P 173240-17-0P 173240-18-1P
 173240-19-2P 173240-20-5P 173240-21-6P
 173240-22-7P 173240-27-2P 173240-28-3P
 224187-63-7P 224187-65-9P 224187-66-0P
 224187-67-1P 224187-68-2P 224187-89-7P
 224187-90-0P 224187-91-1P 224187-96-6P
 224187-97-7P 224187-98-8P 224187-99-9P
 224188-00-5P 224188-01-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

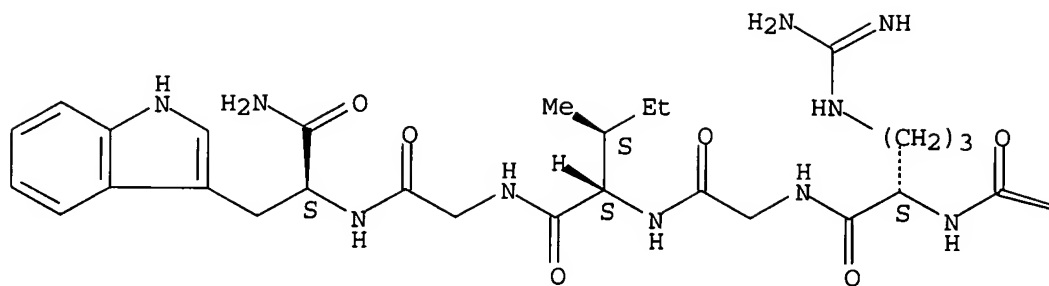
(melanocyte stimulating inhibitory factor tri-, tetra-, penta-, and polypeptides and therapeutic use as antidepressant agent)

RN 173072-12-3 HCAPLUS

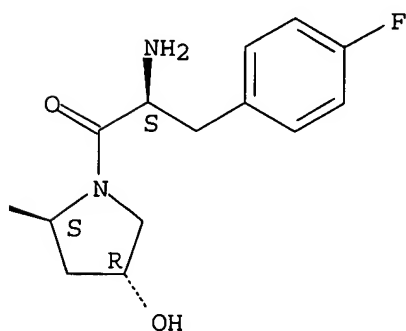
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



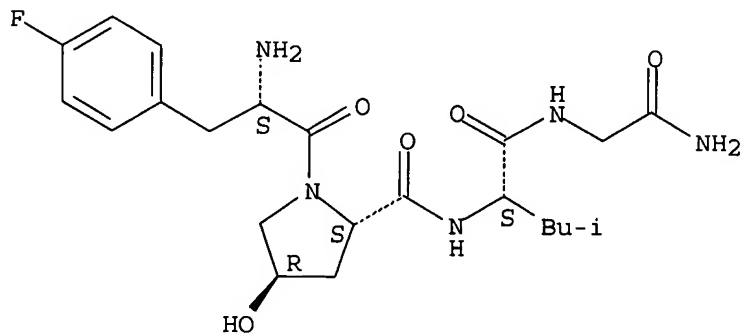
PAGE 1-B



RN 173240-11-4 HCAPLUS

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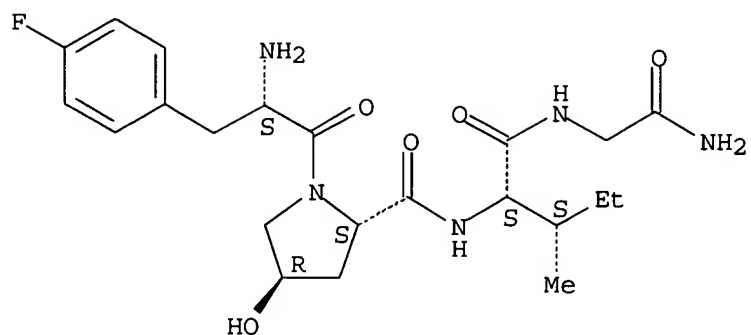
Absolute stereochemistry.



RN 173240-12-5 HCAPLUS

CN Glycinamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

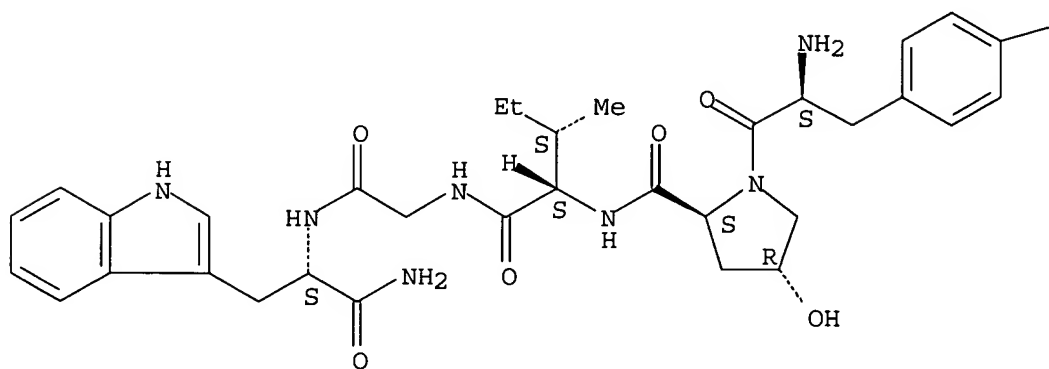


RN 173240-13-6 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

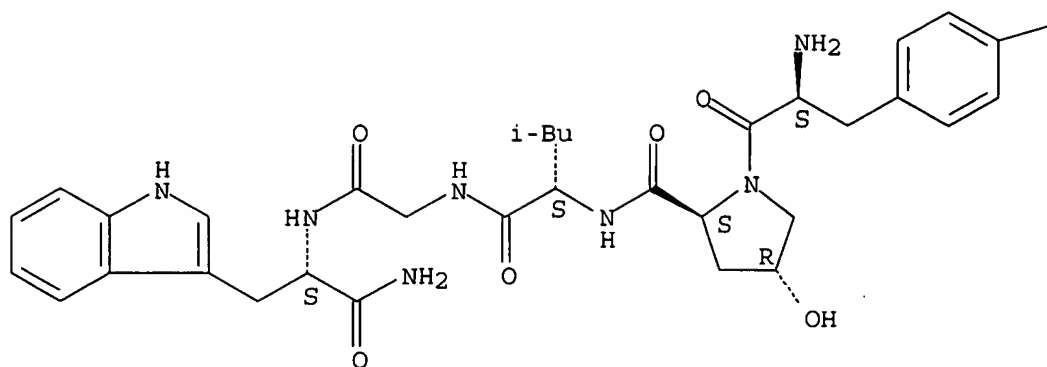
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RN 173240-14-7 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

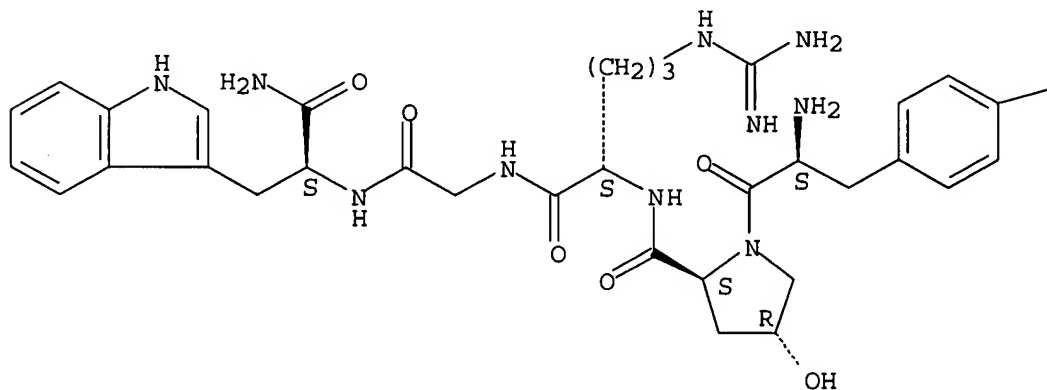
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RN 173240-15-8 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



PAGE 1-B

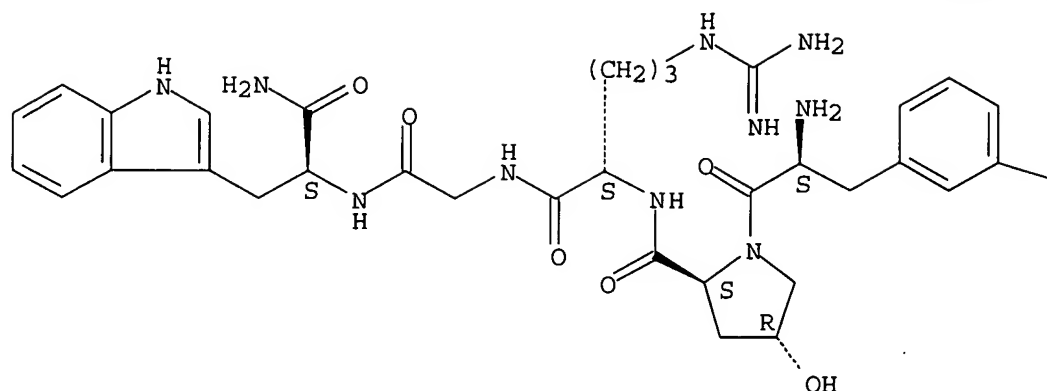
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RN 173240-16-9 HCAPLUS

CN L-Tryptophanamide, 3-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



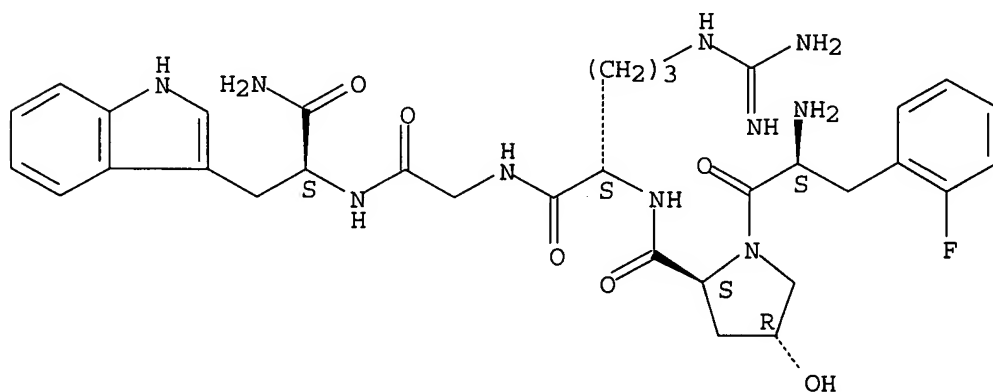
PAGE 1-B

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RN 173240-17-0 HCAPLUS

CN L-Tryptophanamide, 2-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

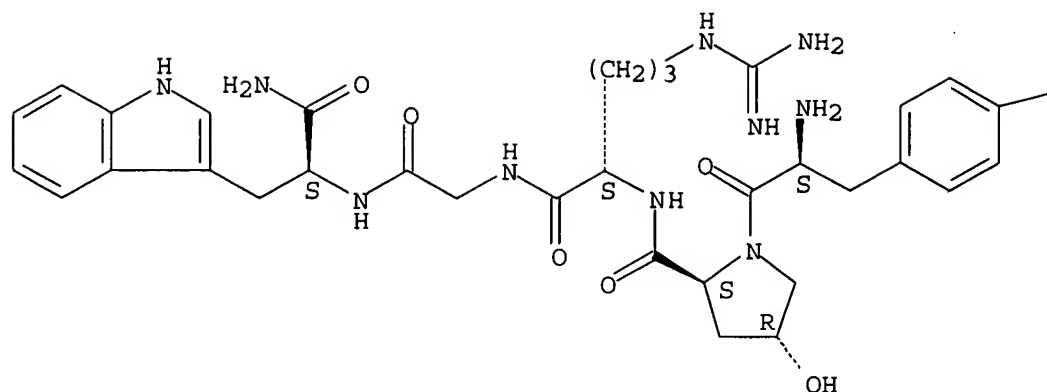


RN 173240-18-1 HCAPLUS

CN L-Tryptophanamide, 4-chloro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

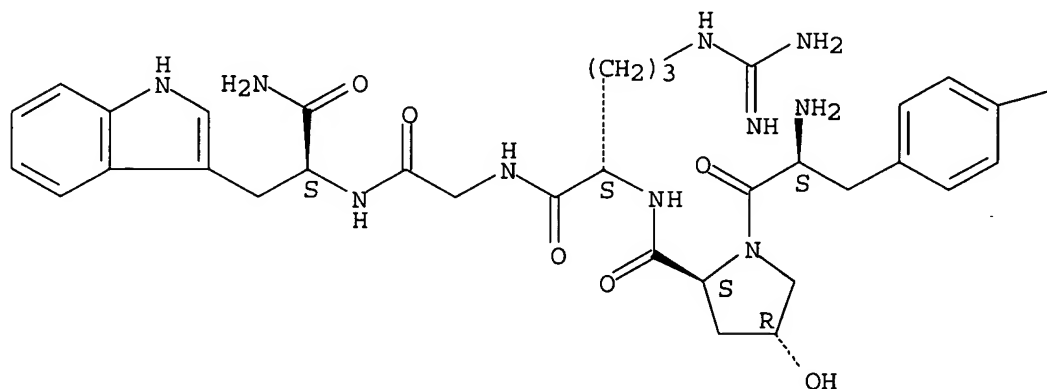
—Cl

RN 173240-19-2 HCAPLUS

CN L-Tryptophanamide, 4-amino-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

—NH2

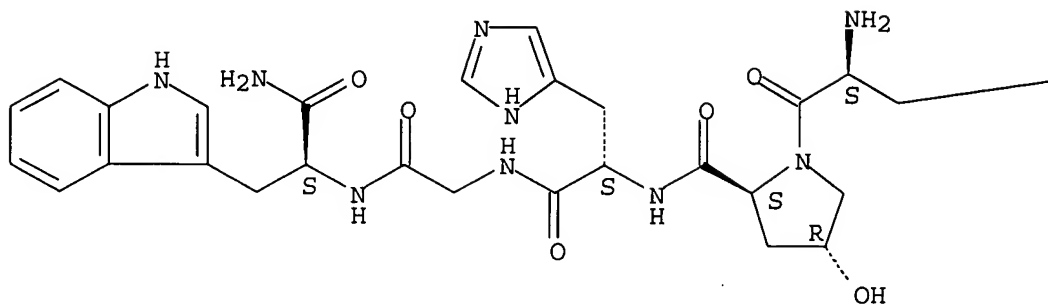
RN 173240-20-5 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-

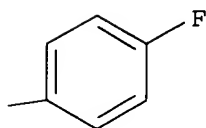
histidylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

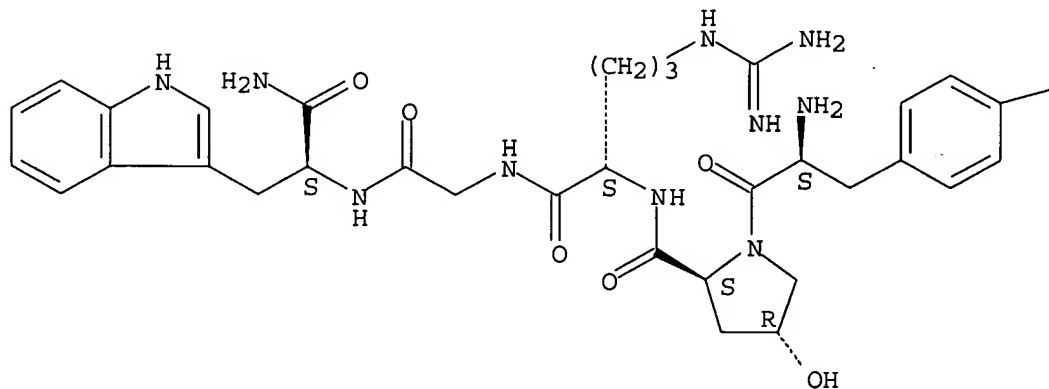


RN 173240-21-6 HCAPLUS

CN L-Tryptophanamide, 4-nitro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



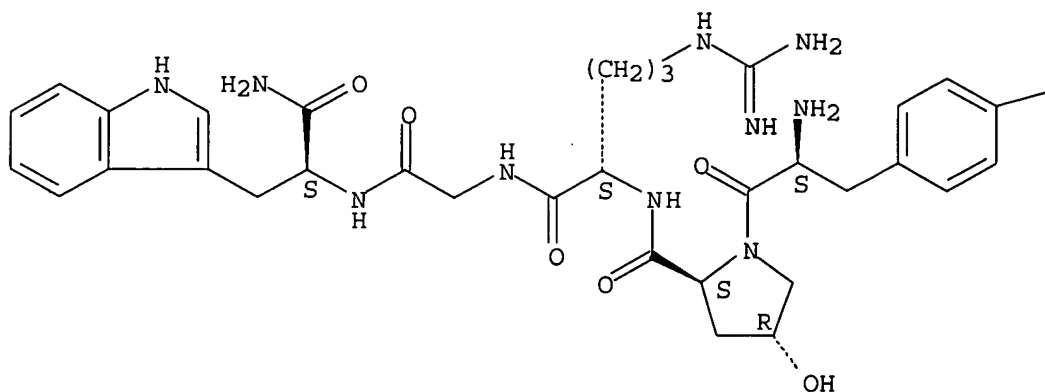
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RN 173240-22-7 HCAPLUS

CN L-Tryptophanamide, O-methyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

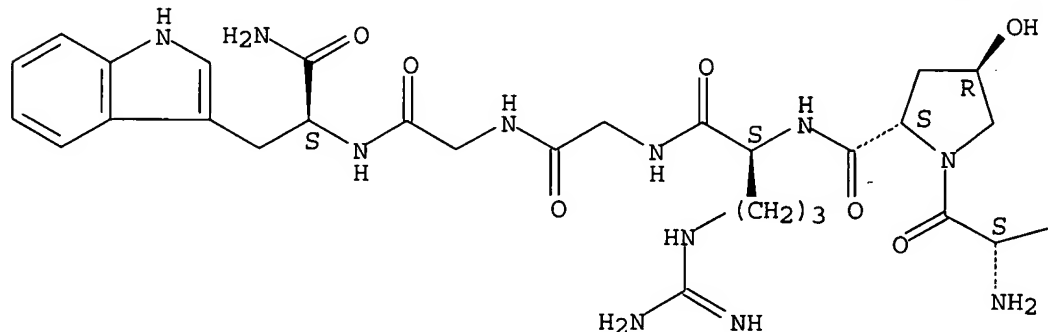
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RN 173240-27-2 HCAPLUS

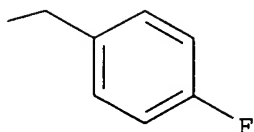
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

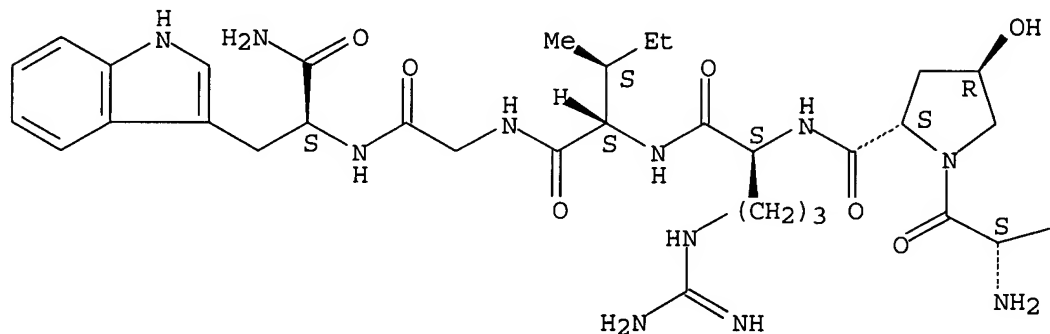


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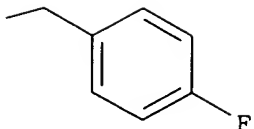
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

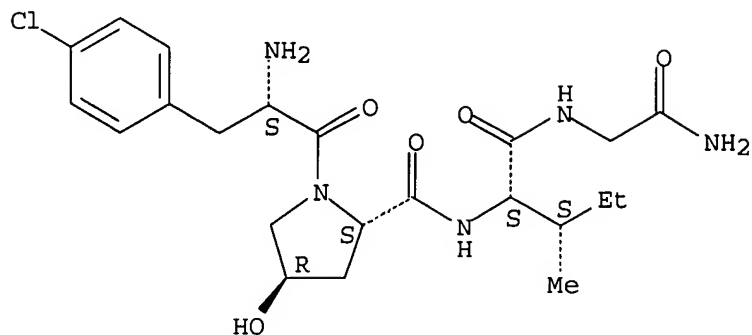


RN 224187-63-7 HCAPLUS

CN Glycinamide, 4-chloro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl- (9CI) (CA INDEX NAME)

09/04/2006 10776657.trn

Absolute stereochemistry.

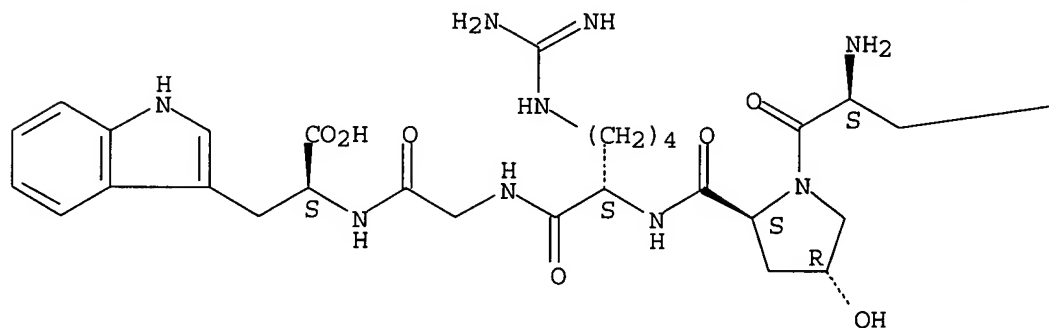


RN 224187-65-9 HCAPLUS

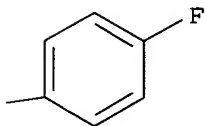
CN L-Tryptophan, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-N6-(aminoiminomethyl)-L-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



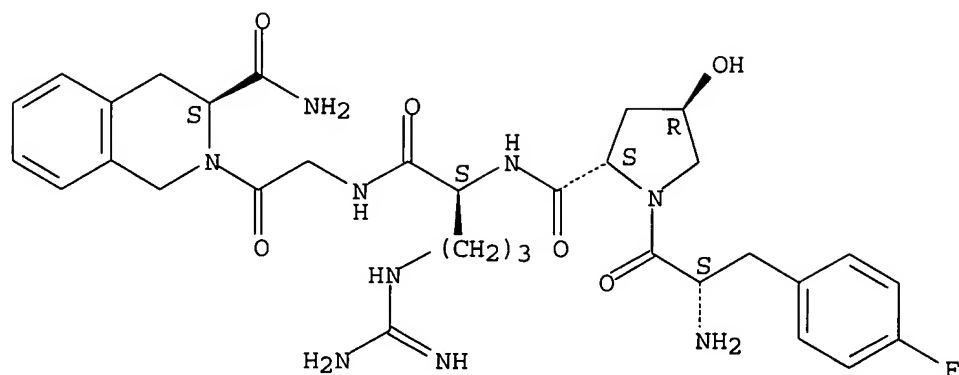
PAGE 1-B



RN 224187-66-0 HCAPLUS

CN 3-Isoquinolinecarboxamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

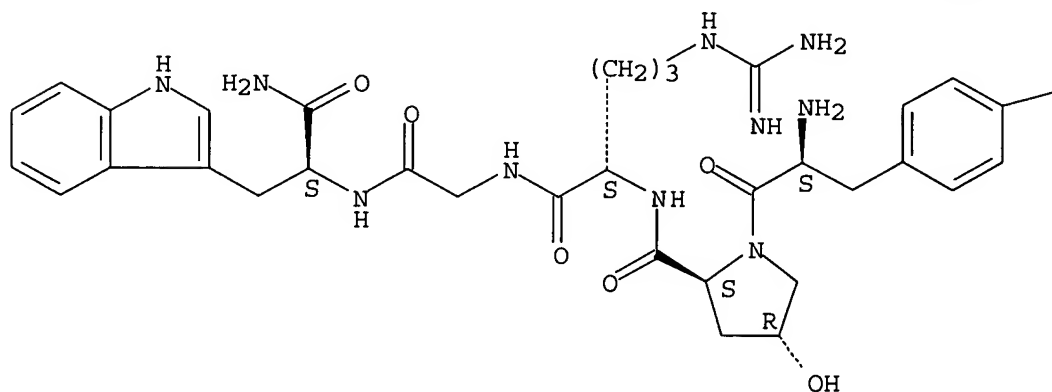


RN 224187-67-1 HCAPLUS

CN L-Tryptophanamide, 4-cyano-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

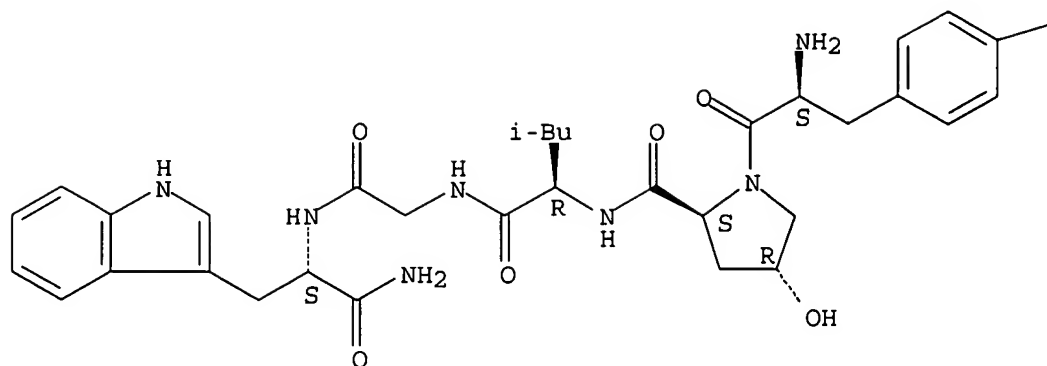
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RN 224187-68-2 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-D-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

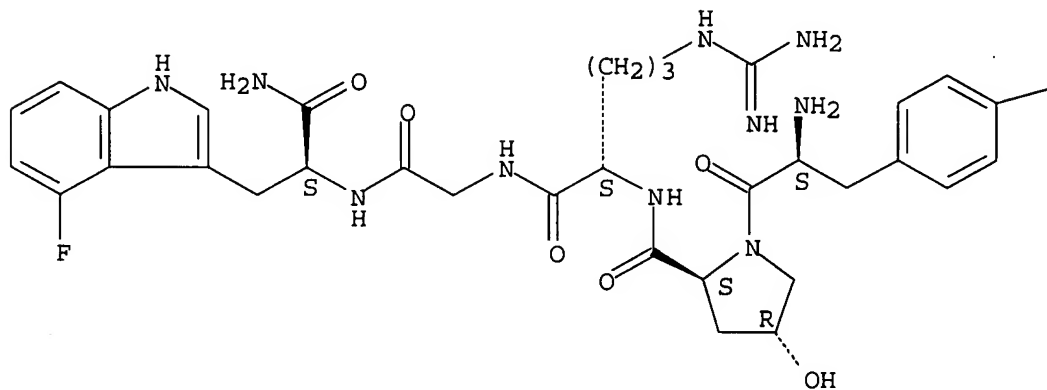
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RN 224187-89-7 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

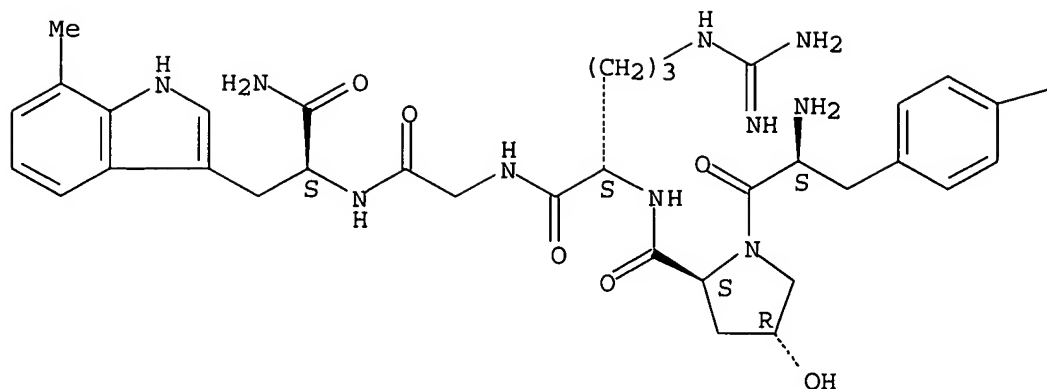
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RN 224187-90-0 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-7-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

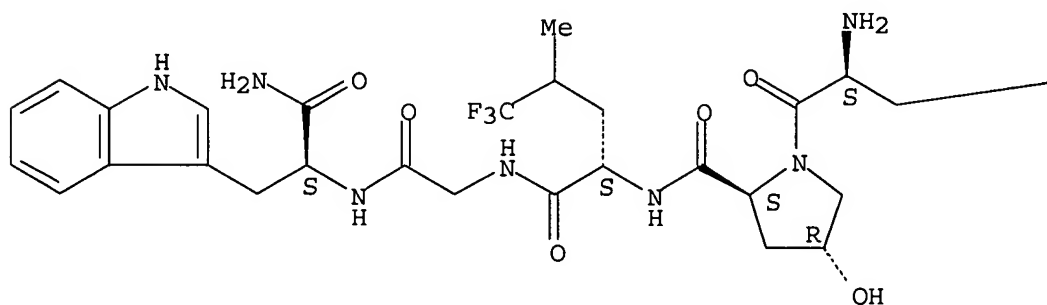
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RN 224187-91-1 HCAPLUS

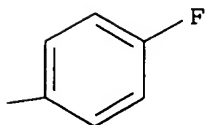
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-5,5,5-trifluoro-L-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

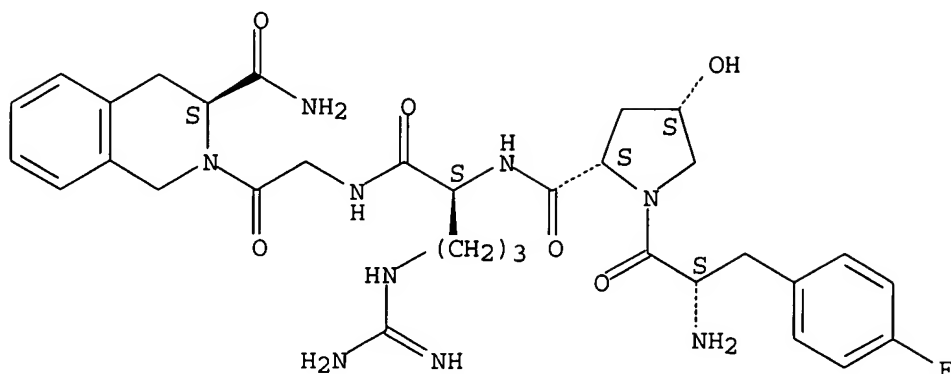


RN 224187-96-6 HCAPLUS

CN 3-Isoquinolinecarboxamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

09/04/2006 10776657.trn

Absolute stereochemistry.

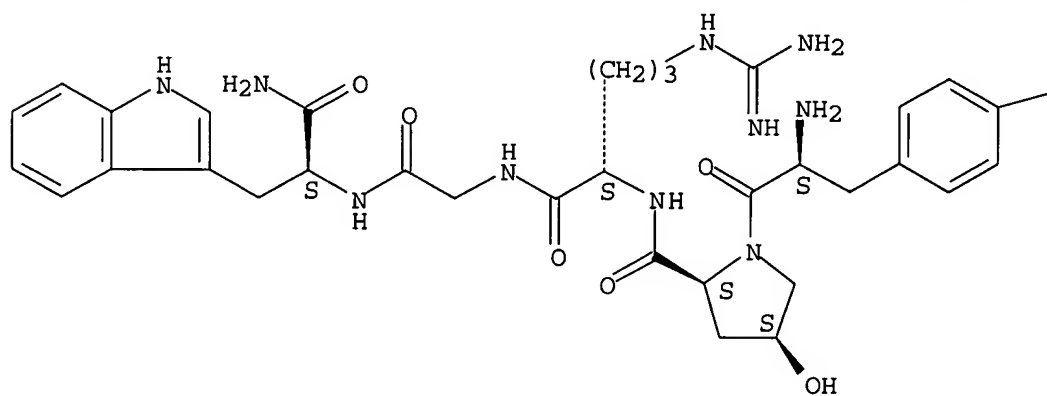


RN 224187-97-7 HCAPLUS

CN L-Tryptophanamide, 4-cyano-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

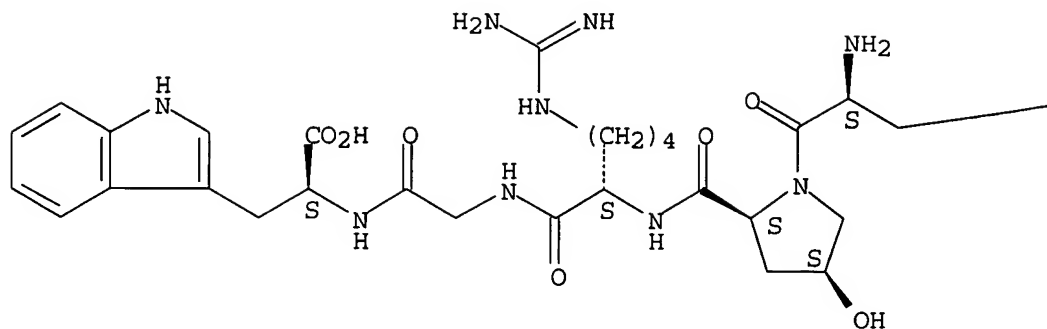
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RN 224187-98-8 HCAPLUS

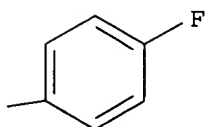
CN L-Tryptophan, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-N6-(aminoiminomethyl)-L-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

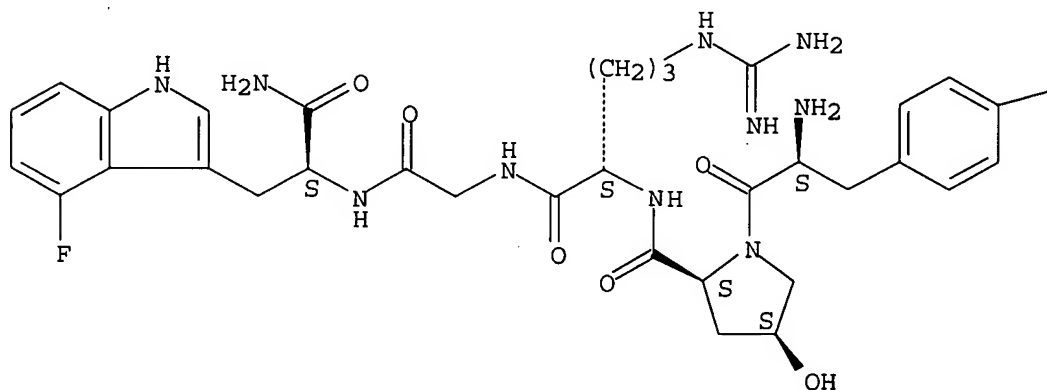


RN 224187-99-9 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

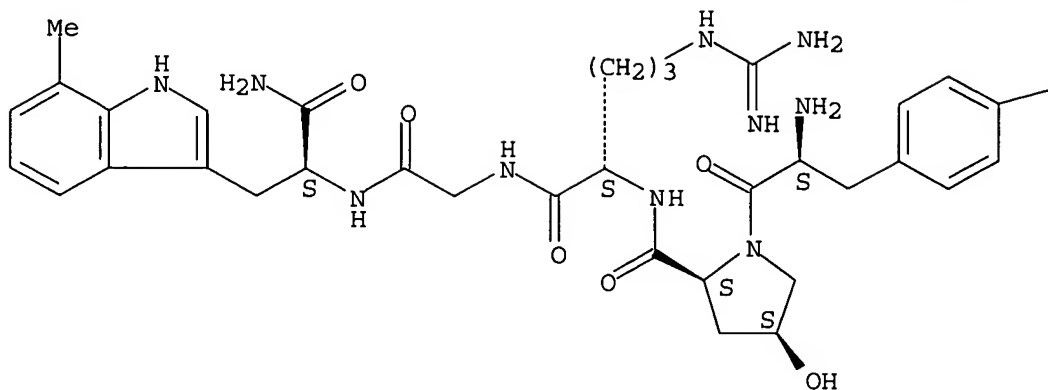


RN 224188-00-5 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl-7-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



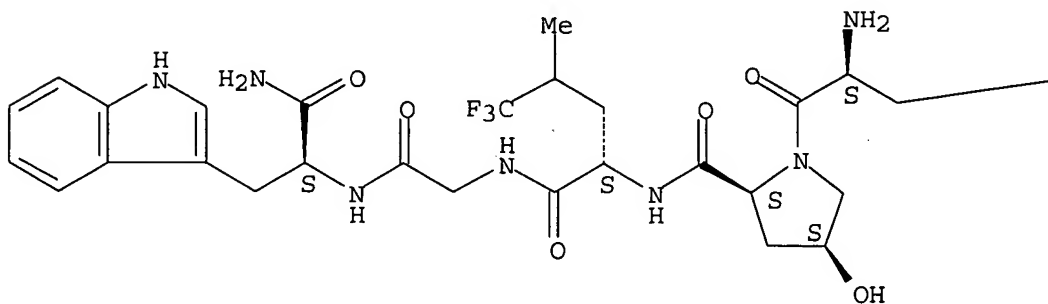
PAGE 1-B

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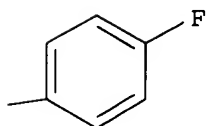
RN 224188-01-6 HCAPLUS
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-5,5,5-trifluoro-L-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:139868 HCAPLUS

DOCUMENT NUMBER: 130:196958

TITLE: Preparation of 3-tert-butyl-L-tyrosinamide-containing peptides and related compounds exhibiting a motilin receptor antagonism

INVENTOR(S): Kotake, Ken-ichiro; Kozono, Toshiro; Sato, Tsutomu; Takanashi, Hisanori

PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 144 pp.

CODEN: PIXXD2

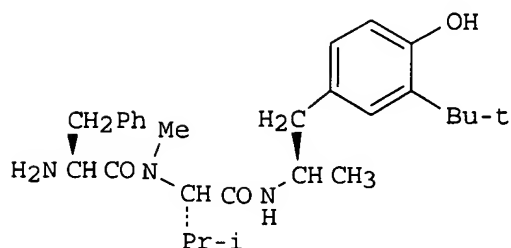
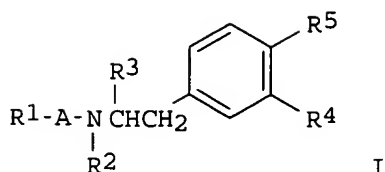
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9909053	A1	19990225	WO 1998-JP3627	19980814 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TW 460478	B	20011021	TW 1998-87113211	19980811 <--
CA 2301687	AA	19990225	CA 1998-2301687	19980814 <--
AU 9886490	A1	19990308	AU 1998-86490	19980814 <--
AU 741216	B2	20011129		
JP 2000044595	A2	20000215	JP 1998-229586	19980814 <--
JP 3583928	B2	20041104		
EP 1006122	A1	20000607	EP 1998-937826	19980814 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6255285	B1	20010703	US 2000-485620	20000215 <--
PRIORITY APPLN. INFO.:			JP 1997-255879	A 19970815
			JP 1998-186802	A 19980528
			WO 1998-JP3627	W 19980814
OTHER SOURCE(S):	MARPAT 130:196958			
GI				



AB Phenethylamine derivs. represented by general formula [I; wherein A represents an amino acid or α -substituted amino acid residue; R1 represents R6CO, (un)substituted C2-7 linear or branched alkyl, C3-8 alkenyl, or C3-8 alkynyl; R2 represents hydrogen, C1-3 linear or branched alkyl; R3 represents COR7, (un)substituted C1-5 linear or branched alkyl, C2-5 alkenyl, or C2-5 alkynyl; R4 represents H, C1-6 linear or branched alkyl, C2-6 alkenyl, C2-6 alkynyl, etc.; R5 represents hydroxy or C1-4 n-alkoxy; R6 represents (un)substituted C1-6 linear or branched alkyl, C2-7 alkenyl, or C2-7 alkynyl, optionally benzene- or heterocyclic ring-condensed C3-7 cycloalkyl, (un)substituted C6-12 aromatic ring, (un)substituted C3-12 (un)saturated heterocyclic ring, (un)substituted NH2, (un)substituted linear or branched C1-5 alkoxy, C2-6 alkenyloxy, C2-6 alkynyloxy, etc.; and R7 represents H, (un)substituted C1-5 linear or branched alkyl, C3-7 cycloalkyl, (un)substituted NH2, OH, linear or branched alkyl C1-6 alkoxy, or C3-7 cycloalkyloxy] are prepared Also claimed are a motilin receptor antagonist, an inhibitor of digestive tract motility, and a remedy for high blood motilin. They are also useful for the treatment of irritable bowel syndrome. Thus, N α -methyl-N-[2-(3-tert-butyl-4-hydroxyphenyl)-1-methylethyl]-L-valinamide was condensed with Boc-Phe-OH using HOBt and DIC in DMF at room temperature for 2.5 days followed by deprotection with CF₃CO₂H in CH₂Cl₂ to give the title compound (II). II in vitro showed IC₅₀ of 1.9 nM for inhibiting the binding of [¹²⁵I]motilin motilin receptor preparation from rabbit ileum mucus membrane.

IT 220806-23-5P 220806-37-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-tert-butyl-L-tyrosinamide-containing peptide compds. as motilin receptor antagonists, inhibitors of digestive tract motility, and remedy for high blood motilin)

RN 220806-23-5 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

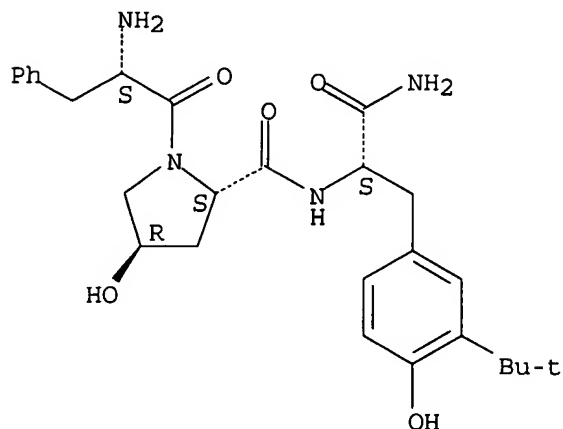
09/04/2006 10776657.trn

CM 1

CRN 220806-22-4

CMF C27 H36 N4 O5

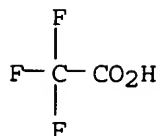
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220806-37-1 HCAPLUS

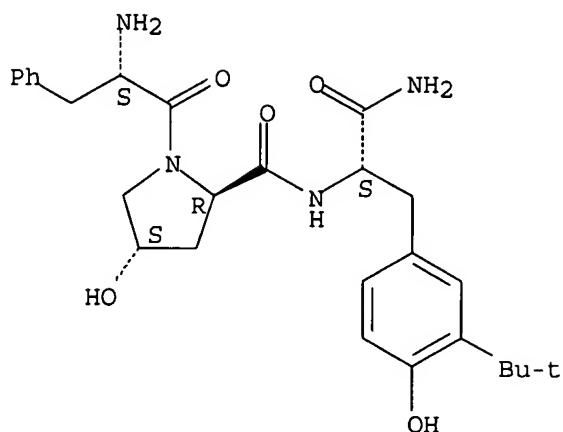
CN L-Tyrosinamide, L-phenylalanyl-(4S)-4-hydroxy-D-prolyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-36-0

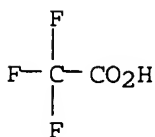
CMF C27 H36 N4 O5

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 14 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:457251 HCAPLUS
DOCUMENT NUMBER: 129:118264
TITLE: Polypeptide analogs having growth hormone releasing activity
INVENTOR(S): Bowers, Cyril Y.; Coy, David
PATENT ASSIGNEE(S): Administrators of the Tulane Educational Fund, USA
SOURCE: U.S., 19 pp., Cont.-in-part of U. S. Ser. No. 748,350.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5776901	A	19980707	US 1992-932494	19920820 <--
US 5663146	A	19970902	US 1991-748350	19910822 <--
IL 102848	A1	19980405	IL 1992-102848	19920818 <--
JP 07507039	T2	19950803	JP 1993-504585	19920820 <--
JP 3179489	B2	20010625		
HU 69178	A2	19950828	HU 1994-495	19920820 <--
AT 172742	E	19981115	AT 1992-919262	19920820 <--
ES 2124263	T3	19990201	ES 1992-919262	19920820 <--

CZ 293281	B6	20040317	CZ 1994-400	19920820
ZA 9206337	A	19930422	ZA 1992-6337	19920821 <--
CN 1073684	A	19930630	CN 1992-110868	19920822 <--
CN 1035256	B	19970625		

PRIORITY APPLN. INFO.:

US 1991-748350	A2 19910822
US 1992-932494	A 19920820

OTHER SOURCE(S): MARPAT 129:118264

AB Novel peptides of the formula A1 -A2 -C1 -C2 -C3 -A5 are disclosed which promote the release of growth hormone when administered to animals. These peptides can be used therapeutically. H-A1-A2-C1-C2-C3-A5 [A1 = Gly, D-Ala, β -Ala, His, Ser, Met, Pro, Sar, Ava, Aib, etc.; A2 = D-Trp, D- β -Nal, etc.; A5 = A3A4A5', A3A5', A4A5', A5'; A3 = Ala, Gly, D-Ala, Pro, desAla; A4 = A3, alkylaminocarboxylate residue; A5' = Lys(ϵ -R2,R2)-Z, Orn(δ -R1,R2)-Z, etc.; R1, R2 = alkyl, H; Z = NH2, OH, (di)alkylamino, alkoxy; C1 = Ala; C2 = Trp, Phe, ChxAla; C3 = D-Phe, D-Pal, D-ChxAla; Ava = aminovaleric acid residue; Aib = aminoisobutyric acid residue; D- β -Nal = β -naphthyl-D-alanyl; ChxAla = cyclohexylalananyl], were prepared. Thus, D-Ala-D- β -Nal-Ala-Trp-D-Phe-Lys-NH2 (solution phase preparation given) at 30 mg/kg intragastrally in rats increased serum growth hormone from 247 ng/mL to 2038 ng/mL. The peptides of the invention can be used therapeutically for any use for which growth hormone can be used. The peptides can be coadministered with a synergistic amount of a β -adrenergic blocking agent, an α 2-adrenergic blocking agent, an acetylcholine esterase inhibitor, or other small peptides. Pharmaceutical compns. containing these peptides are also claimed.

IT 77614-17-6 84168-90-1 115814-06-7

115814-07-8 115814-09-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

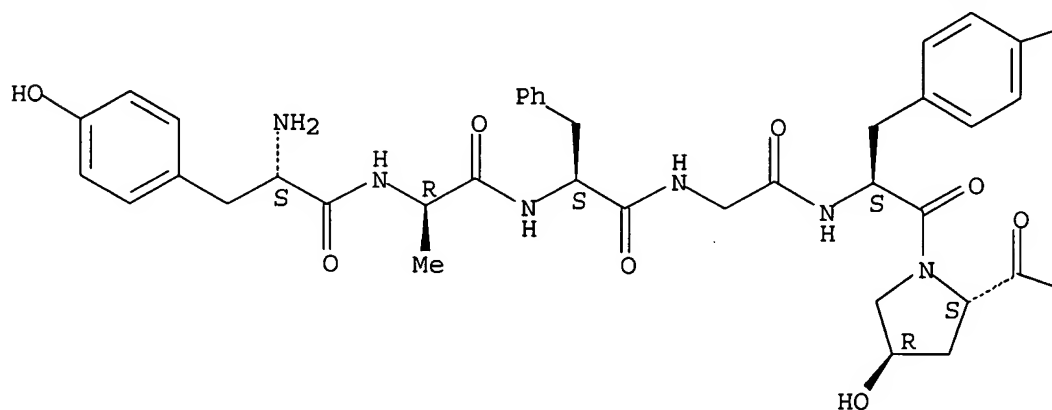
(coadministration of peptides for the release and elevation of blood growth hormone levels)

RN 77614-17-6 HCAPLUS

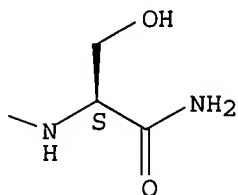
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

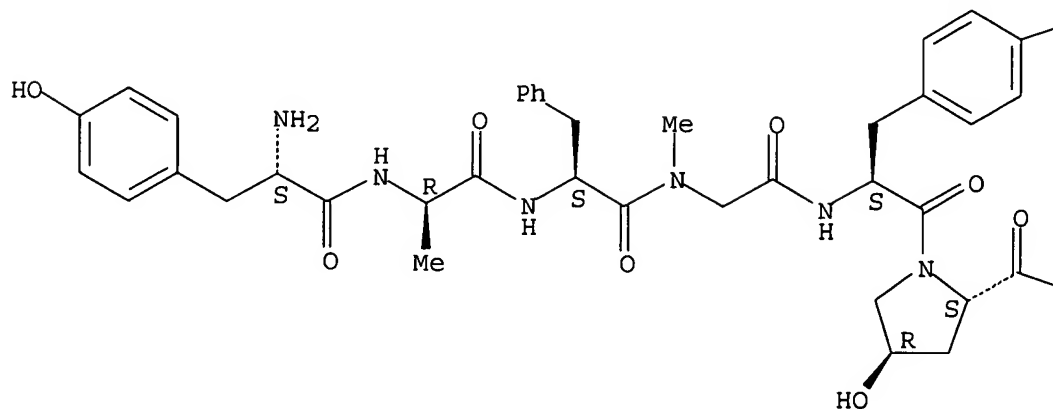


RN 84168-90-1 HCAPLUS

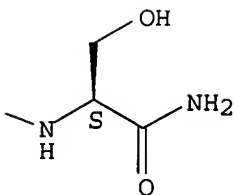
CN Dermorphin, 4-(N-methylglycine)-6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA
INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



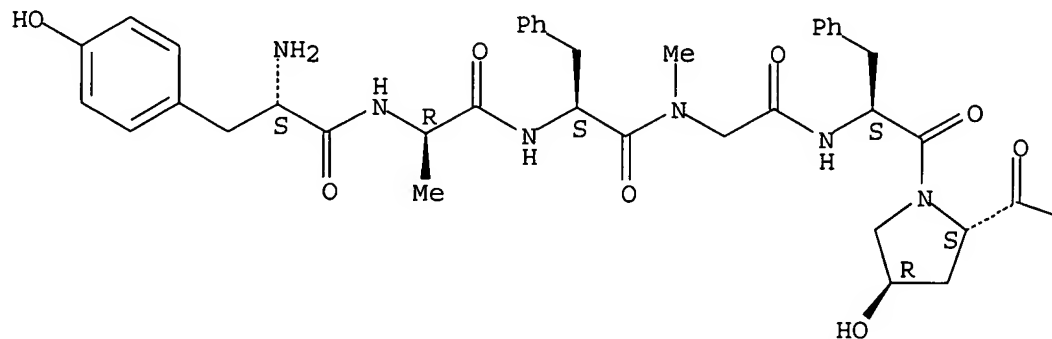
09/04/2006 10776657.trn

RN 115814-06-7 HCAPLUS

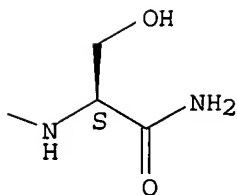
CN L-Serinamide, L-tyrosyl-D-alanyl-L-phenylalanyl-N-methylglycyl-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

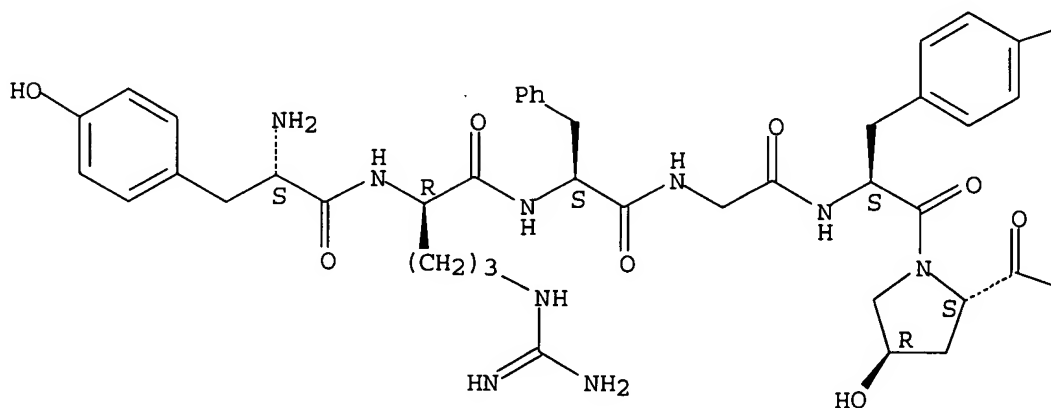


RN 115814-07-8 HCAPLUS

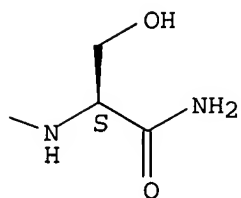
CN L-Serinamide, L-tyrosyl-D-arginyl-L-phenylalanylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

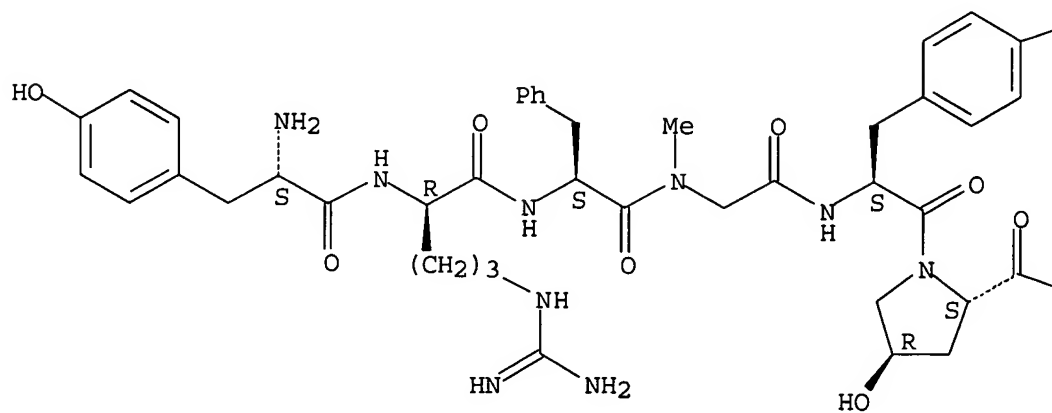


RN 115814-09-0 HCAPLUS

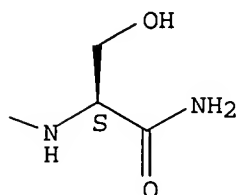
CN L-Serinamide, L-tyrosyl-D-arginyl-L-phenylalanyl-N-methylglycyl-L-tyrosyl-
(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:414724 HCAPLUS

DOCUMENT NUMBER: 129:62986

TITLE: Melanocyte stimulating inhibitory factor analog tri-, tetra-, penta-, and polypeptides and their therapeutic use as an antidepressant agent

INVENTOR(S): Abajian, Henry B.; Noble, John F.; Hlavka, Joseph J.

PATENT ASSIGNEE(S): Innapharma, Inc., USA

SOURCE: U.S., 56 pp., Cont.-in-part of U.S. 5,589,460.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5767083	A	19980616	US 1995-432651	19950502 <--
US 5589460	A	19961231	US 1994-238089	19940504 <--
CA 2189145	AA	19951116	CA 1995-2189145	19950502 <--
CN 1151700	A	19970611	CN 1995-193885	19950502 <--
PT 759772	T	20040630	PT 1995-923659	19950502
ES 2215175	T3	20041001	ES 1995-923659	19950502
IN 186890	A	20011201	IN 1996-CA786	19960501 <--
US 6093797	A	20000725	US 1997-962962	19971104 <--
US 2003176354	A1	20030918	US 2002-122246	20020411 <--
US 6767897	B2	20040727		

PRIORITY APPLN. INFO.: US 1994-238089 A2 19940504
US 1995-432651 A 19950502
US 1997-962962 A2 19971104
US 2000-625103 B2 20000725

OTHER SOURCE(S): MARPAT 129:62986

AB The present invention discloses novel peptides utilized to treat patients suffering from depression. These novel peptides are modifications of the tripeptide hormone MIF (melanocyte stimulating inhibitory factor), including modification of amino terminus residues, carboxyl terminus residues and internal residues, including addition and substitution of amino acid residues and modification of the peptide bonds and functional side groups of resp. amino acid residues. The tri-, tetra-, penta-, and

polypeptides of the present invention may be utilized alone or in combination to treat patients suffering from depression. In a modified Porsolt swim test, an average of 11 out of twelve rats responded to 4-F-Phe-3,4-dehydro-Pro-Arg-Gly-Trp-NH₂.

IT 173071-94-8P 173071-97-1P 173071-98-2P

173071-99-3P 173072-02-1P 173072-03-2P

173072-04-3P 173072-05-4P 173072-10-1P

173072-11-2P 173072-12-3P 173240-11-4P

173240-12-5P 173240-13-6P 173240-14-7P

173240-15-8P 173240-16-9P 173240-17-0P

173240-18-1P 173240-19-2P 173240-20-5P

173240-21-6P 173240-22-7P 173240-27-2P

173240-28-3P 173240-36-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

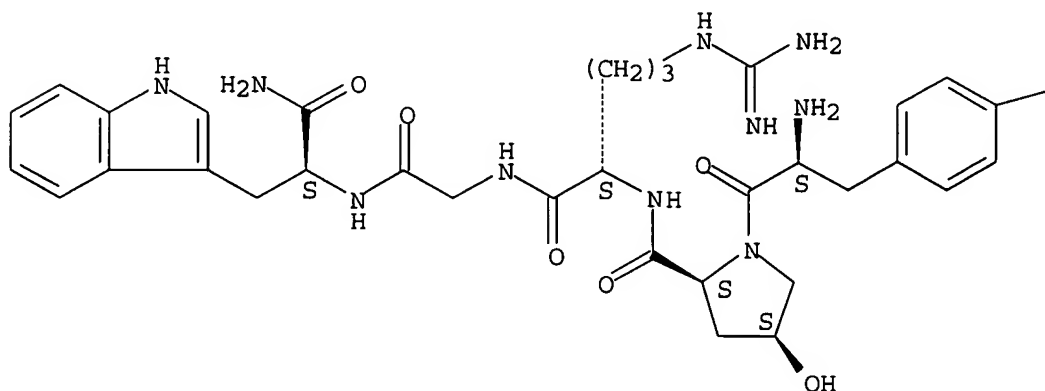
(melanocyte stimulating inhibitory factor analog peptides and their use as antidepressants)

RN 173071-94-8 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

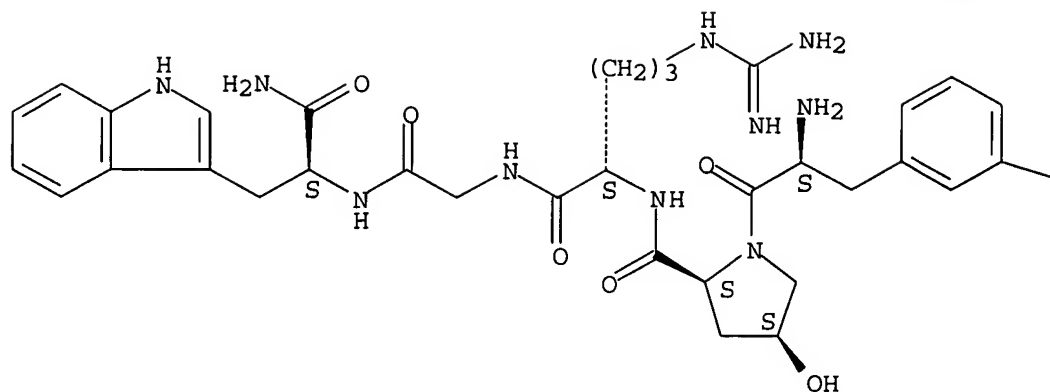
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RN 173071-97-1 HCAPLUS

CN L-Tryptophanamide, 3-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



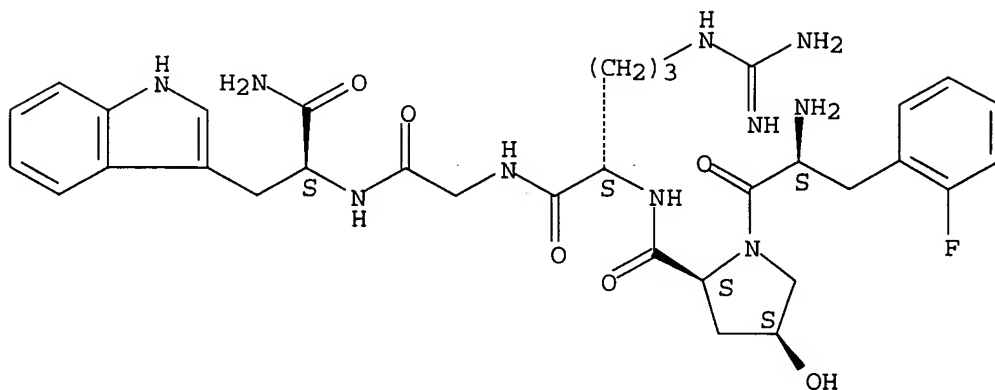
PAGE 1-B

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RN 173071-98-2 HCAPLUS

CN L-Tryptophanamide, 2-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

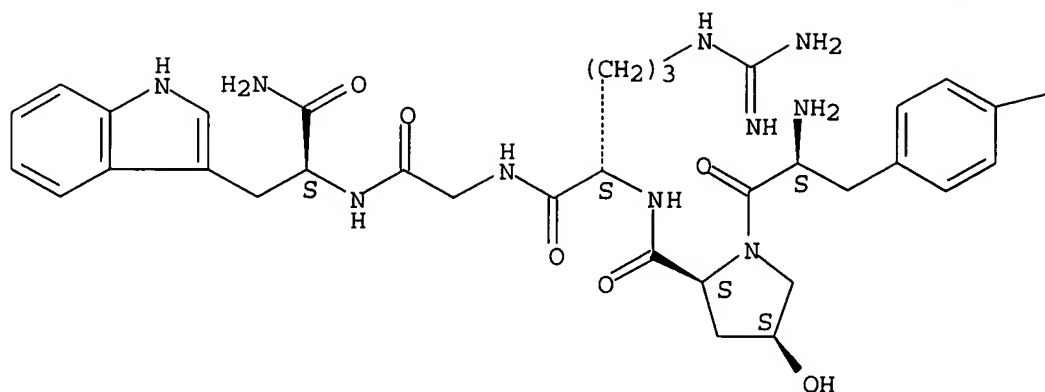


RN 173071-99-3 HCAPLUS

CN L-Tryptophanamide, 4-chloro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

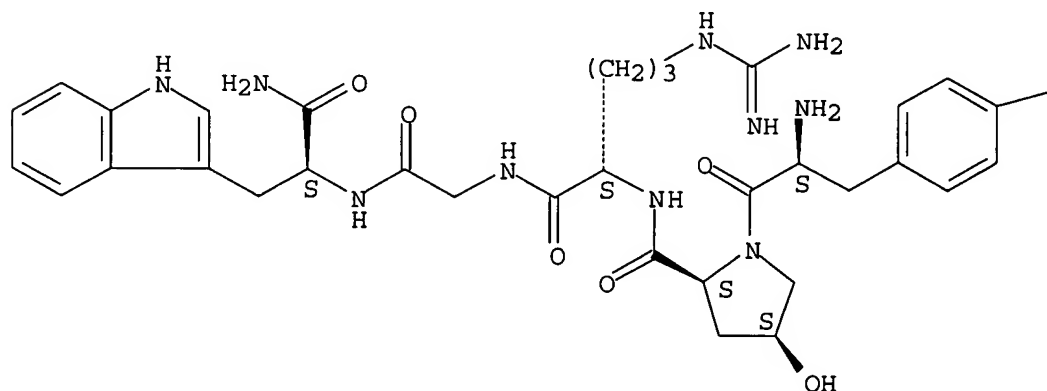
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RN 173072-02-1 HCAPLUS

CN L-Tryptophanamide, 4-amino-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

—NH₂

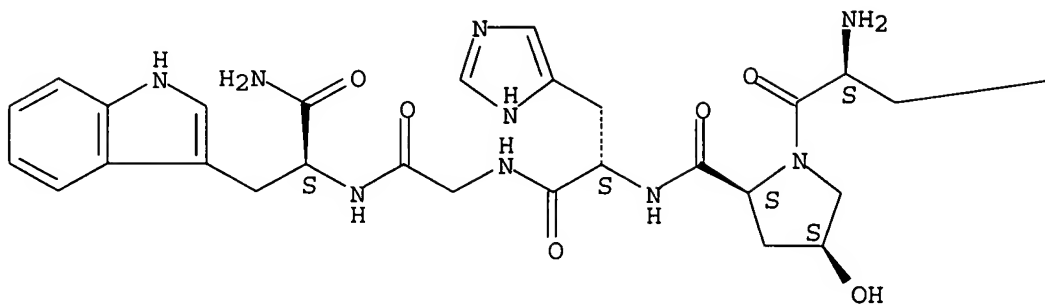
RN 173072-03-2 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-

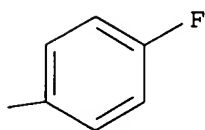
histidylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

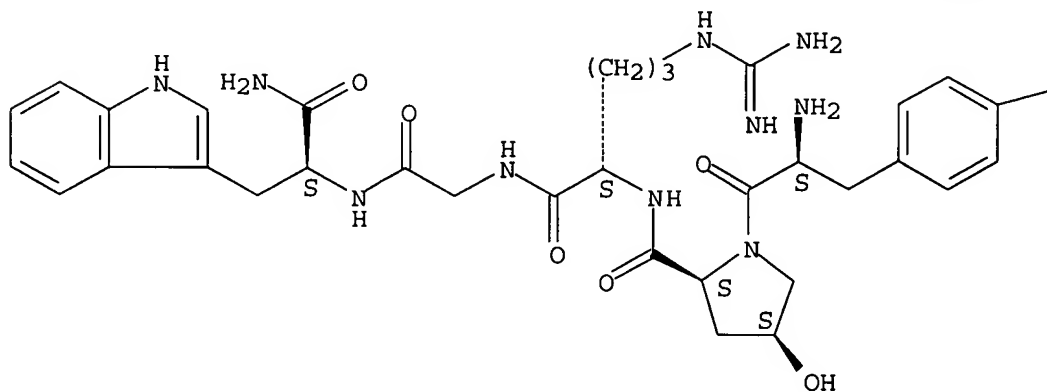


RN 173072-04-3 HCAPLUS

CN L-Tryptophanamide, 4-nitro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



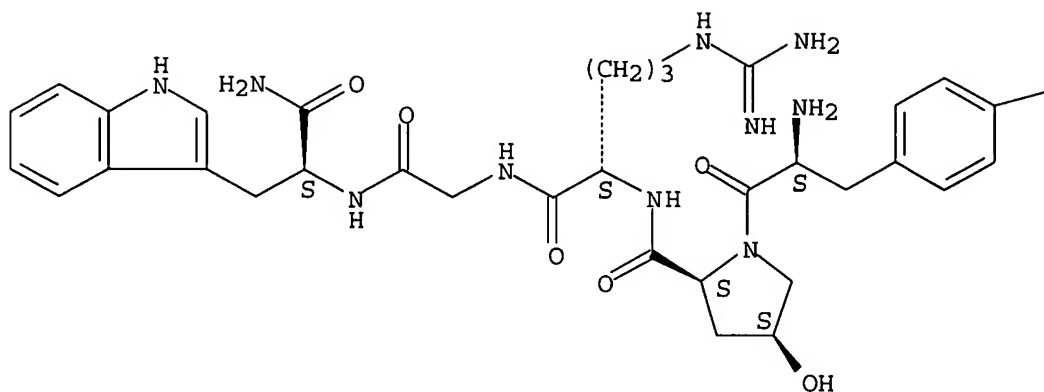
09/04/2006 10776657.trn

RN 173072-05-4 HCAPLUS

CN L-Tryptophanamide, O-methyl-L-tyrosyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

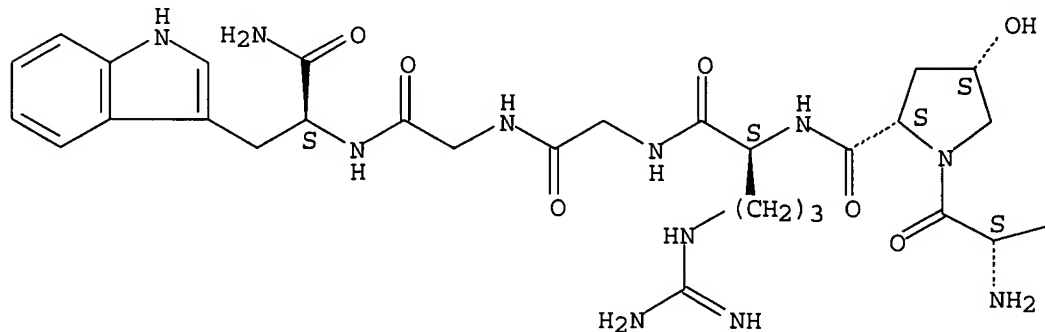
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RN 173072-10-1 HCAPLUS

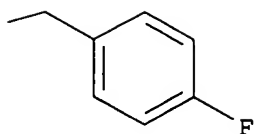
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginylglycylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

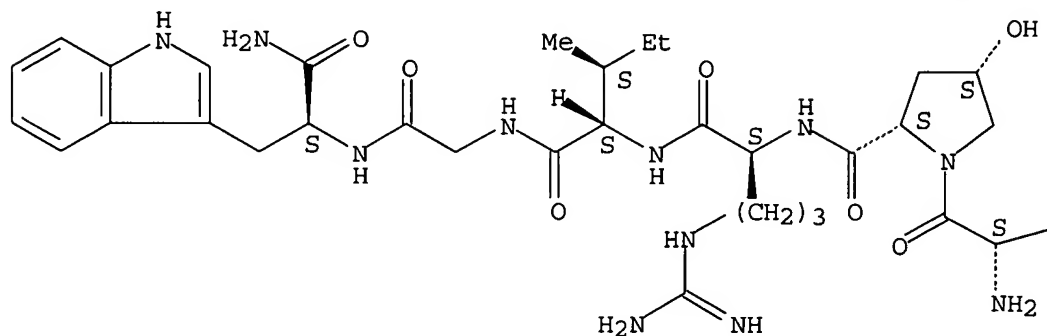


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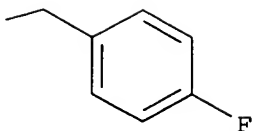
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-arginyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

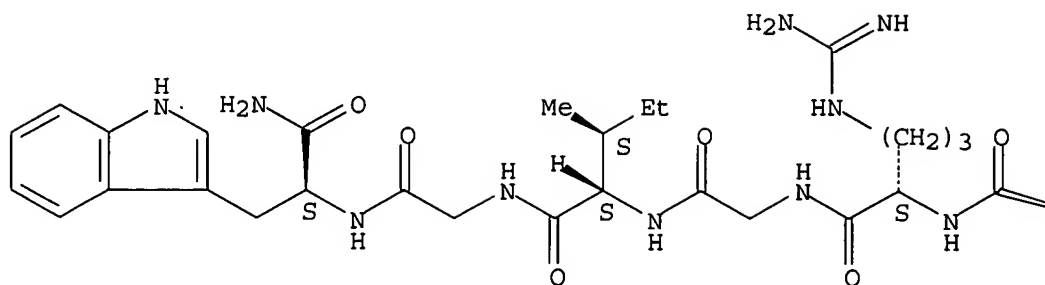


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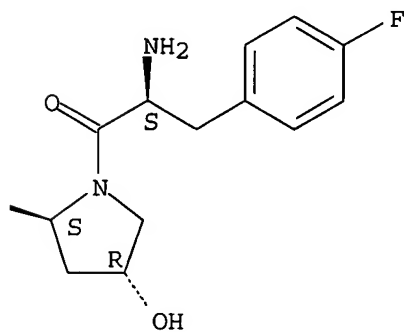
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



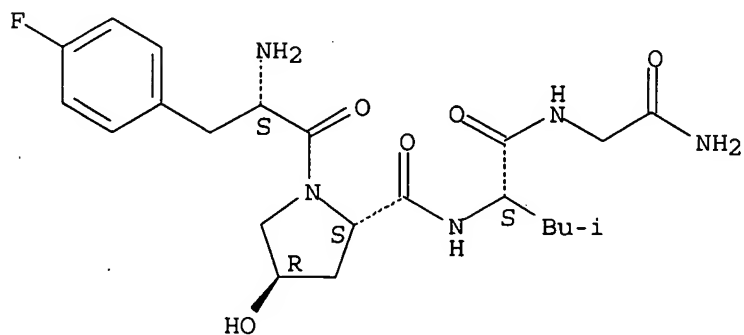
PAGE 1-B



RN 173240-11-4 HCAPLUS

CN Glycinamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-leucyl-(9CI) (CA INDEX NAME)

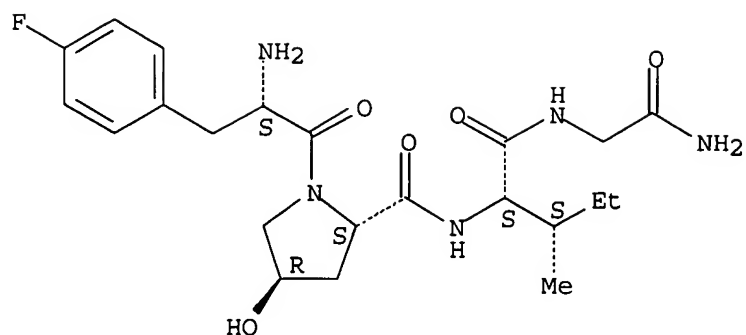
Absolute stereochemistry.



RN 173240-12-5 HCAPLUS

CN Glycinamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

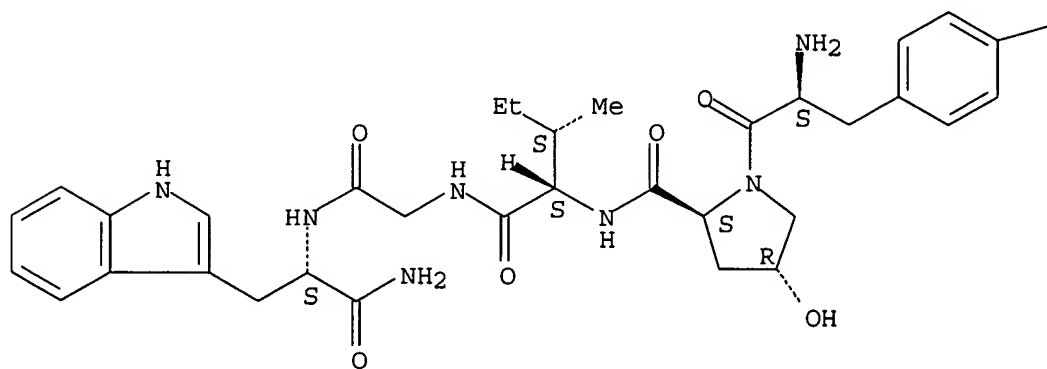


RN 173240-13-6 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

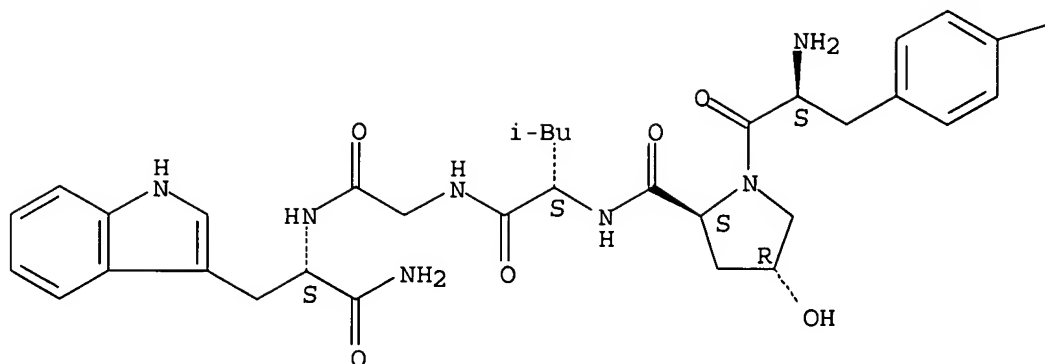
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RN 173240-14-7 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

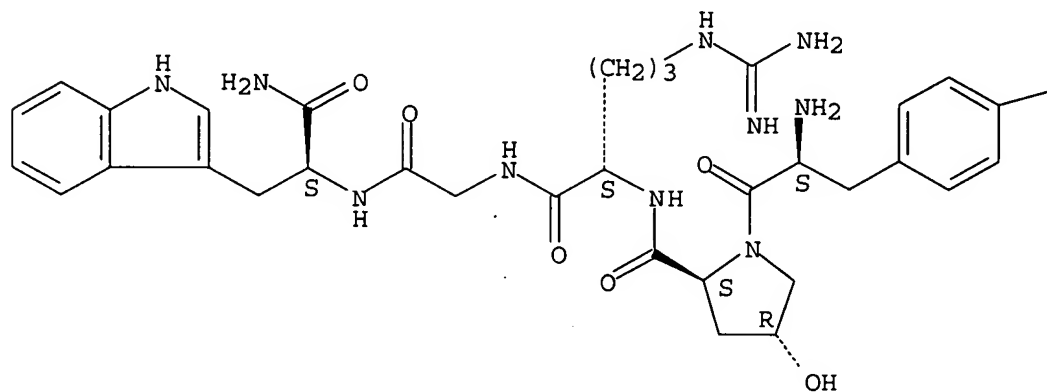
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RN 173240-15-8 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



PAGE 1-B

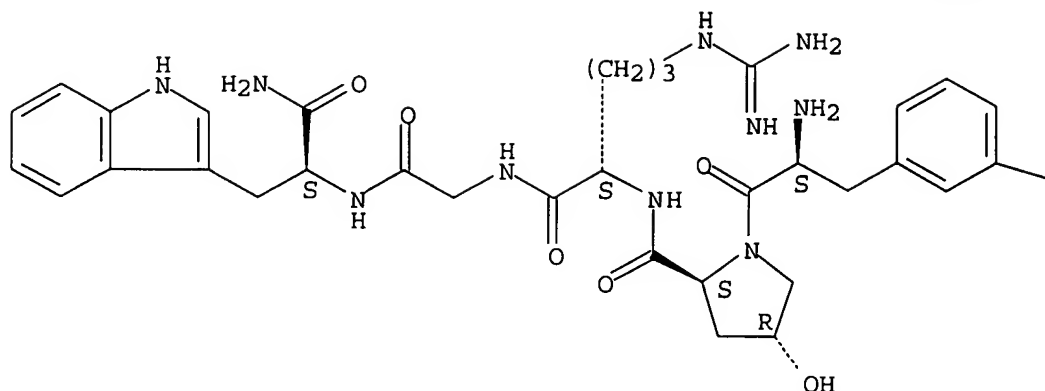
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RN 173240-16-9 HCAPLUS

CN L-Tryptophanamide, 3-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



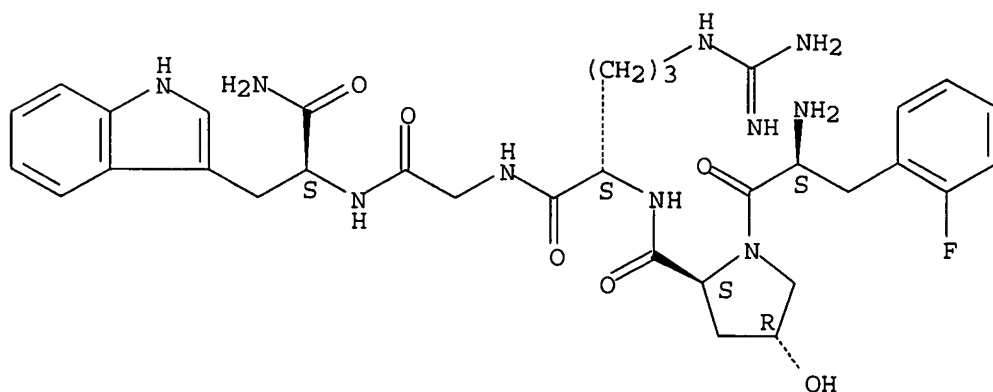
PAGE 1-B

—F

RN 173240-17-0 HCAPLUS

CN L-Tryptophanamide, 2-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

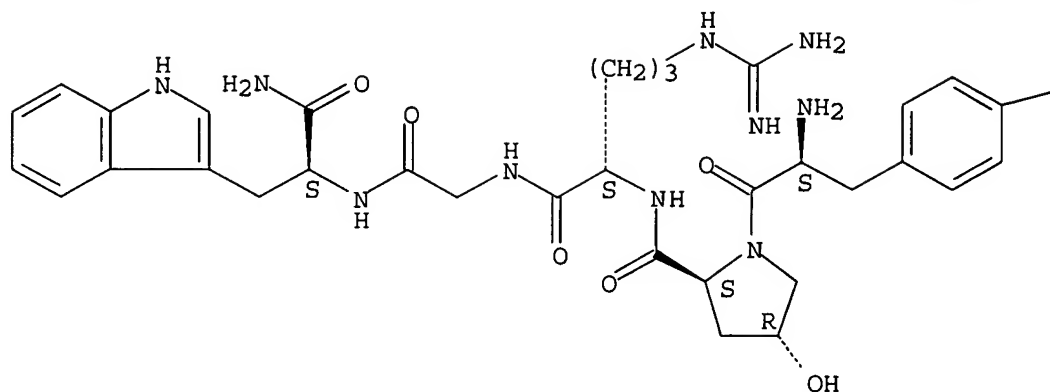


RN 173240-18-1 HCAPLUS

CN L-Tryptophanamide, 4-chloro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

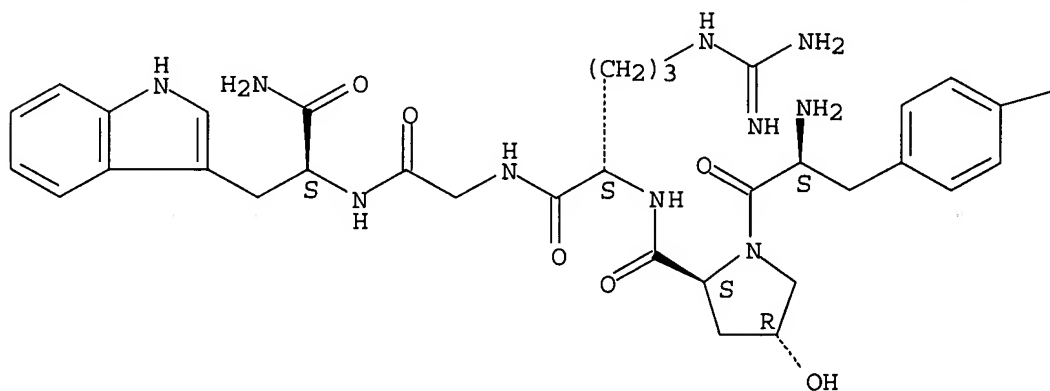
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RN 173240-19-2 HCAPLUS

CN L-Tryptophanamide, 4-amino-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

—NH₂

RN 173240-20-5 HCAPLUS

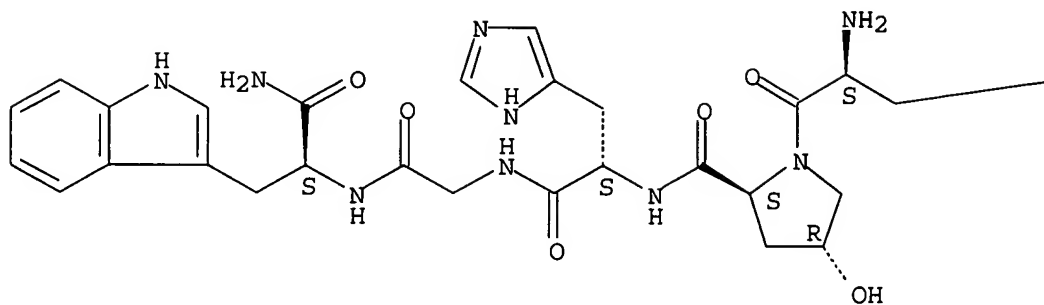
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-

09/04/2006 10776657.trn

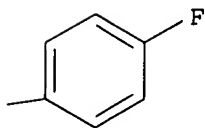
histidylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

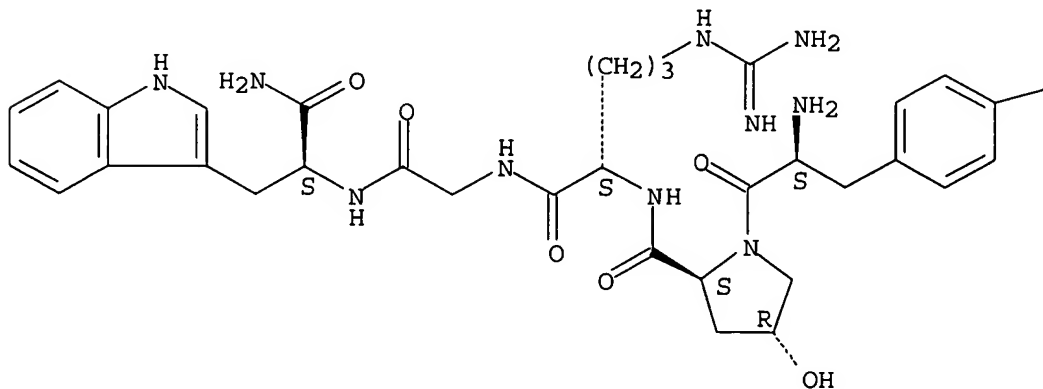


RN 173240-21-6 HCAPLUS

CN L-Tryptophanamide, 4-nitro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



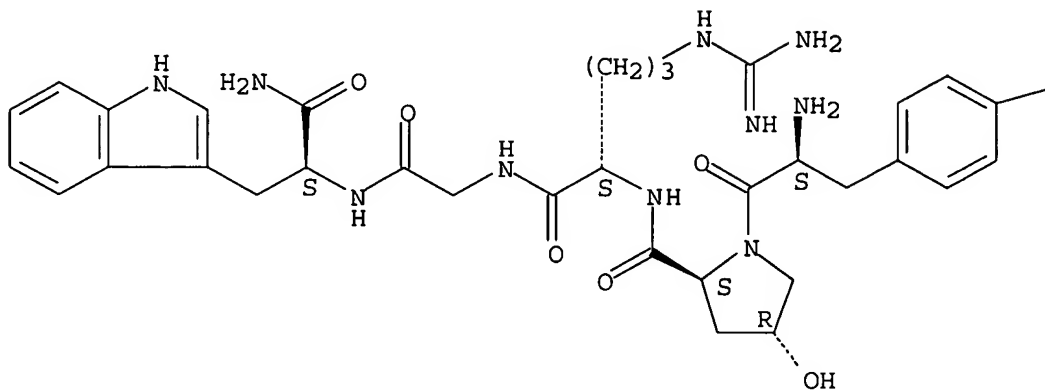
09/04/2006 10776657.trn

RN 173240-22-7 HCAPLUS

CN L-Tryptophanamide, O-methyl-L-tyrosyl- (4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

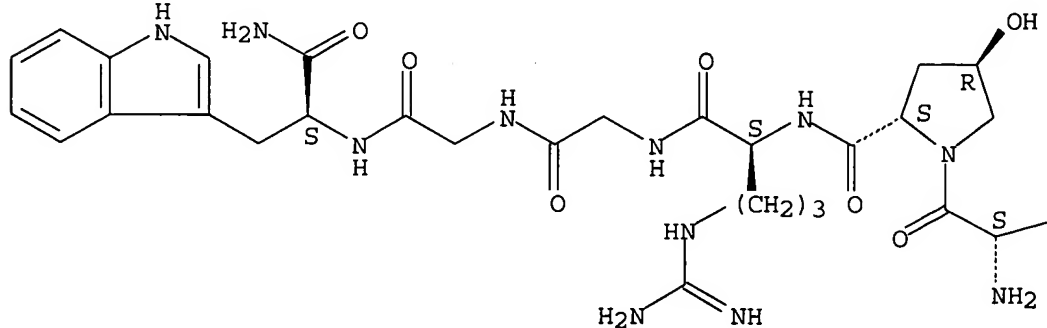
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RN 173240-27-2 HCAPLUS

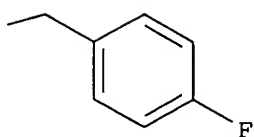
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl- (4R)-4-hydroxy-L-prolyl-L-arginylglycylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

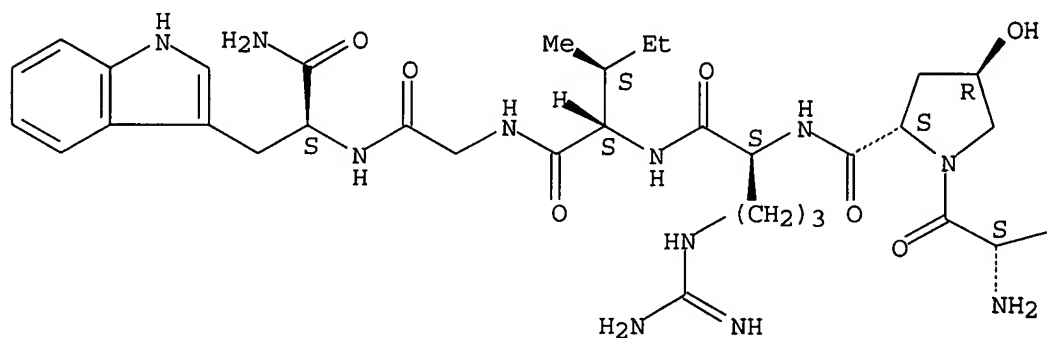


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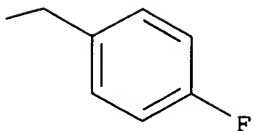
CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-arginyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

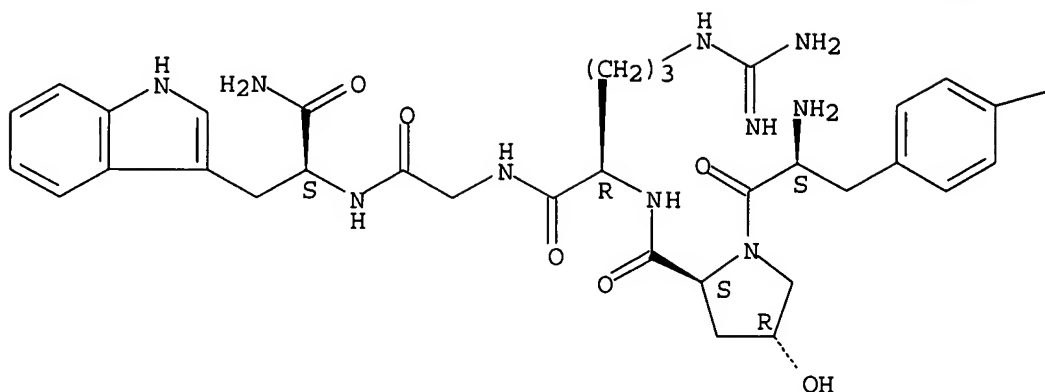


RN 173240-36-3 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-D-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

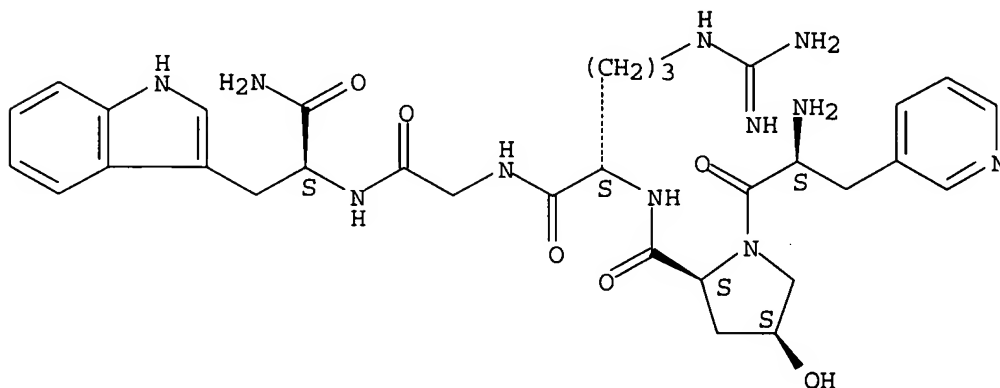


PAGE 1-B

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IT 173072-09-8 173240-24-9 173240-25-0
 173240-26-1 208999-95-5 208999-96-6
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (melanocyte stimulating inhibitory factor analog peptides and their use
 as antidepressants)
 RN 173072-09-8 HCAPLUS
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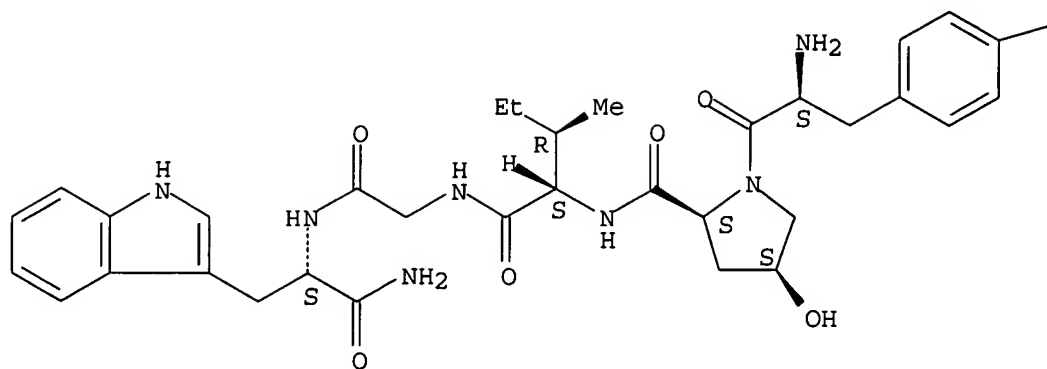
Absolute stereochemistry.



RN 173240-24-9 HCAPLUS
 CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-L-
 alloisoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

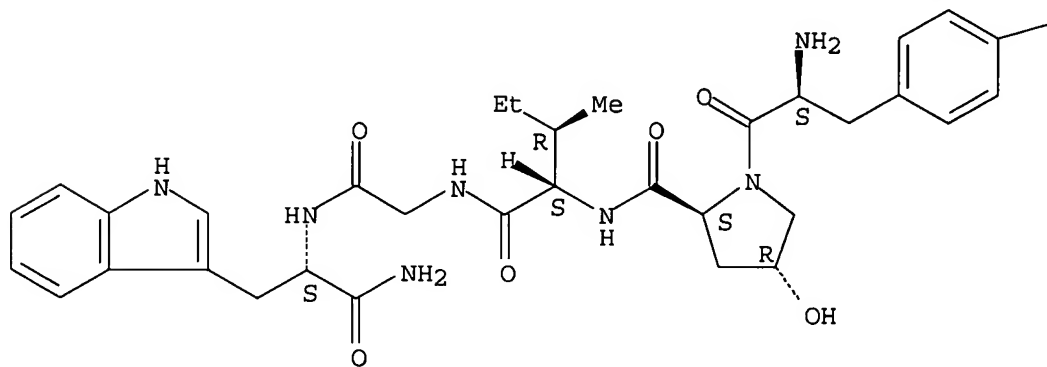
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RN 173240-25-0 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-L-alloisoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



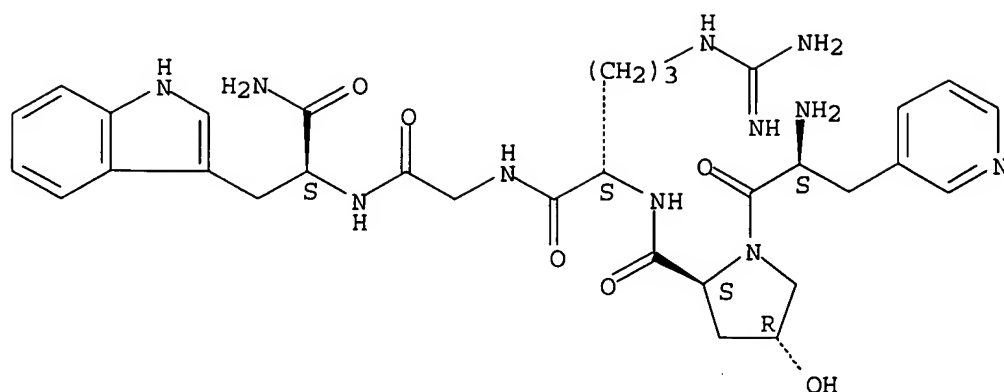
PAGE 1-B

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RN 173240-26-1 HCAPLUS

CN L-Tryptophanamide, 3-(3-pyridinyl)-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

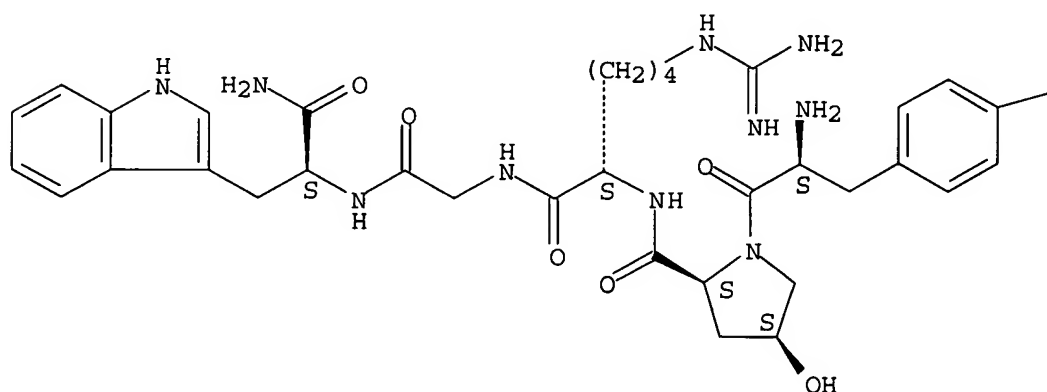


RN 208999-95-5 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4S)-4-hydroxy-L-prolyl-N6-(aminoiminomethyl)-L-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

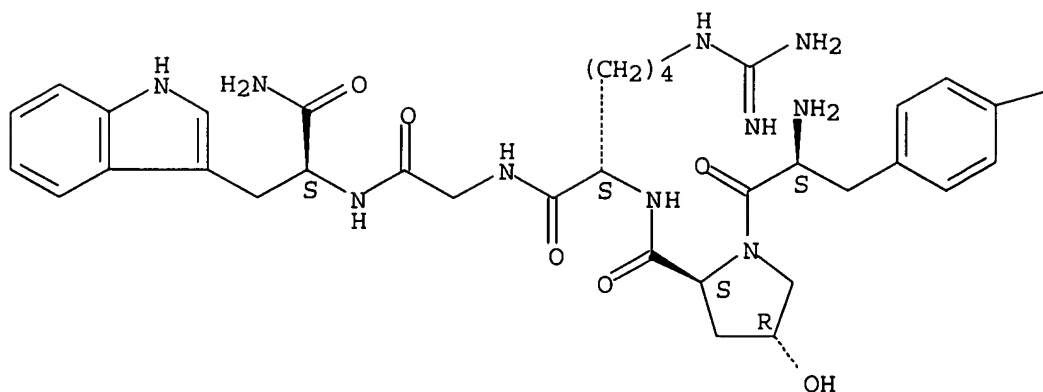
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RN 208999-96-6 HCAPLUS

CN L-Tryptophanamide, 4-fluoro-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-N6-(aminoiminomethyl)-L-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

F

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

104.70

444.95

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-16.50

-16.50

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